Jan Delaval

144736

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Jennifer Kim Examiner #: 77469 Date: 2/9/05
Art Unit: 1619 Phone Number 30X 20628 Serial Number: 09/816876
Mail Box and Bldg Room Location: Rem 4B02 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Methods to treat alzheimer's disease

Inventors (please provide full names): Hom et al.

Earliest Priority Filing Date: 3/23/2000

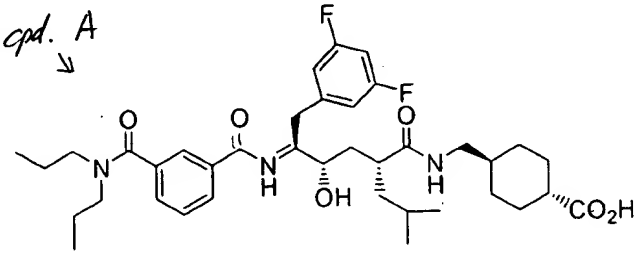
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

1) Please search claim 95 based on the cpd XII and the diseases including Alzheimer's, cognitive dysfunction, Down's syndrome, Hereditary cerebral Hemorrhage, Brain damage & mechanisms involving beta-amyloid deposit.

2) Please display hit structures of claim 95.

3) Please search claim 104 based on the structures of cpds in claim 104. ; display & registry #.

4) Pleas search → cpd. A
which is highlighted
structure of claim 104.



STAFF USE ONLY Typ
Searcher: provide reg # 22504 NA
Searcher Phone #: AA
Searcher Location: Structure (#) ✓ Oligo: Other:
Date Searcher Picked Up: 2/16/05 Bibliographic Dat
Date Completed: 2/16/05 Litigation Java Nerd
Searcher Prep & Review Time: Full text Sequence Systems
Clerical Prep Time: 180 Patent Family WWW/Internet
Online Time: + 45 Other Other (specify)

STN ✓

THX,
jm

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 144736

TO: Jennifer Kim **Location: 4b02 / 4b18** **Wednesday, February 16, 2005** **Art Unit: 1617** **Phone: 272-0628** **Serial Number: 09 / 816876**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1a51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

3/23/2000

~~109~~ 104. (New) The method according to claim ~~100~~ 95, wherein the compound is

N-[(1*S*, 2*S*, 4*R*)-1-(3,5-Difluorobenzyl)-4-(*syn*, *syn*)-(3,5 dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-*N*,*N*-dipropylisophathalamide, 362479-94-5

6-[6-(3,5-Difluorophenyl)-5-(*S*)-(3-dipropylcarbamoylbenzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxyhexanoylamino]-hexanoic acid, 362479-95-6

5-[6-(3,5-Difluorophenyl)-5-(*S*)-(3-dipropylcarbamoylbenzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxyhexanoylamino]-pentanoic acid, 362480-11-3

4-[6-(3,5-Difluorophenyl)-5-(*S*)-(3-dipropylcarbamoylbenzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxyhexanoylamino]-butyric acid, 362480-12-4

3-[6-(3,5-Difluorophenyl)-5-(*S*)-(3-dipropylcarbamoylbenzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxyhexanoylamino]-propionic acid, 362480-13-5

8-[6-(3,5-Difluorophenyl)-5-(*S*)-(3-dipropylcarbamoylbenzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxyhexanoylamino]-octanoic acid, 362480-14-6

8-[6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxy-hexanoylamino]-octanoic acid methyl ester, 362479-96-7

N-[4-(*R*)-Butylcarbamoyl-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-15-7

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(R)-isobutylcarbamoyl-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-16-8

N-[4-(*R*)-Benzylcarbamoyl-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-17-9

N-[4-(*R*)-(Cyclohexylmethyl-carbamoyl)-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-18-0

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N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-(piperidine-1-carbonyl)-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-19-1

N-[1-(*S*)-(3,5-Difluoro-benzyl)-4-(*R*)-(2-dimethylamino-ethylcarbamoyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-20-4

N-[4-(*R*)-(Butyl-methyl-carbamoyl)-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-21-5

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-(3-hydroxy-propylcarbamoyl)-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-22-6

4-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid methyl ester, 362480-23-7

N-[1-(*S*)-(3,5-Difluoro-benzyl)-4-(*R*)-(3-dimethylamino-propylcarbamoyl)-2-(*S*)-hydroxy-hexyl]-*N*,*N*-dipropyl-isophthalamide, 362480-24-8

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-2-(*R*)-ethyl-4-(*S*)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362479-97-8

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-4-(*S*)-hydroxy-2-(*R*)-methyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362480-00-0

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-4-(*S*)-hydroxy-2-(*R*)-propyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362479-99-0

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-4-(*S*)-hydroxyl-2-(*R*)-isobutyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362480-25-9

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-4-(*S*)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362480-26-0

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4-(*anti*)-([2-(*R*)-Benzyl-6-(3,5-difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-benzoylamino)-4-(*S*)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362479-98-9

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-5-methyl-benzoylamino)-2-(*R*)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid, 362480-27-1

4-(*anti*)-([6-(3,5-Difluoro-phenyl)-5-(*S*)-(3-dipropylcarbamoyl-5-methyl-benzoylamino)-2-(*R*)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid methyl ester, 362480-28-2

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-(2-morpholin-4-yl-ethylcarbamoyl)-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-29-3

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-isobutylcarbamoyl-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-30-6

N-[4-(*R*)-(2-Diethylamino-ethylcarbamoyl)-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-31-7

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-[(tetrahydro-furan-2-ylmethyl)-carbamoyl]-pentyl)-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-32-8

N-[4-(*R*)-(Adamantan-2-ylcarbamoyl)-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-33-9

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-methyl-5-morpholin-4-yl-5-oxo-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-34-0

N-[4-(*R*)-Benzylcarbamoyl-1-(*S*)-(3,5-difluoro-benzyl)-2-(*S*)-hydroxy-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-35-1

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N-[1-(*S*)-(3,5-Difluoro-benzyl)-4-(*R*)-(4-fluoro-benzylcarbamoyl)-2-(*S*)-hydroxy-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-36-2

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-phenethylcarbamoyl-pentyl]-5-methyl-*N*,*N*-dipropyl-isophthalamide, 362480-37-3

N-[1-(*S*)-(3,5-Difluoro-benzyl)-4-(*R*)-[(furan-2-ylmethyl)-carbamoyl]-2-(S)-hydroxy-pentyl)-5-methyl-*N*,*N*-dipropyl-isophthalamide, or 362480-38-4

N-[1-(*S*)-(3,5-Difluoro-benzyl)-2-(*S*)-hydroxy-4-(*R*)-(prop-2-ynylcarbamoyl)-pentyl]-5-methy-*N*,*N*-dipropyl-isophthalamide. 362480-39-5

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```
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DICTIONARY FILE UPDATES:  14 FEB 2005  HIGHEST RN 831169-46-1

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information enter HELP PROP at an arrow prompt in the file or refer
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=> d l13 ide can

L13  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   362480-25-9  REGISTRY
CN   Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
     [(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-
     oxohexyl]amino]methyl]-, trans- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C38 H53 F2 N3 O6
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA  CAplus document type:  Journal; Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)
RL.NP  Roles from non-patents:  BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.
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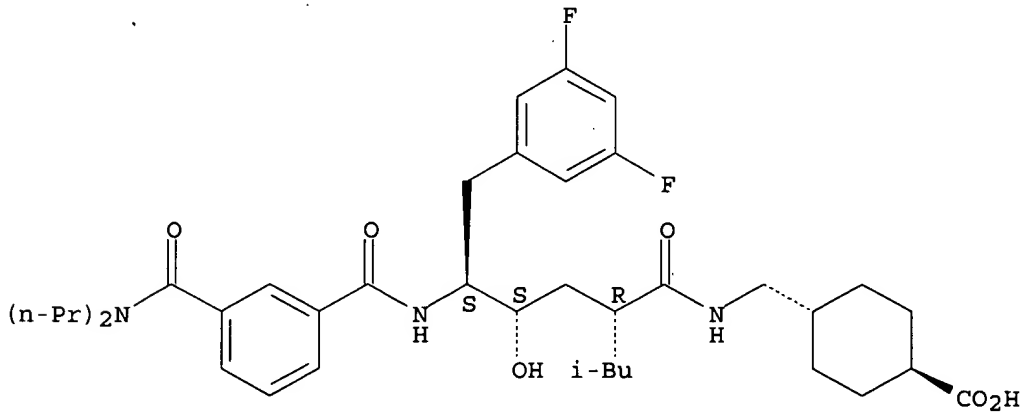


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3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

=> d his

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L2 13 S E7
E MAMO S/AU
L3 10 S E3-E5
E TUNG J/AU
L4 69 S E3-E8,E18-E20
E GAILUNAS A/AU
L5 13 S E4,E5
E JOHN V/AU
L6 211 S E3-E13,E18,E19,E21
E VARGHESE/AU
E VARGHESE J/AU
L7 118 S E3-E6,E12,E14
E FANG L/AU
L8 149 S E3,E12,E24-E28
E ELAN/PA,CS
E ELAM/PA,CS
L9 427 S E22-E207
SEL RN L1

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L11 10 S L10 AND (46.150.18 AND 46.150.1)/RID AND 3/NR
L12 2 S L11 AND C38H53F2N3O6
L13 1 S 362480-25-9
E C38H53F2N3O6/MF
L14 STR
L15 0 S L14
L16 STR L14
L17 0 S L16
L18 STR L16
L19 0 S L18
L20 STR L18
L21 0 S L20
L22 STR L20
L23 3 S L22
L24 1871 S L22 FUL
SAV L24 JKIM816/A
L25 679 S L16 FUL SUB=L24
SAV L25 JKIM816A/A
L26 20 S L10 AND L25
L27 19 S L26 NOT L13
L28 85 S L10 NOT L26
L29 74 S L28 NOT SQL/FA
L30 63 S L29 AND (N AND O)/ELS

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L31           36 S L30 AND HYDROXY
L32           15 S L31 NOT 3 5 DIFLUORO
L33           21 S L31 NOT L32
L34           40 S L27,L33
L35           36 S L34 AND F/ELS
L36            4 S L34 NOT L35
L37           36 S L35 AND F>=2
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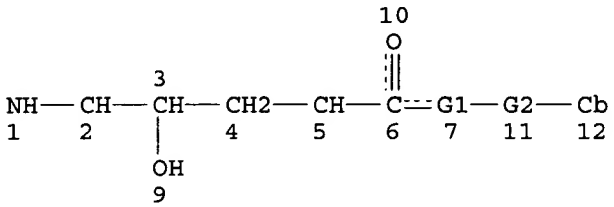


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VAR G1=O/N
REP G2=(0-3) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
L22              STR
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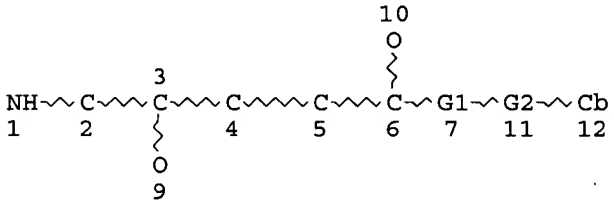


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REP G2=(0-1) AK
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
L24         1871 SEA FILE=REGISTRY SSS FUL L22
L25          679 SEA FILE=REGISTRY SUB=L24 SSS FUL L16

100.0% PROCESSED   1871 ITERATIONS                    679 ANSWERS
SEARCH TIME: 00.00.01
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L2   (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  362480-25-9
L3               STR
L4               STR
L5   (      1871)SEA FILE=REGISTRY SSS FUL L4
L6   (       679)SEA FILE=REGISTRY SUB=L5 SSS FUL L3
L7   (        20)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND L6
L8   (        19)SEA FILE=REGISTRY ABB=ON  PLU=ON  L7 NOT L2
L9   (        85)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 NOT L7
L10  (        74)SEA FILE=REGISTRY ABB=ON  PLU=ON  L9 NOT SQL/FA
L11  (        63)SEA FILE=REGISTRY ABB=ON  PLU=ON  L10 AND (N AND O)/ELS
L12  (        36)SEA FILE=REGISTRY ABB=ON  PLU=ON  L11 AND HYDROXY
L13  (        15)SEA FILE=REGISTRY ABB=ON  PLU=ON  L12 NOT 3 5 DIFLUORO
L14  (        21)SEA FILE=REGISTRY ABB=ON  PLU=ON  L12 NOT L13
L15  (        40)SEA FILE=REGISTRY ABB=ON  PLU=ON  (L8 OR L14)
L16  (        36)SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 AND F/ELS
L17           36 SEA FILE=REGISTRY ABB=ON  PLU=ON  L16 AND F>=2
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L18           35 S L17 NOT C31H40F2N2O5

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L19            4 S L18
L20            3 S L19 AND (ELAN?/PA,CS OR (HOM R? OR MAMO S? OR TUNG J? OR GAIL
L21            1 S L19 NOT L20
L22            2 S L19 AND (PY<=2000 OR PRY<=2000 OR AY<=2000)
L23            4 S L19-L22

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L24            1 S 362480-25-9

     FILE 'HCAPLUS' ENTERED AT 08:47:13 ON 16 FEB 2005
L25            3 S L24
L26            4 S L23,L25

     FILE 'USPATFULL' ENTERED AT 08:47:20 ON 16 FEB 2005
L27            4 S L18 OR L24
L28            4 S L27 AND (ELAN?/PA OR (HOM R? OR MAMO S? OR TUNG J? OR GAILUNA

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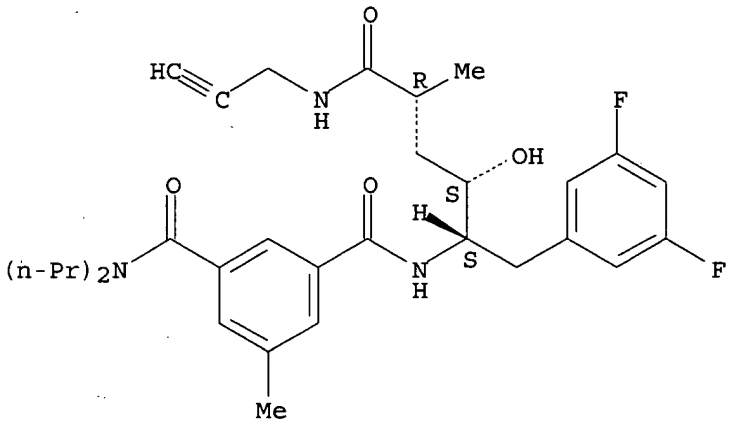
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d l18 ide can tot

L18 ANSWER 1 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-39-5** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C31 H39 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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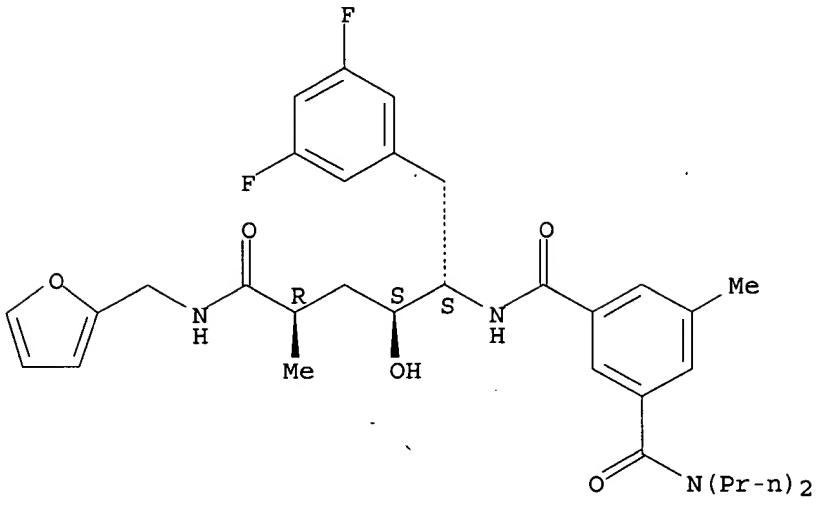
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 2 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-38-4** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H41 F2 N3 O5**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

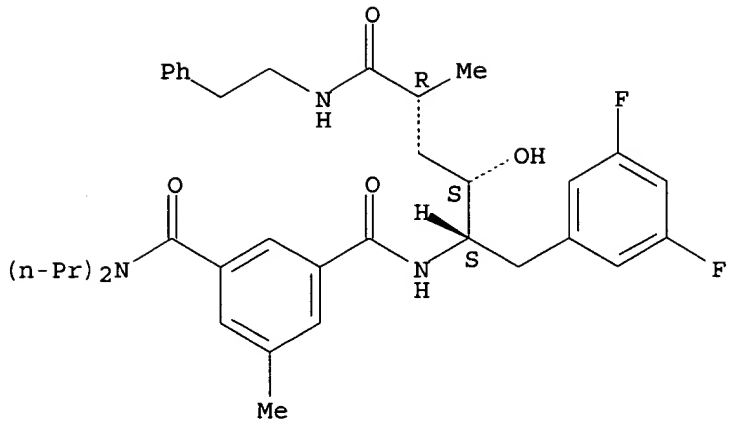
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 3 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-37-3** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C36 H45 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
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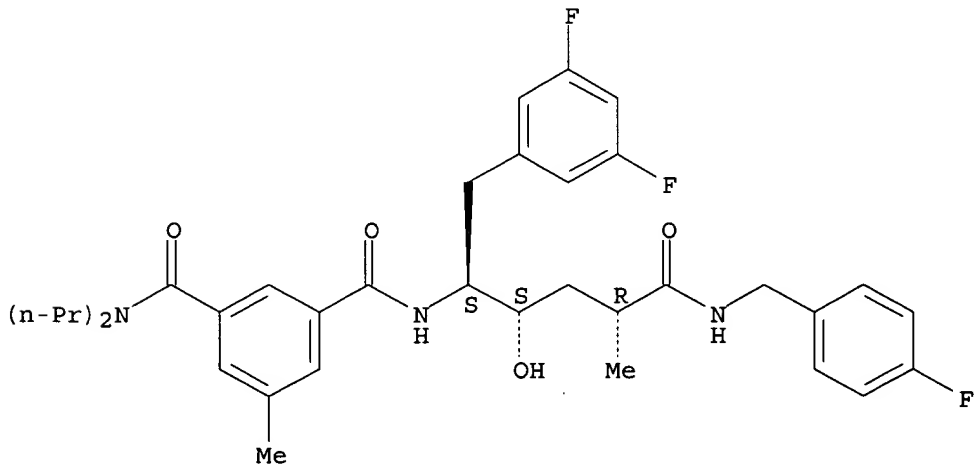
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 4 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-36-2** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C35 H42 F3 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

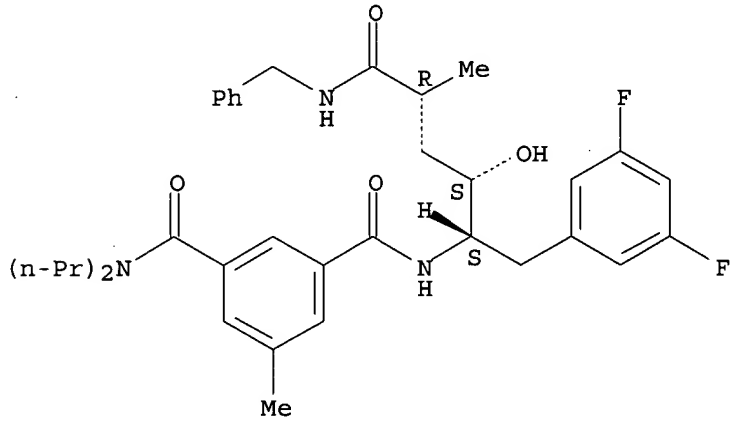
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 5 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-35-1** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C35 H43 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

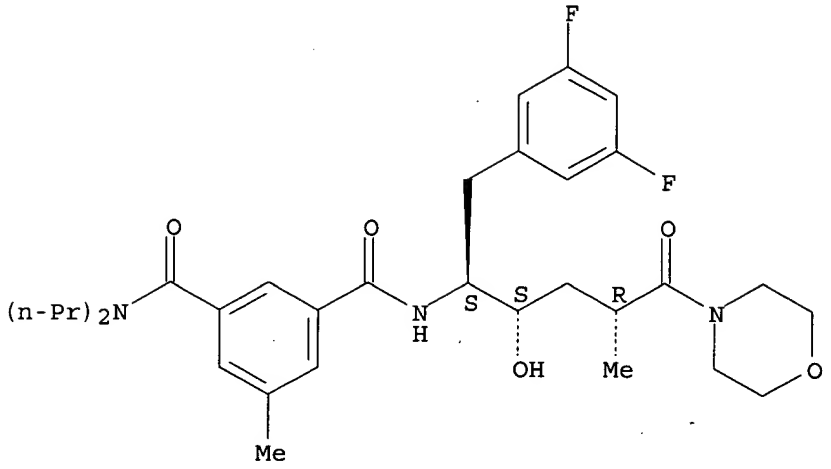
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 6 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-34-0** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H43 F2 N3 O5**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

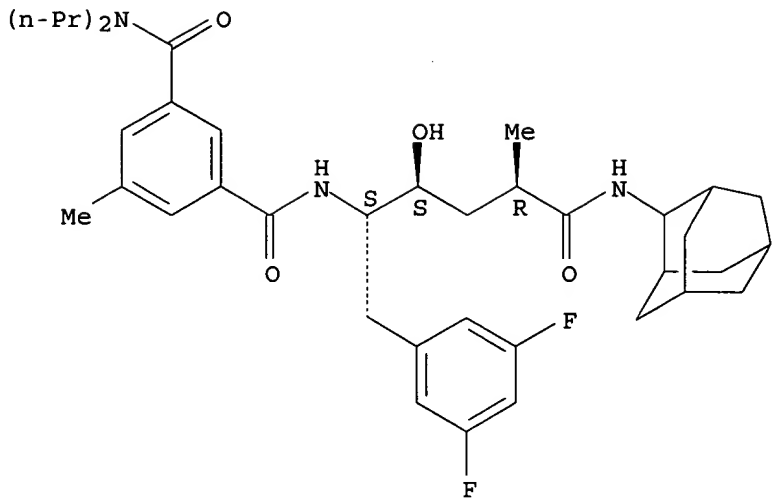
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 7 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-33-9** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C38 H51 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

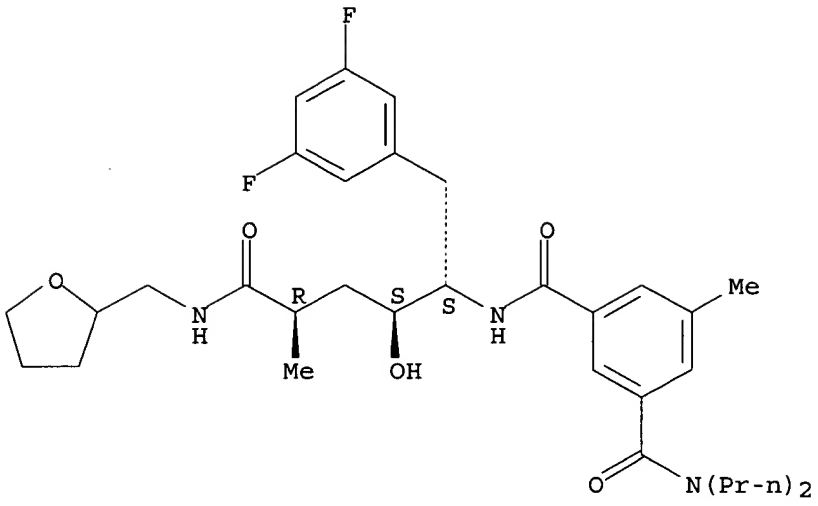
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 8 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-32-8** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H45 F2 N3 O5**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

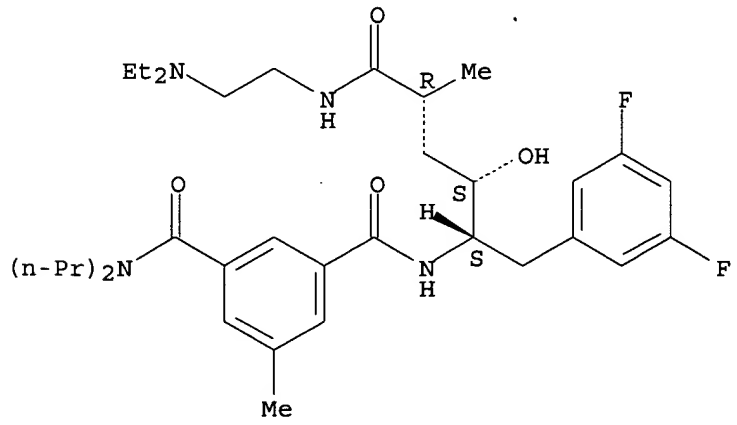
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 9 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-31-7** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C34 H50 F2 N4 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

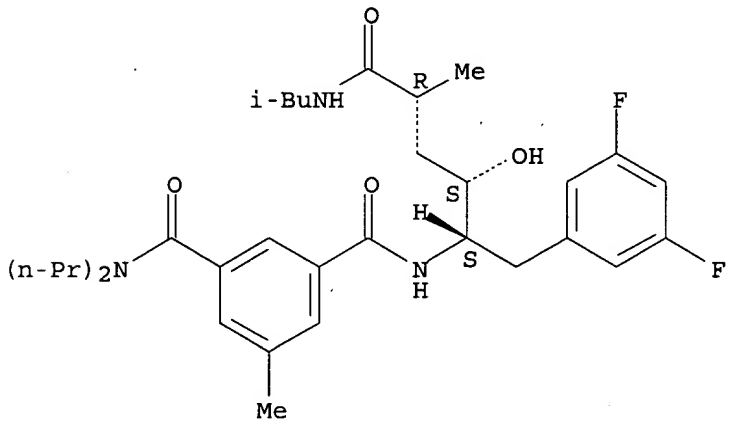
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 10 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-30-6** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H45 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

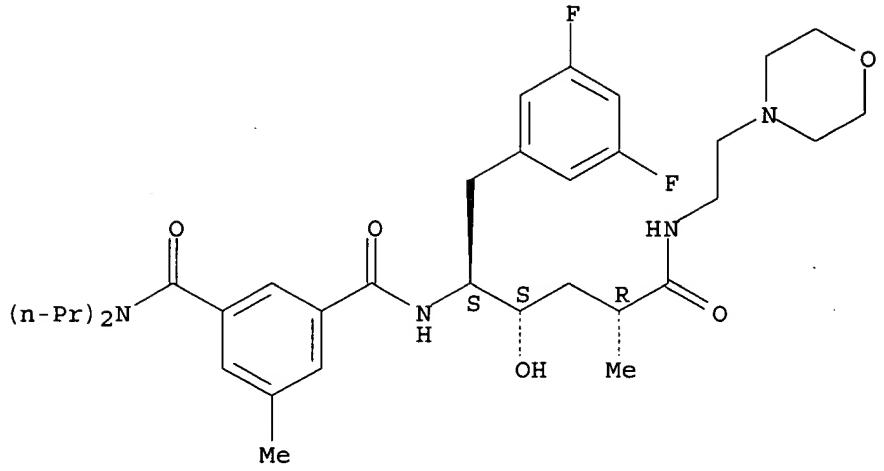
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 11 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-29-3** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C34 H48 F2 N4 O5**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

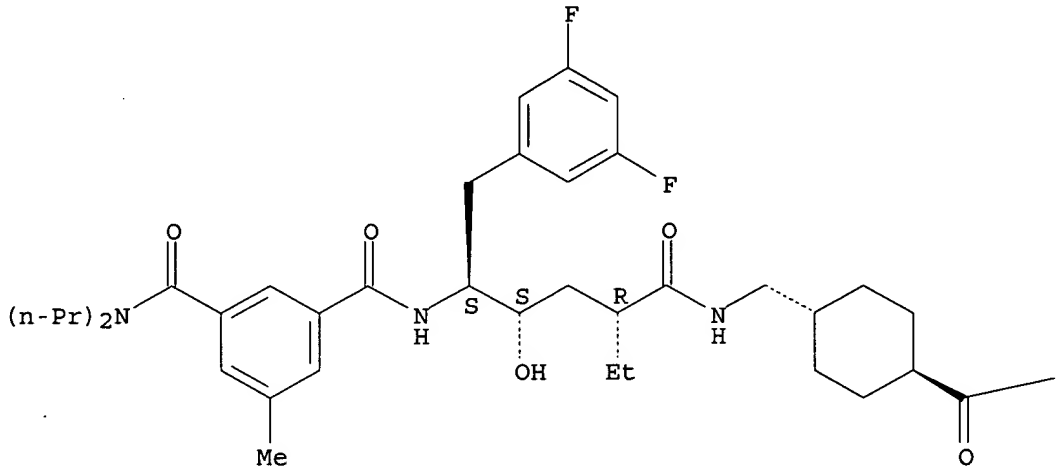
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 12 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-28-2** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C38 H53 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



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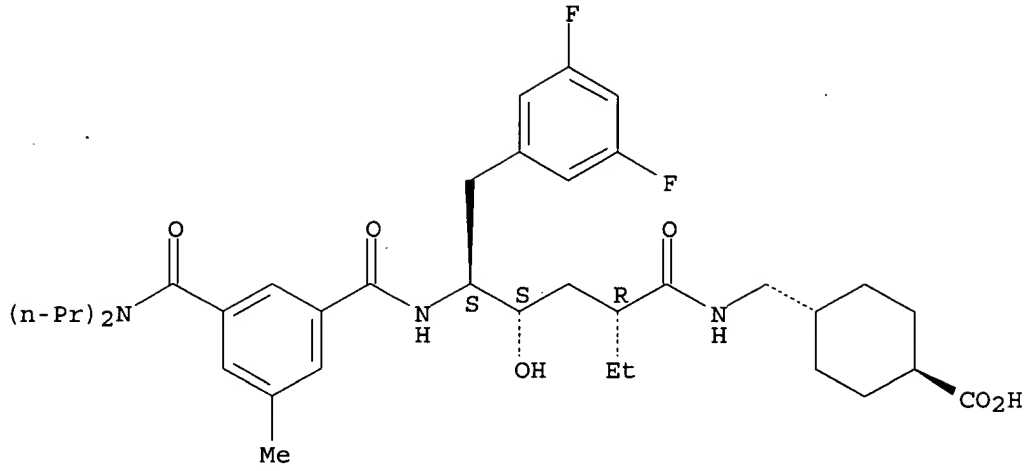
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 13 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-27-1** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C37 H51 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

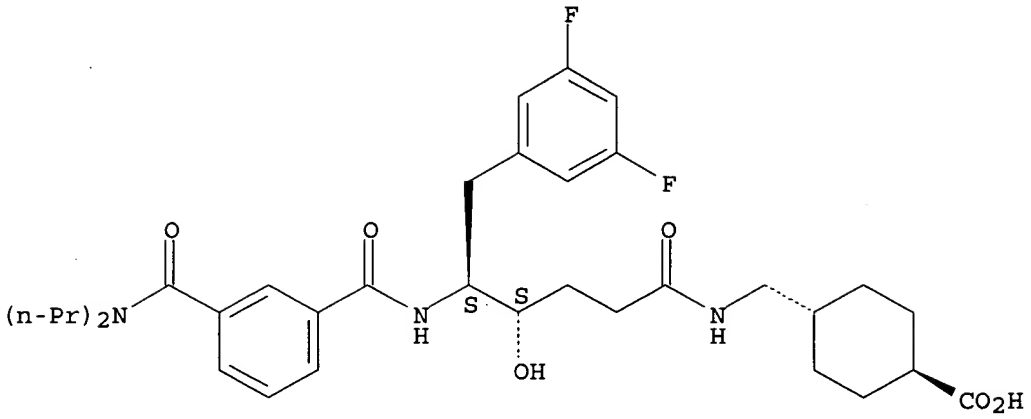
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 14 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-26-0** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C34 H45 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



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3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

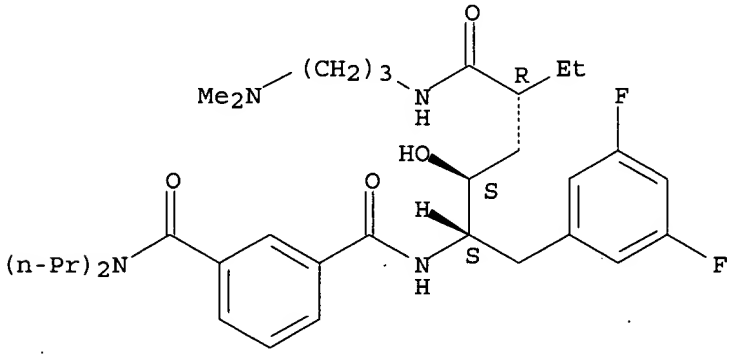
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 15 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-24-8** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H48 F2 N4 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

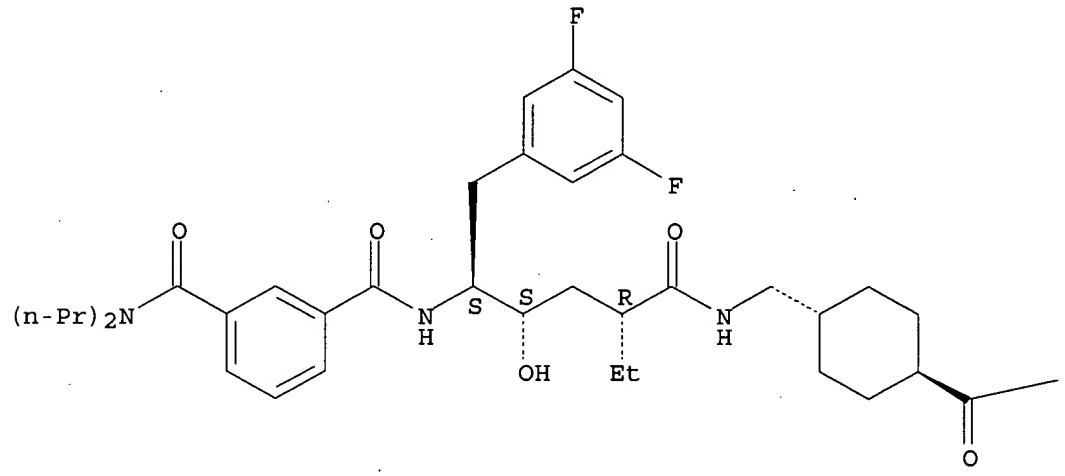
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 16 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-23-7** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C37 H51 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

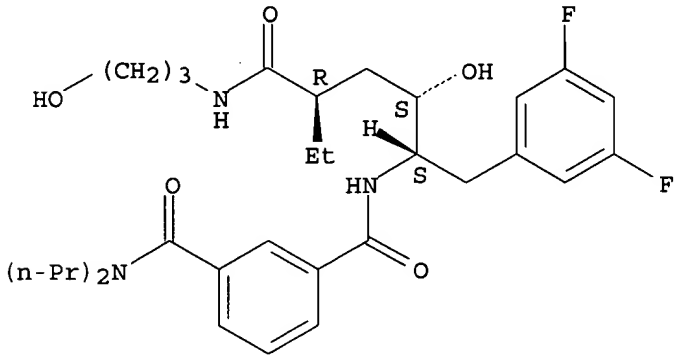
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 17 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-22-6** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C31 H43 F2 N3 O5**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

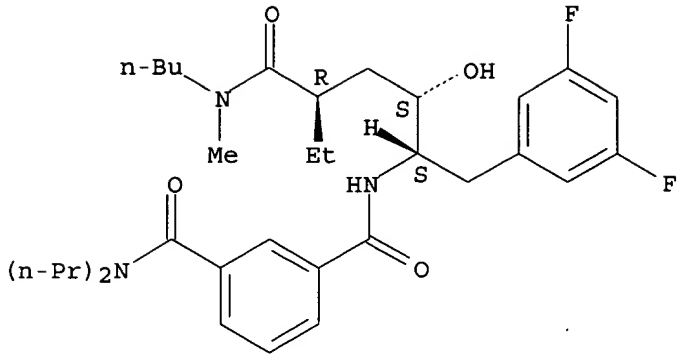
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 18 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-21-5** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H47 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

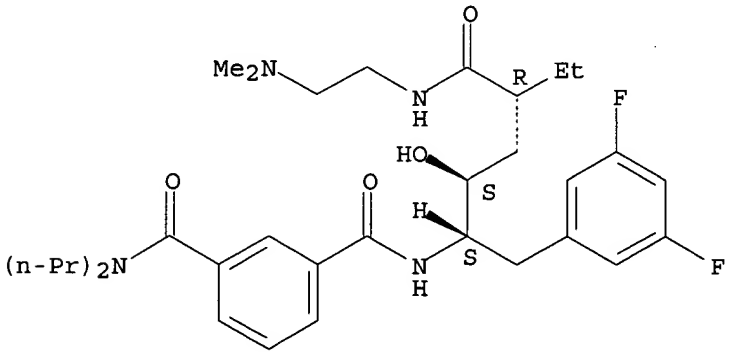
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 19 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-20-4** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H46 F2 N4 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

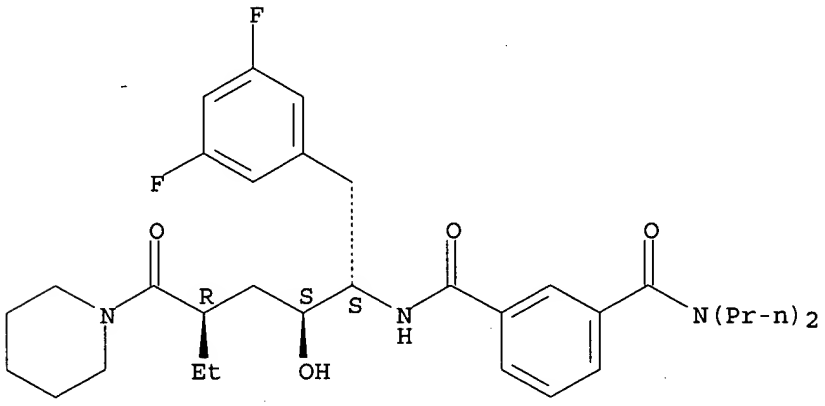
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 20 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-19-1** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H45 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

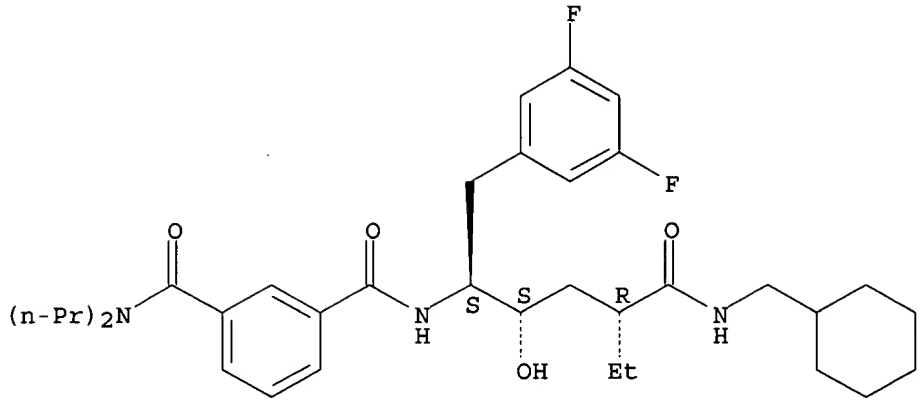
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 21 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-18-0** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C35 H49 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

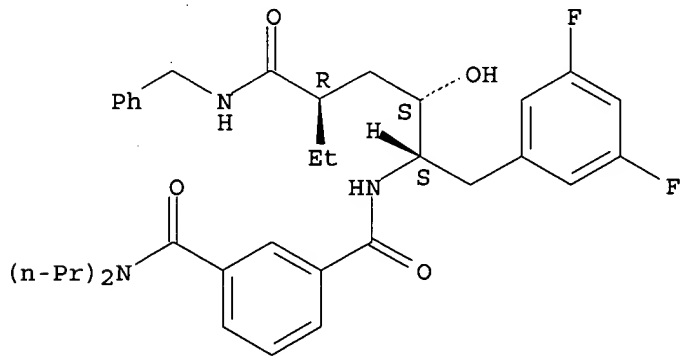
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 22 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-17-9** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C35 H43 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



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REFERENCE 1: 141:374417

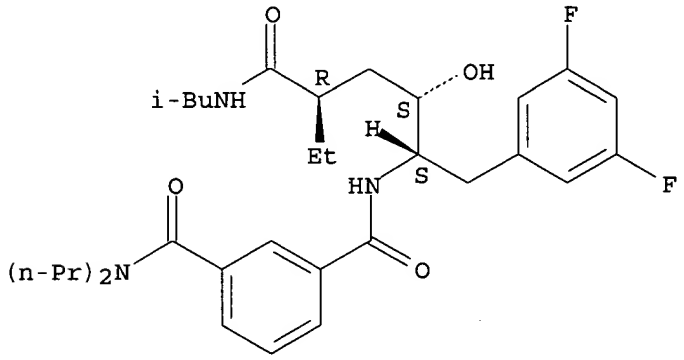
REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 23 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-16-8** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H45 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

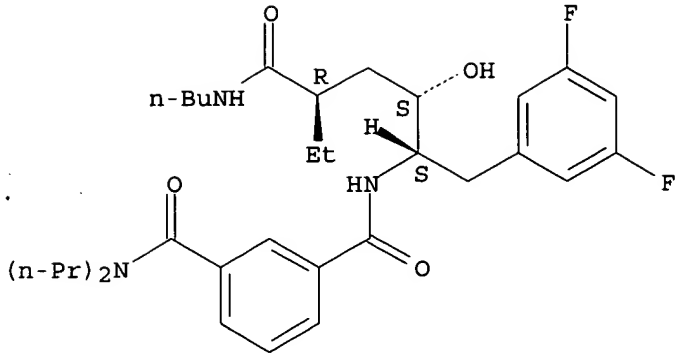
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 24 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-15-7** REGISTRY
CN **1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H45 F2 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

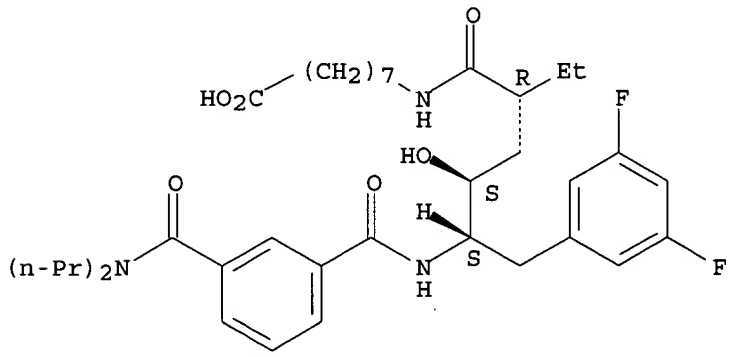
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 25 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-14-6** REGISTRY
CN **Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C36 H51 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

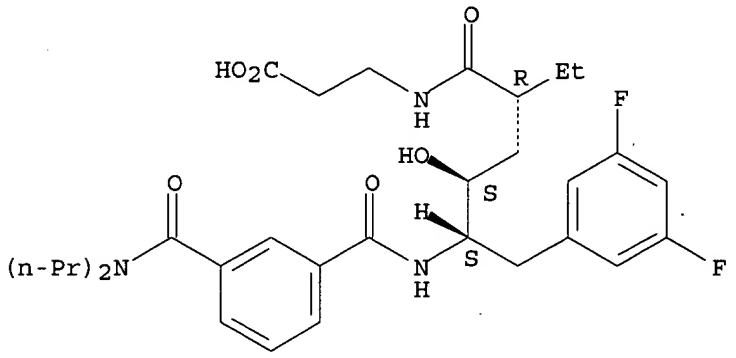
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 26 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-13-5** REGISTRY
CN **β-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C31 H41 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

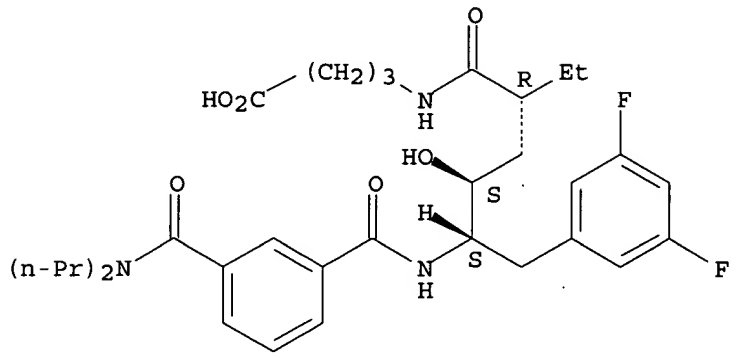
REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 27 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-12-4** REGISTRY
CN **Butanoic acid, 4-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H43 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

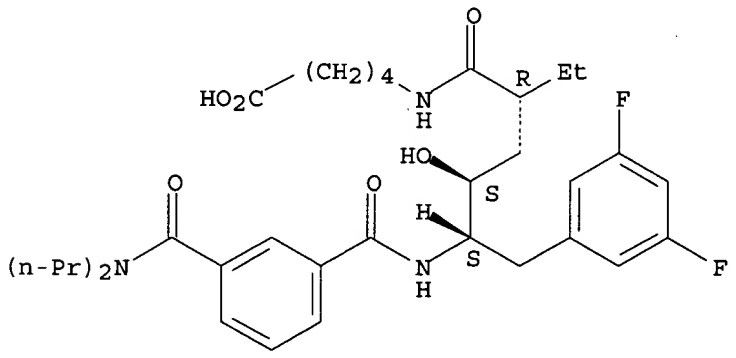
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 28 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-11-3** REGISTRY
CN **Pentanoic acid, 5-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C33 H45 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

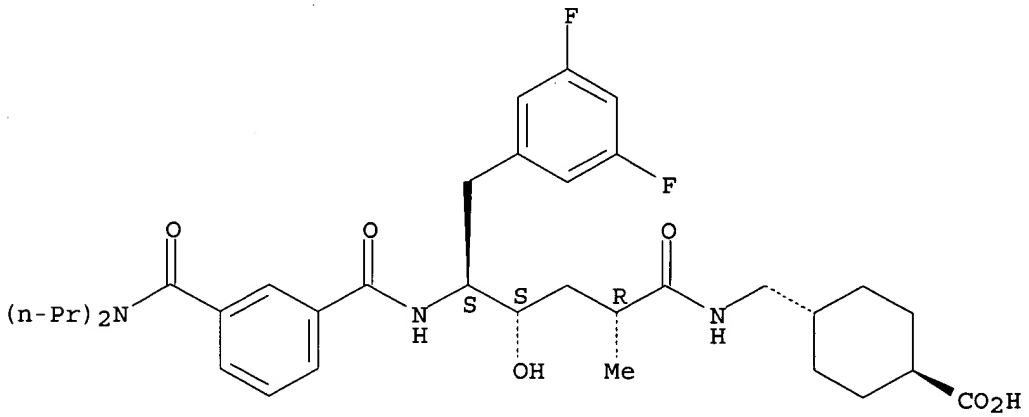
REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 29 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362480-00-0** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C35 H47 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

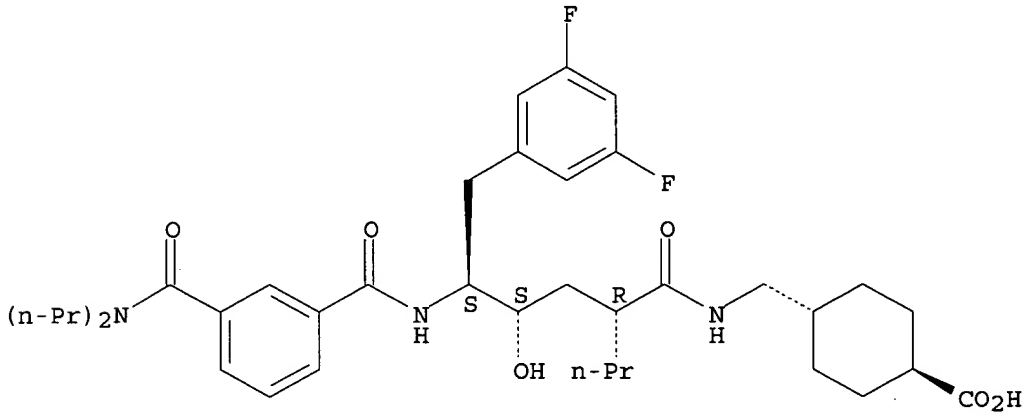
REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 30 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-99-0** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-

[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C37 H51 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

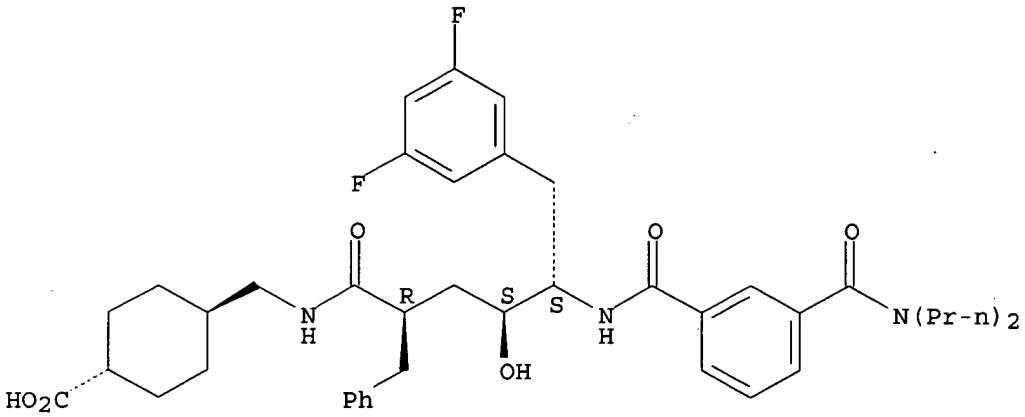
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 31 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-98-9** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C41 H51 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

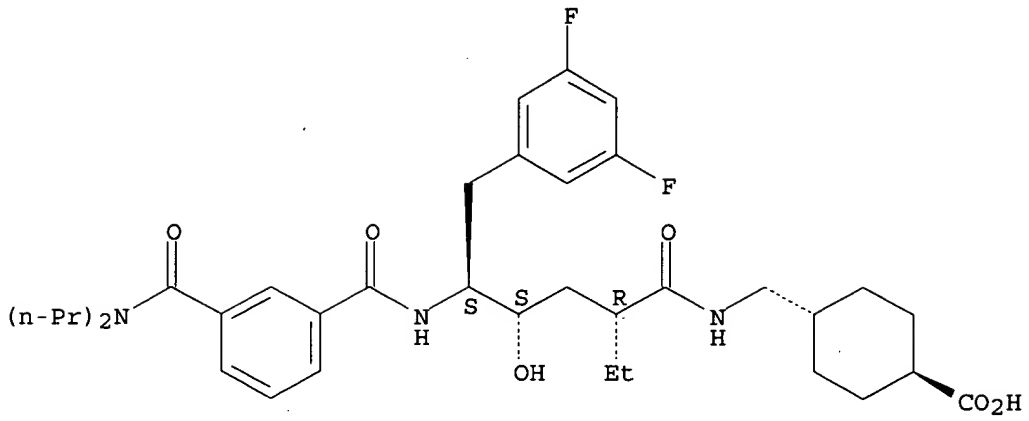
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 32 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-97-8** REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C36 H49 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

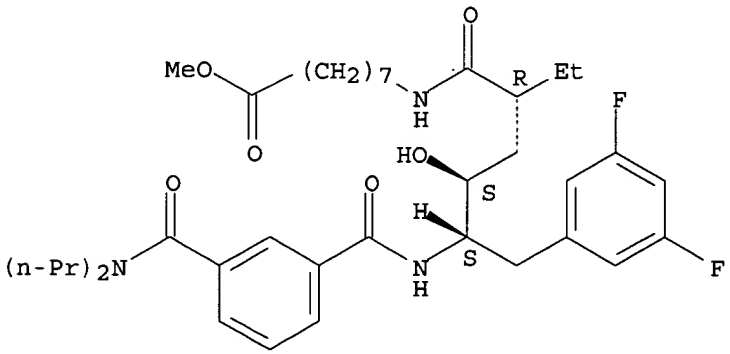
REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 33 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-96-7** REGISTRY
CN **Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C37 H53 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

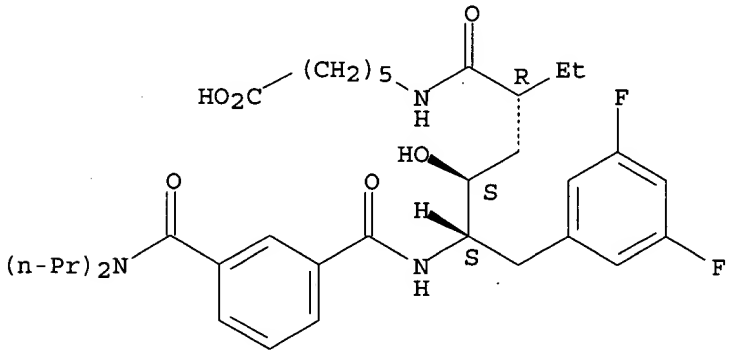
REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 34 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-95-6** REGISTRY
CN **Hexanoic acid, 6-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C34 H47 F2 N3 O6**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

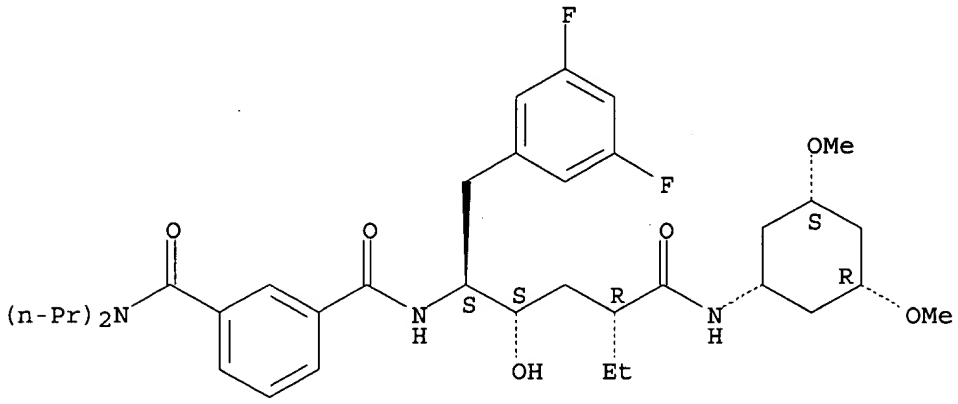
REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 35 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
RN **362479-94-5** REGISTRY
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C36 H51 F2 N3 O6**
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

=> fil hcaplus
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FILE COVERS 1907 - 16 Feb 2005 VOL 142 ISS 8
FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L26 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:729833 HCAPLUS
DN 141:374417
ED Entered STN: 08 Sep 2004
TI Modeling the binding affinities of β-secretase inhibitors: application to subsite specificity
AU Rajamani, Ramkumar; Reynolds, Charles H.
CS Johnson & Johnson Pharmaceutical Research and Development, Spring House, PA, 19477-0776, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(19), 4843-4846
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
CC 1-3 (Pharmacology)
Section cross-reference(s): 7
AB A new linear binding affinity model has been developed for hydroxyethylene based inhibitors of β-secretase (BACE). This model is an improvement over a previously published model, and has been applied to a series of analogs not included in the training set. The linear model has been used to study subsite specificity for the P2 through P2' positions, and to evaluate a small number of C-terminal analogs. The predicted rankings are in good agreement with experiment and support using this model for structure-based design of BACE inhibitors.
ST beta secretase inhibitor mol modeling
IT Binding energy
Molecular modeling
(modeling binding affinities of β-secretase inhibitors)
IT 158736-49-3, β-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modeling binding affinities of β-secretase inhibitors)
IT 314266-76-7, OM99-2 **362479-94-5 362479-97-8 362480-11-3 362480-13-5 362480-17-9** 364635-79-0 364635-80-3 364635-81-4 364635-82-5 364635-83-6 364635-84-7 364635-85-8 364635-86-9 364635-90-5 440326-00-1 452898-62-3, OM00-3
RL: PAC (Pharmacological activity); BIOL (Biological study)
(modeling binding affinities of β-secretase inhibitors)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Gosh, A; J Med Chem 2001, V44, P2865
(2) Hom, R; J Med Chem 2004, V47, P158 HCAPLUS
(3) Hong, L; Biochemistry 2002, V41, P10963 HCAPLUS
(4) Hong, L; Science 2000, V290, P150 HCAPLUS
(5) Insightful; S-Plus, Version 6.0 2001
(6) Jorgensen, W; J Am Chem Soc 1988, V110, P1657 HCAPLUS
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(8) Qui, D; J Phys Chem A 1997, V101, P3005
(9) Roggo, A; Curr Top Med Chem 2002, V2, P359
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(14) Tounge, B; J Med Chem 2003, V46, P2074 HCAPLUS
(15) Tung, J; J Med Chem 2002, V45, P259 HCAPLUS
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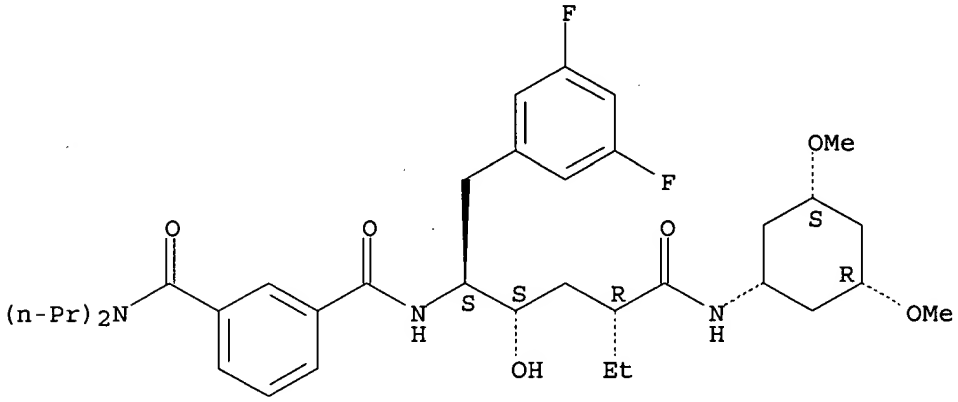
(17) Varghese, J; J Med Chem 2003, V46, P1
(18) Vassar, R; Neuron 2000, V27, P419 HCAPLUS
IT **362479-94-5 362479-97-8 362480-11-3 362480-13-5 362480-17-9**
RL: PAC (Pharmacological activity); BIOL (Biological study)

(modeling binding affinities of β-secretase inhibitors)

RN 362479-94-5 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

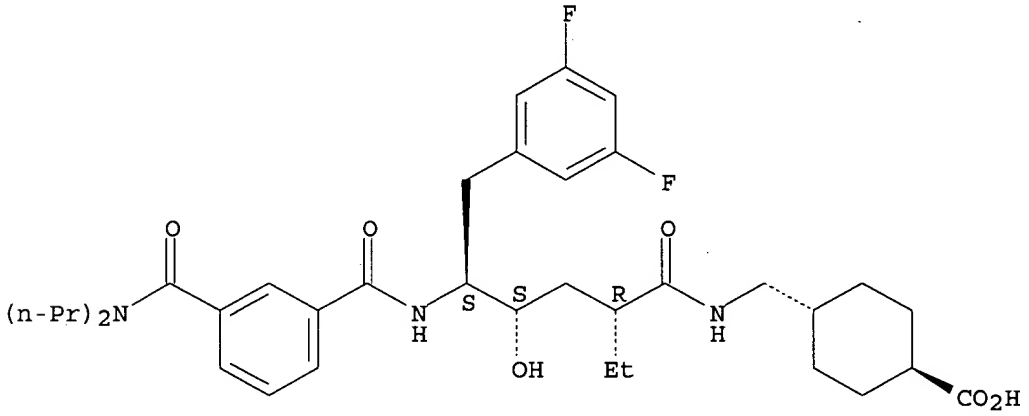
Absolute stereochemistry.



RN 362479-97-8 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

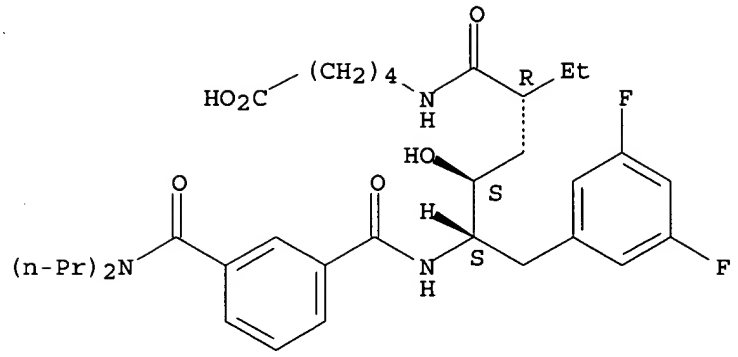
Absolute stereochemistry.



RN 362480-11-3 HCAPLUS

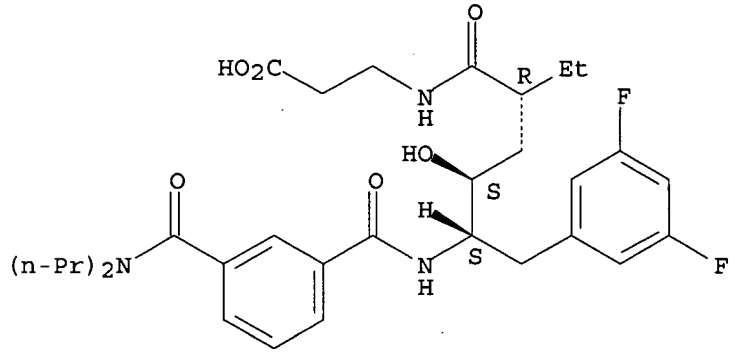
CN Pentanoic acid, 5-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



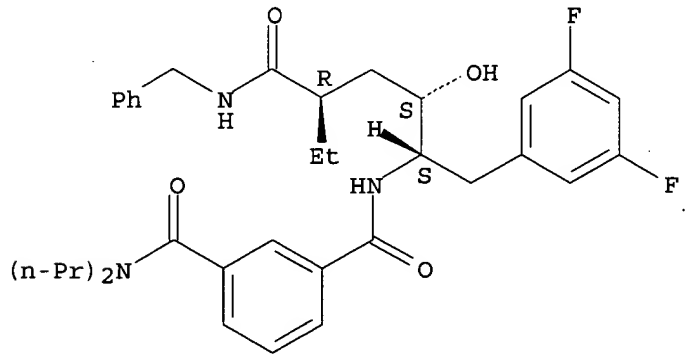
RN 362480-13-5 HCAPLUS
CN β-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



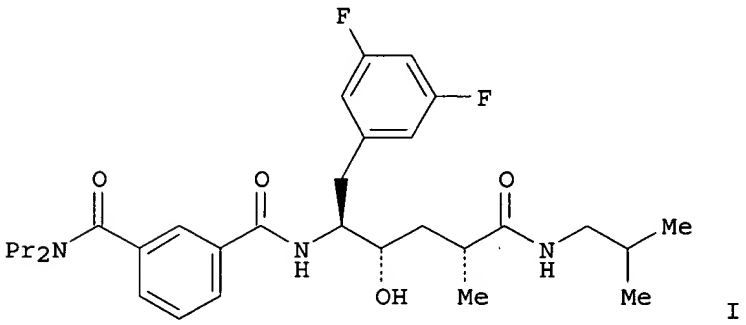
RN 362480-17-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:961356 HCAPLUS

DN 140:146499
ED Entered STN: 10 Dec 2003
TI Design and Synthesis of Hydroxyethylene-Based Peptidomimetic Inhibitors of Human β-Secretase
AU **Hom, Roy K.; Gailunas, Andrea F.; Mamo, Shumeye; Fang, Larry Y.; Tung, Jay S.;** Walker, Donald E.; Davis, David; Thorsett, Eugene D.; Jewett, Nancy E.; Moon, Joseph B.; **John, Varghese**
CS **Elan, South San Francisco, CA, 94080, USA**
SO Journal of Medicinal Chemistry (2004), 47(1), 158-164
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
GI



I

AB The hydroxyethylene (HE) transition state isostere was developed as a scaffold to provide potent, small mol. inhibitors of human β-secretase (BACE). The previous work on the statine series proved critical to the discovery of HE structure-activity relationships. In this work, peptidomimetic I with the N-terminal isophthalamide proved to be the most potent HE inhibitor (IC50 = 30 nM) toward BACE. Unlike the statine series, the authors identified HE inhibitors without carboxylic acids on the C terminus, leading to enhanced cell penetration and making them attractive candidates for further drug development in Alzheimer's disease.

ST hydroxyethylene isostere peptidomimetic prepn inhibitor beta secretase
IT Structure-activity relationship
(enzyme-inhibiting; preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT Human
Peptidomimetics
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT Alzheimer's disease
(preparation of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase and as potential drug candidates)
IT 158736-49-3, β-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT 362479-93-4P **362479-94-5P 362479-95-6P**
362479-96-7P 362479-97-8P 362479-98-9P
362479-99-0P 362480-00-0P 362480-11-3P
362480-12-4P 362480-13-5P 362480-14-6P
362480-17-9P 362480-25-9P 362480-26-0P

651054-94-3P 651054-95-4P 651054-96-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT 485389-88-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT 485389-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
IT 56-12-2, reactions 60-32-2 100-46-9, Benzyl amine, reactions 107-95-9, β-Alanine 660-88-8 1002-57-9 1197-18-8 59080-49-8 126926-35-0, N,N-Dipropylisophthalamic acid 337531-15-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation hydroxyethylene-based peptidomimetics)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Askin, D; J Org Chem 1992, V57, P2771 HCAPLUS
(2) Basha, A; Tetrahedron Lett 1977, P4171 HCAPLUS
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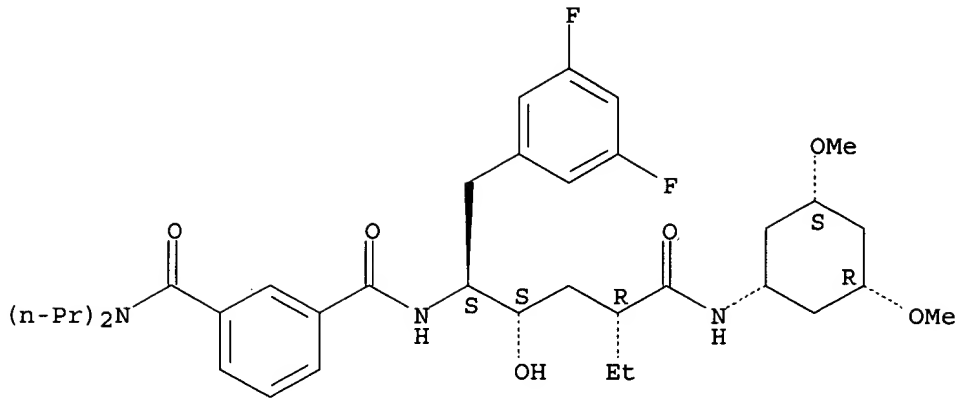
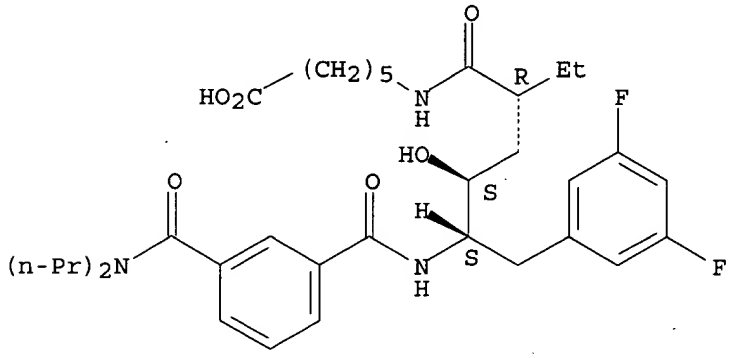
(17) Selkoe, D; Nature 1999, V399(Suppl 6738), PA23
(18) Sinha, S; Nature 1999, V402, P537 HCAPLUS
(19) Sinha, S; Proc Natl Acad Sci U S A 1999, V96, P11049 HCAPLUS
(20) Tung, J; J Med Chem 2002, V45, P259 HCAPLUS
(21) Vassar, R; Science 1999, V286, P735 HCAPLUS
(22) Yan, R; Nature 1999, V402, P533 HCAPLUS
IT **362479-94-5P 362479-95-6P 362479-96-7P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P 362480-17-9P 362480-25-9P 362480-26-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β-secretase)
RN 362479-94-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



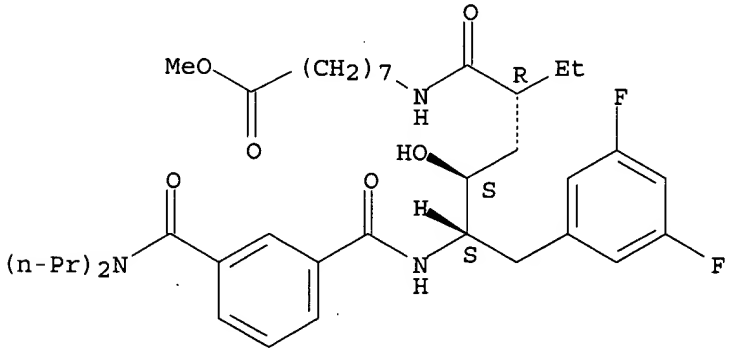
RN 362479-95-6 HCAPLUS
CN Hexanoic acid, 6-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362479-96-7 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

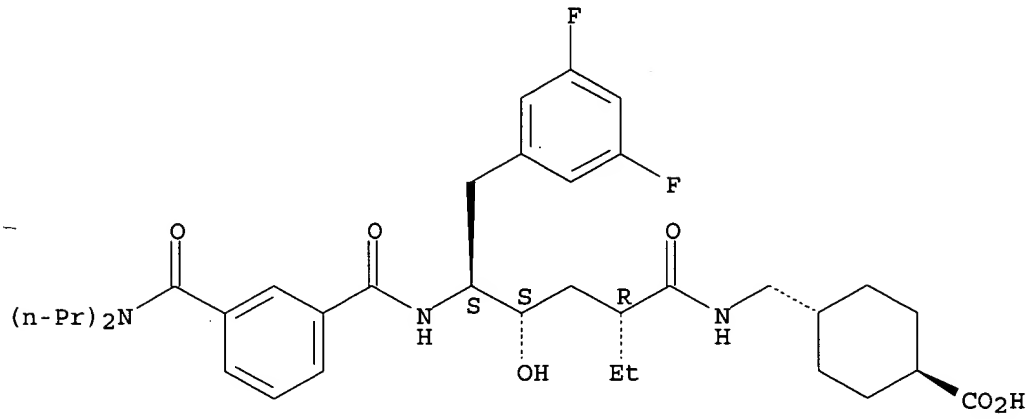
Absolute stereochemistry.



RN 362479-97-8 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-

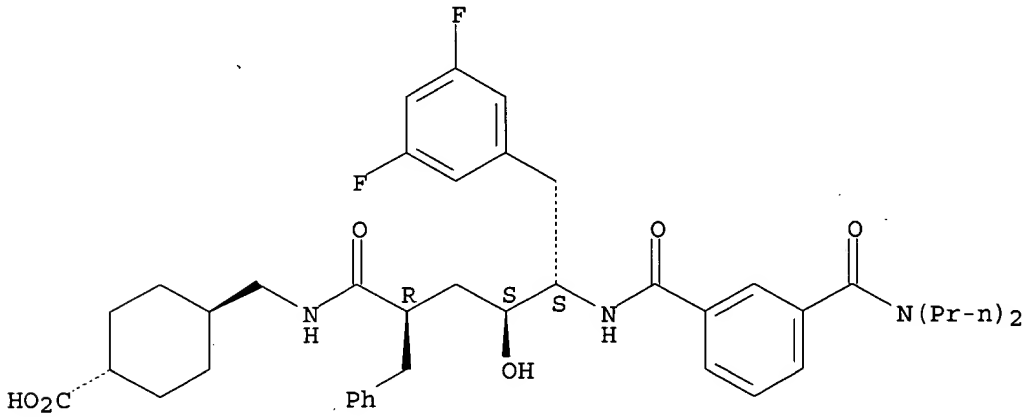
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



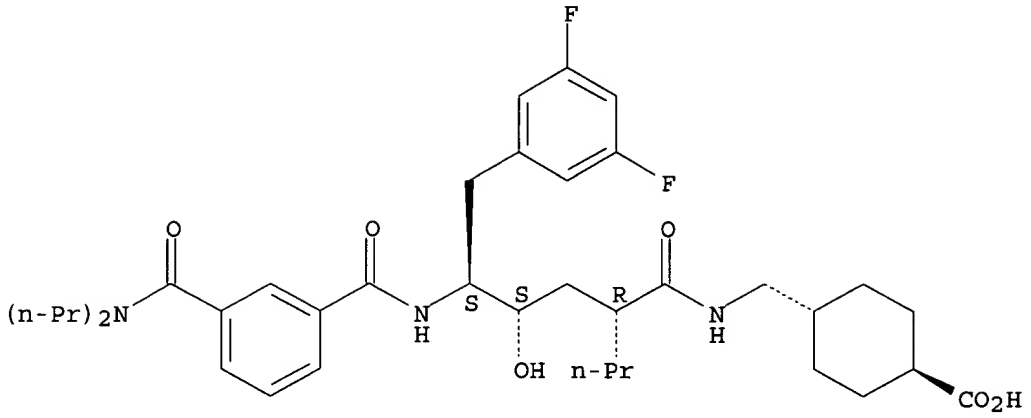
RN 362479-98-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



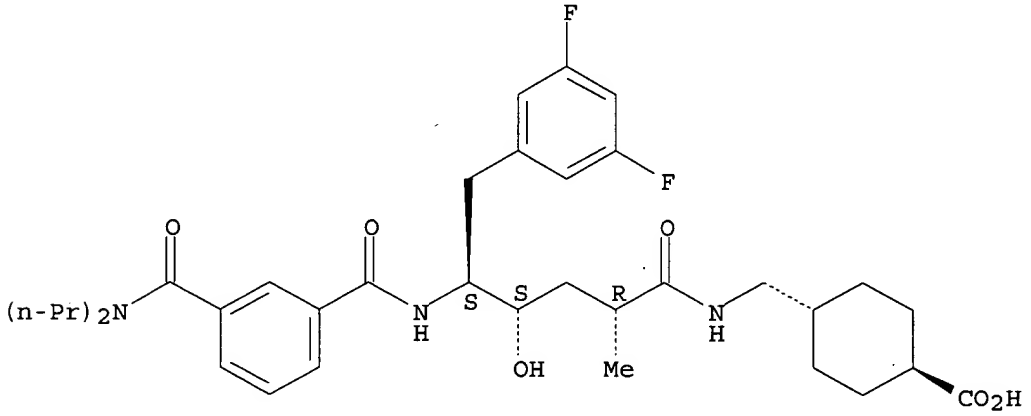
RN 362479-99-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



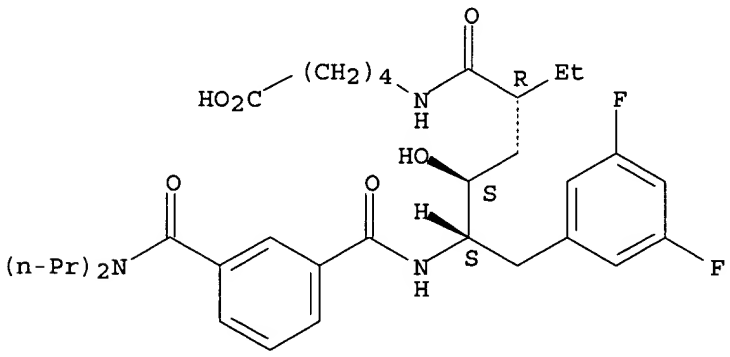
RN 362480-00-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



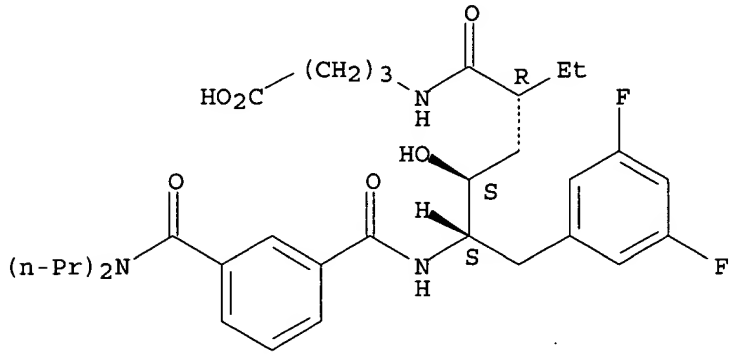
RN 362480-11-3 HCAPLUS
CN Pentanoic acid, 5-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



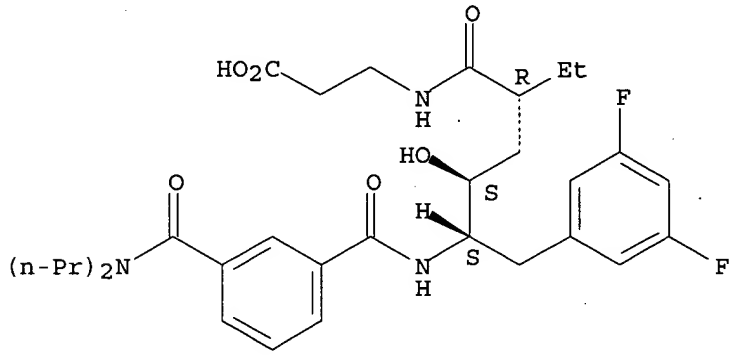
RN 362480-12-4 HCAPLUS
CN Butanoic acid, 4-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



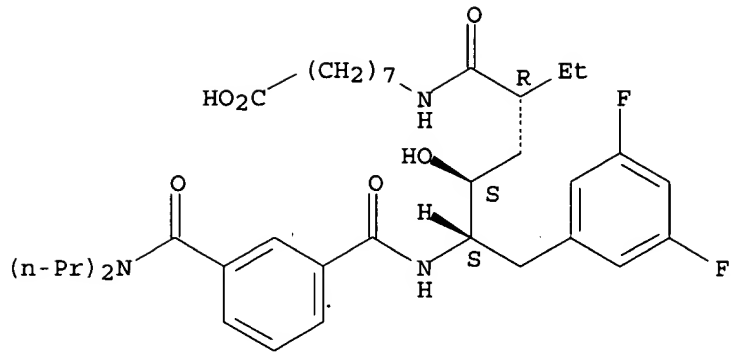
RN 362480-13-5 HCAPLUS
CN β-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



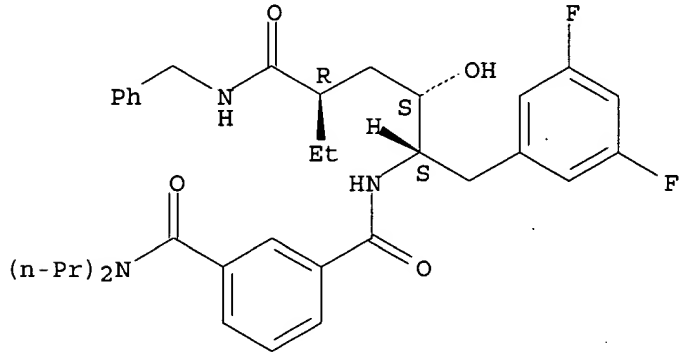
RN 362480-14-6 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



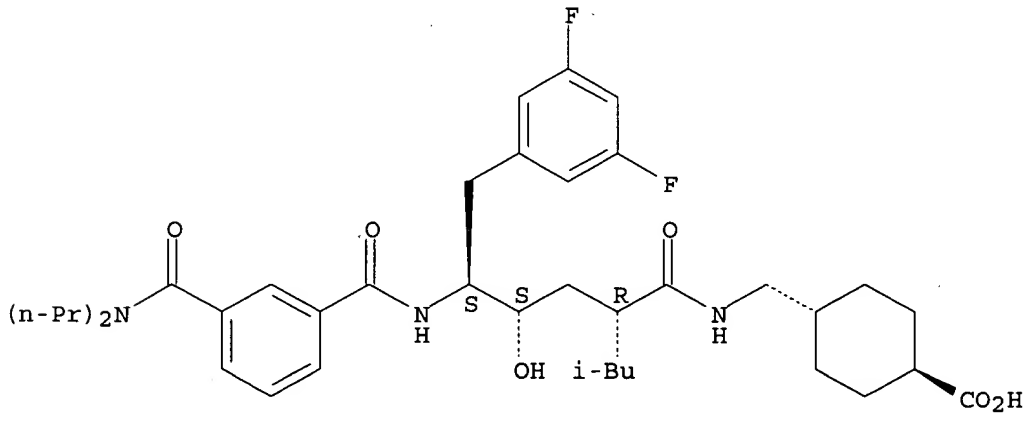
RN 362480-17-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-25-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

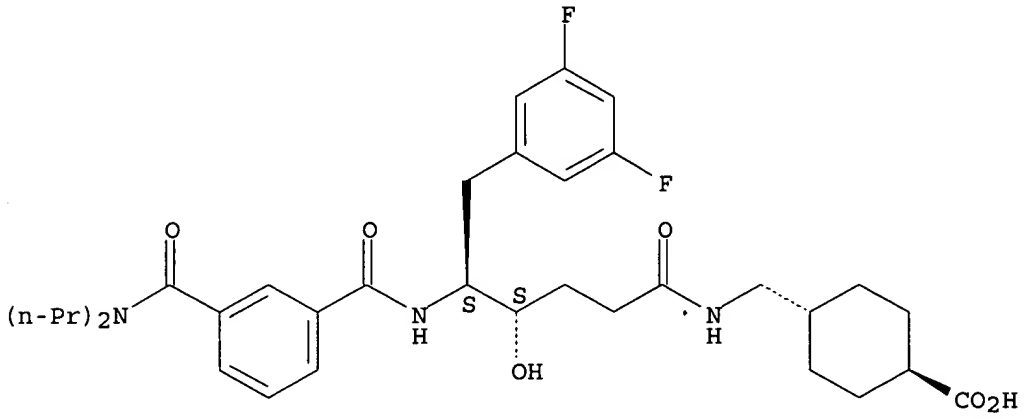
Absolute stereochemistry.



RN 362480-26-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:43054 HCAPLUS
DN 138:107007
ED Entered STN: 17 Jan 2003
TI Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating Alzheimer's disease
IN **Hom, Roy**; **Mamo, Shumeye**; **Tung, Jay**; **Gailunas, Andrea**; **John, Varghese**; **Fang, Lawrence**
PA USA
SO U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D333-52
ICS C07C229-00; C07D215-12; C07D213-53; C07D209-14
NCL 544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003013881	A1	20030116	US 2001-960634	20010921 <--
	US 2002019403	A1	20020214	US 2001-816876	20010323 <--
	US 2002022623	A1	20020221	US 2001-815960	20010323 <--
	US 6737420	B2	20040518		
	US 2004214846	A1	20041028	US 2004-847819	20040518 <--
PRAI	US 2000-191528P	P	20000323 <--		
	US 2001-815960	A2	20010323		
	US 2001-816876	A2	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	ICS	C07C229-00; C07D215-12; C07D213-53; C07D209-14
	NCL	544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000
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C07D307/52; C07K005/02C; C07K007/02 <--
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C07D307/52; C07K005/02C; C07K007/02 <--
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C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C;
C07D307/52; C07K005/02C; C07K007/02 <--

OS MARPAT 138:107007

AB The invention is directed toward substituted hydroxyethylene compds. having the fragment -NHCHR1CH(OH)CH2CHR2CO- [R1 = alkyl, alkylthioalkyl, alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclylalkyl, or heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in treating Alzheimer's disease and similar diseases. In an example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophathalamide was prepared by solution-based methodol.

ST peptide aminohydroxypentanoic acid prepn treatment Alzheimers; hydroxypentanoic acid amino prepn treatment Alzheimers

IT Amyloidosis
(Dutch-Type; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease
(amyloid angiopathy; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Hemorrhage
(cerebral, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Mental disorder
(cognitive; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease
(cortical basal degeneration; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Mental disorder
(dementia; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Cognition
(disorder; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease
(hemorrhage, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Alzheimer's disease
Down's syndrome
Human
Parkinson's disease
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Paralysis
(pseudobulbar; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β-; preparation of amino(hydroxy)pentanoic acid derivs. for treating

Alzheimer's disease)

IT 158736-49-3, β Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT **362479-96-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT **362480-11-3P 362480-12-4P 362480-13-5P**
362480-14-6P 362480-15-7P 362480-16-8P
362480-17-9P 362480-18-0P 362480-19-1P
362480-20-4P 362480-21-5P 362480-22-6P
362480-23-7P 362480-24-8P 362480-25-9P
362480-26-0P 362480-27-1P 362480-28-2P
362480-29-3P 362480-30-6P 362480-31-7P
362480-32-8P 362480-33-9P 362480-34-0P
362480-35-1P 362480-36-2P 362480-37-3P
362480-38-4P 362480-39-5P 485807-13-4P 485807-14-5P
485807-15-6P 485807-16-7P 485807-17-8P 485807-18-9P 485807-19-0P
485807-20-3P 485807-21-4P 485807-22-5P 485807-23-6P 485807-24-7P
485807-25-8P 485807-26-9P 485807-27-0P 485807-28-1P 485807-29-2P
485807-30-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

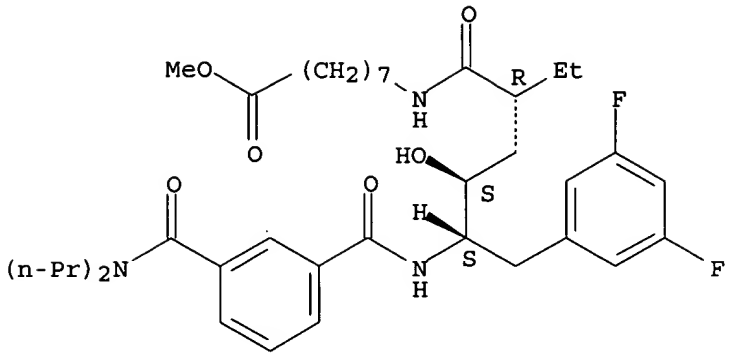
IT 60-32-2, 6 Aminohexanoic acid 78-84-2, Isobutyraldehyde 79-03-8, Propionyl chloride 79-30-1, Isobutyryl chloride 90-82-4, + Pseudoephedrine 116-11-0, 2 Methoxy 1 propene 638-29-9, Pentanoyl chloride 645-45-4, 3 Phenylpropionyl chloride 701-54-2 1002-57-9, 8 Aminooctanoic acid 1197-18-8 1826-67-1, Vinylmagnesium bromide 6341-54-4 18469-52-8 68683-72-7 74733-38-3 83646-27-9 126456-43-7 126926-35-0, n n Dipropylisophthalamic acid 205445-52-9 337531-15-4 362480-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT 362479-88-7P 362479-89-8P 362479-90-1P 362479-91-2P 362479-92-3P
362479-93-4P **362479-94-5P 362479-95-6P**
362479-97-8P 362479-98-9P 362479-99-0P
362480-00-0P 362480-01-1P 362480-02-2P 362480-03-3P
362480-04-4P 362480-05-5P 362480-09-9P 362480-10-2P 485389-88-6P
485389-89-7P 485389-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT **362479-96-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-96-7 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

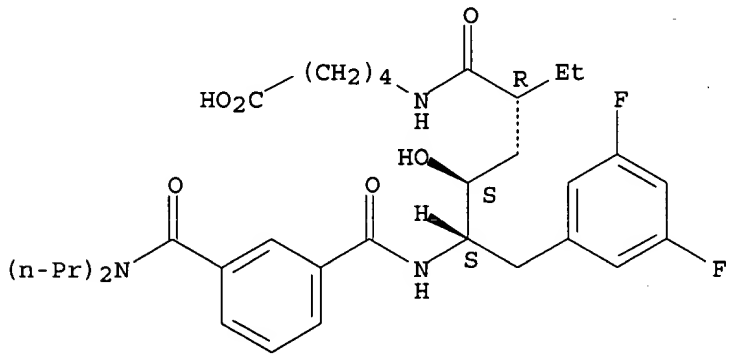
Absolute stereochemistry.



IT **362480-11-3P 362480-12-4P 362480-13-5P**
362480-14-6P 362480-15-7P 362480-16-8P
362480-17-9P 362480-18-0P 362480-19-1P
362480-20-4P 362480-21-5P 362480-22-6P
362480-23-7P 362480-24-8P 362480-25-9P
362480-26-0P 362480-27-1P 362480-28-2P
362480-29-3P 362480-30-6P 362480-31-7P
362480-32-8P 362480-33-9P 362480-34-0P
362480-35-1P 362480-36-2P 362480-37-3P
362480-38-4P 362480-39-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

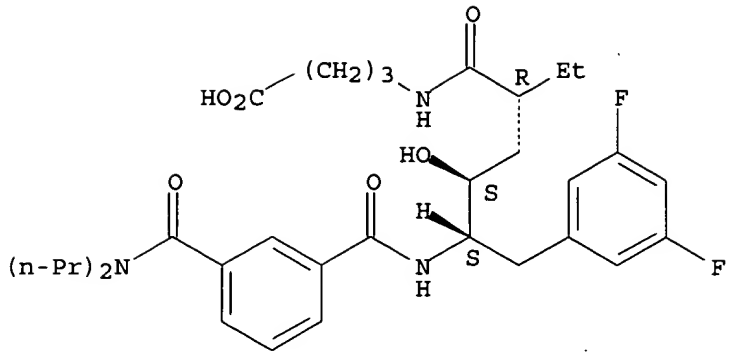
RN 362480-11-3 HCAPLUS
CN Pentanoic acid, 5-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



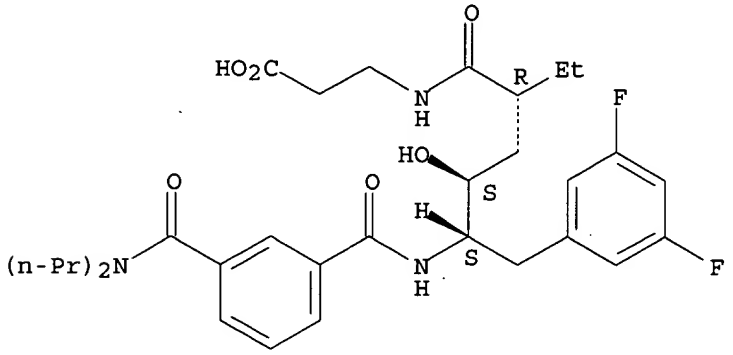
RN 362480-12-4 HCAPLUS
CN Butanoic acid, 4-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



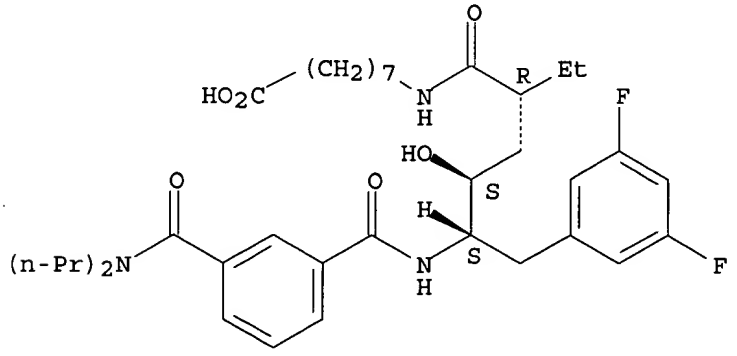
RN 362480-13-5 HCAPLUS
CN β-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-14-6 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

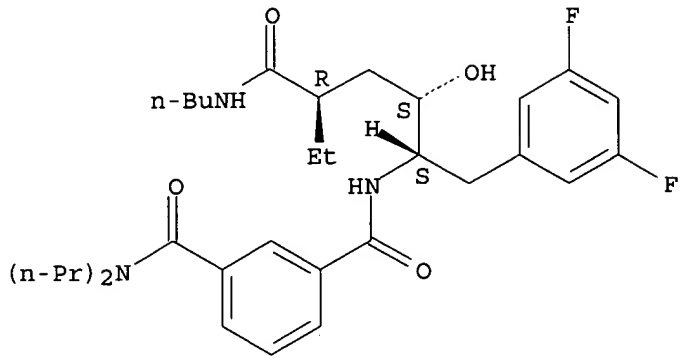
Absolute stereochemistry.



RN 362480-15-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX

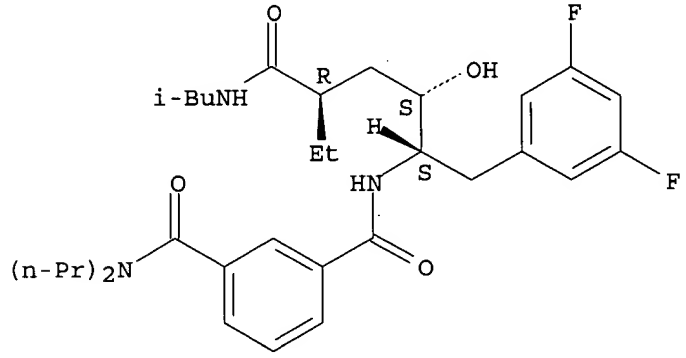
NAME)

Absolute stereochemistry.



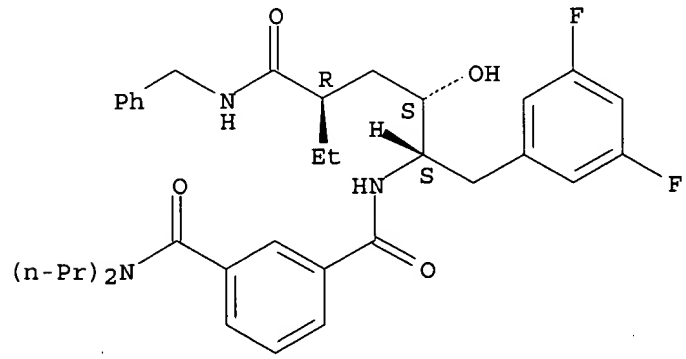
RN 362480-16-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



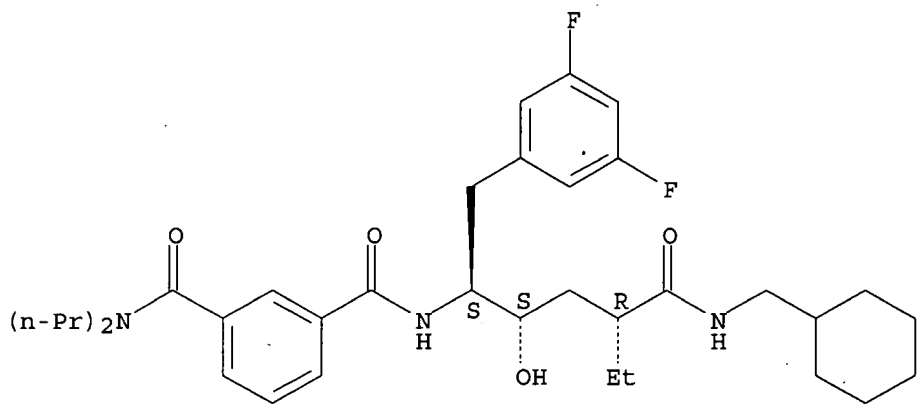
RN 362480-17-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



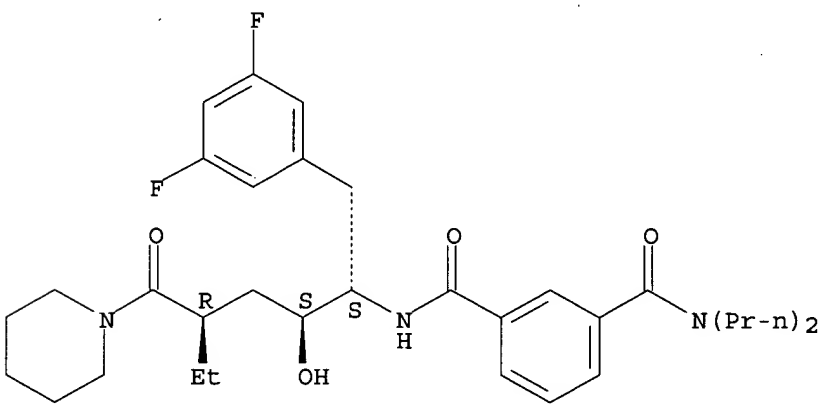
RN 362480-18-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



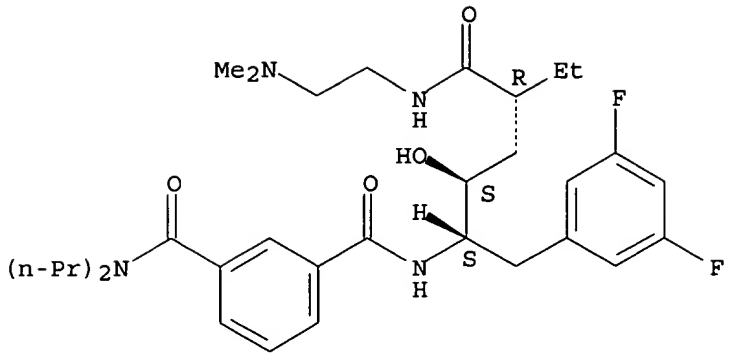
RN 362480-19-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



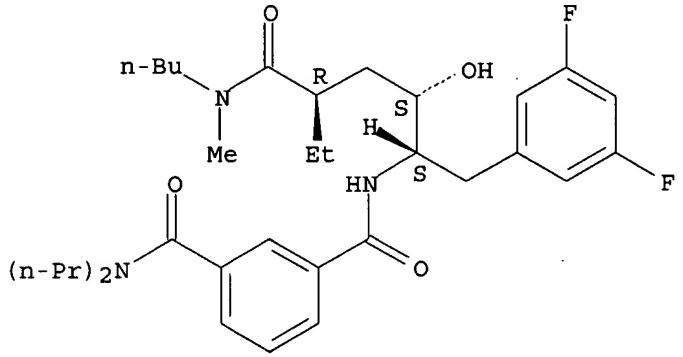
RN 362480-20-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



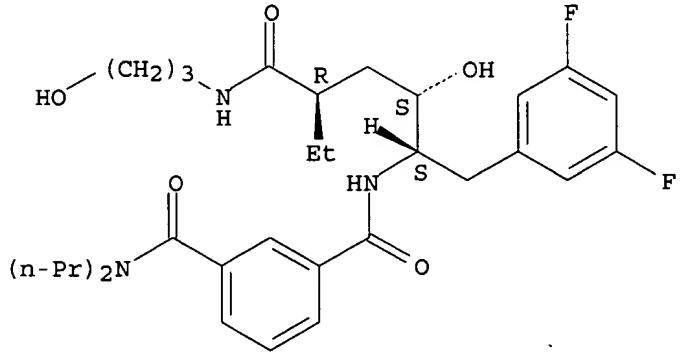
RN 362480-21-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-22-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-23-7 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-

oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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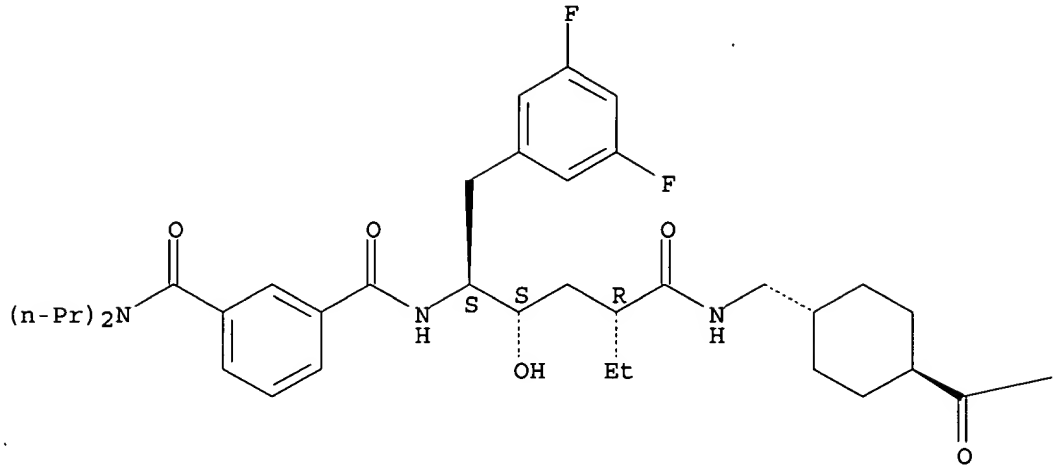

PAGE 1-B

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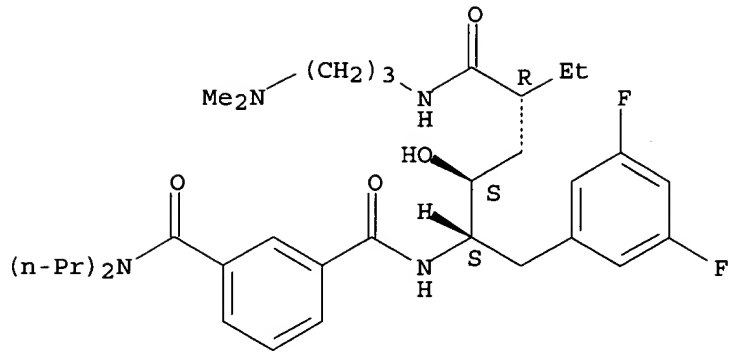
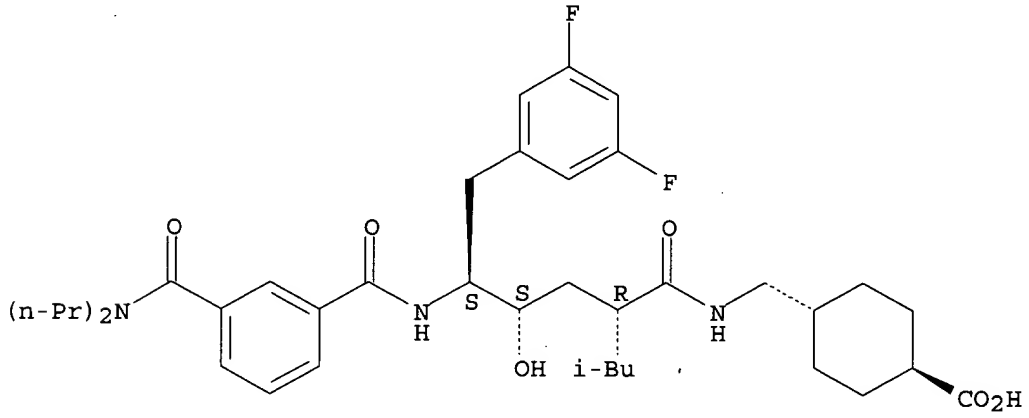
RN 362480-24-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



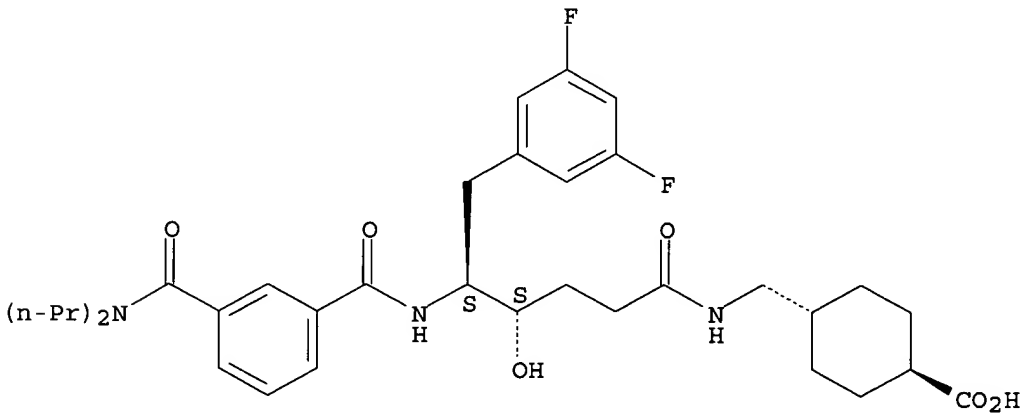
RN 362480-25-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



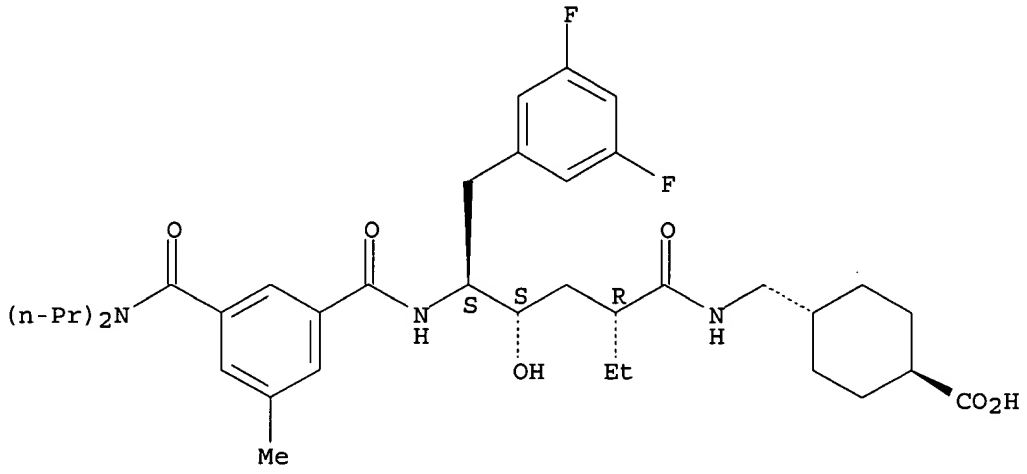
RN 362480-26-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-27-1 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-28-2 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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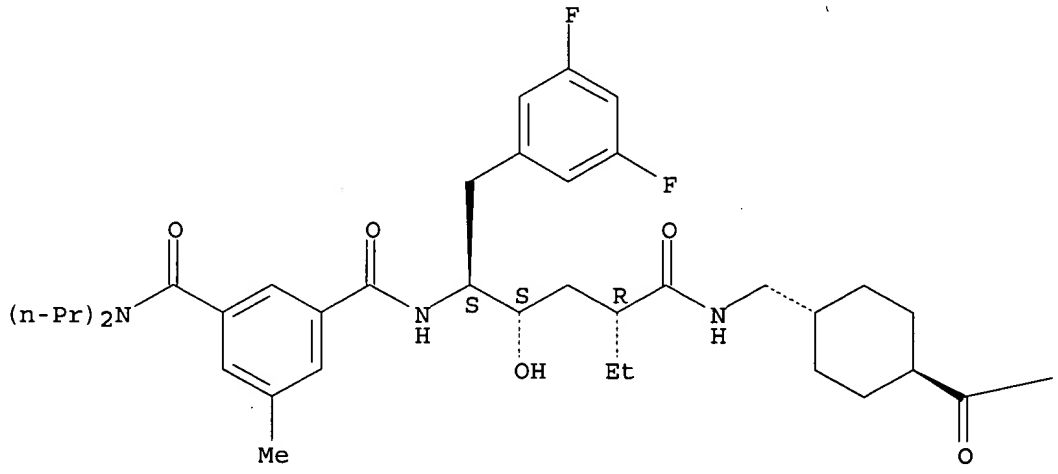

PAGE 1-B

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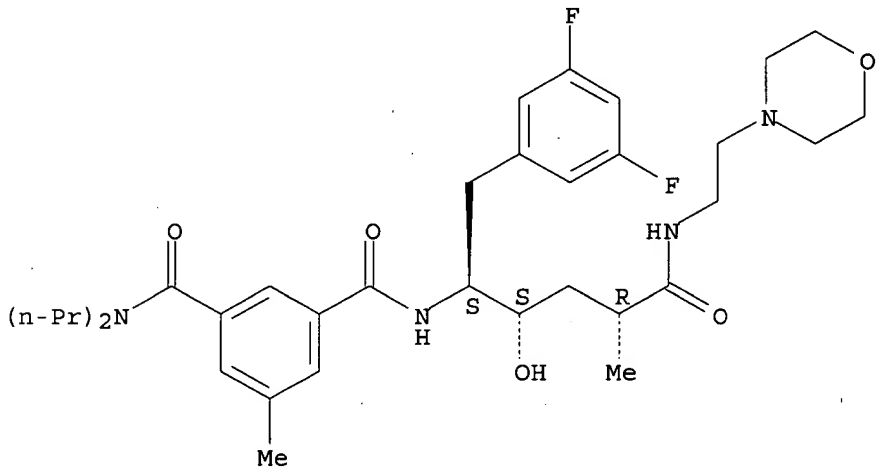
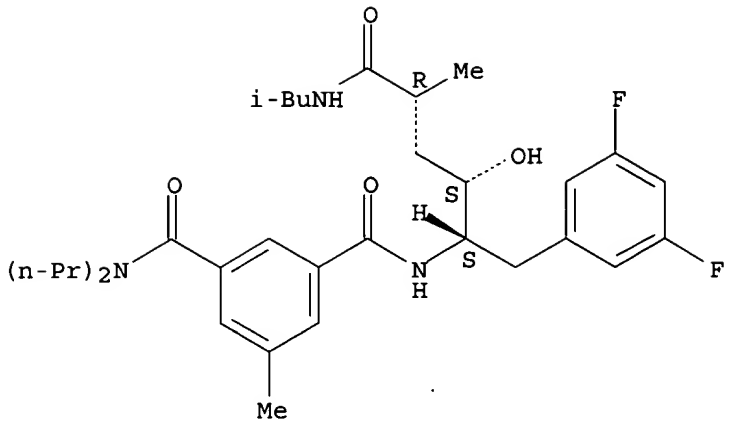
RN 362480-29-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



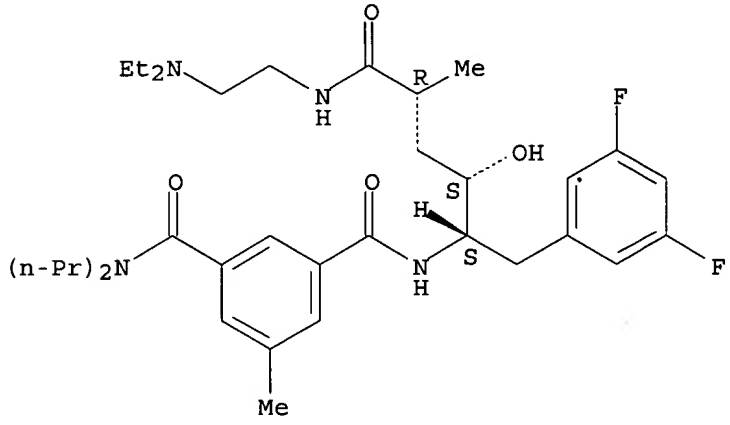
RN 362480-30-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



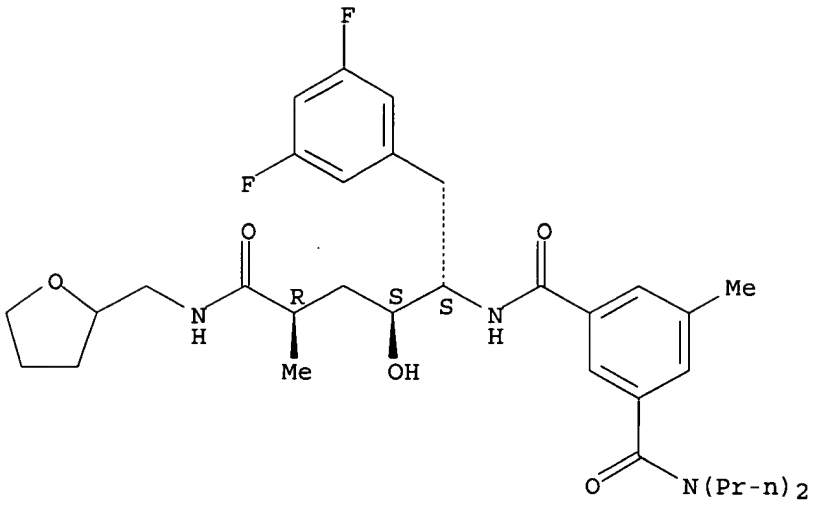
RN 362480-31-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



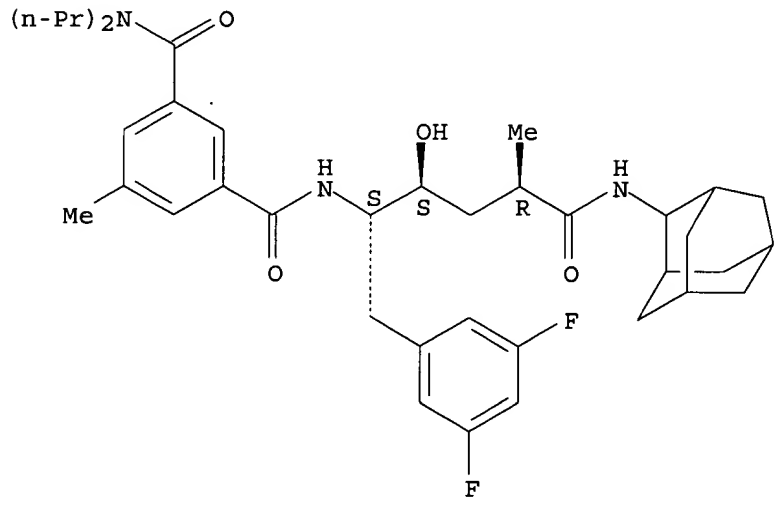
RN 362480-32-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



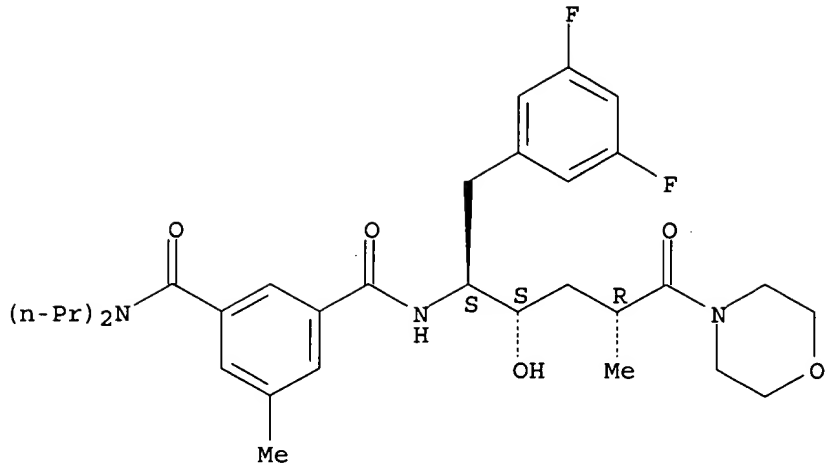
RN 362480-33-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



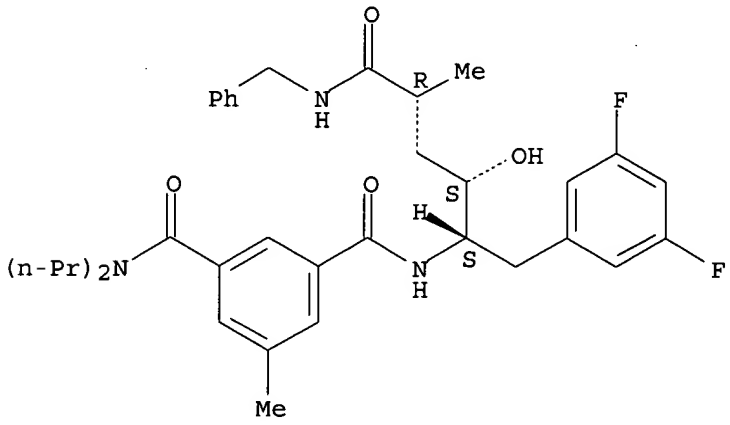
RN 362480-34-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



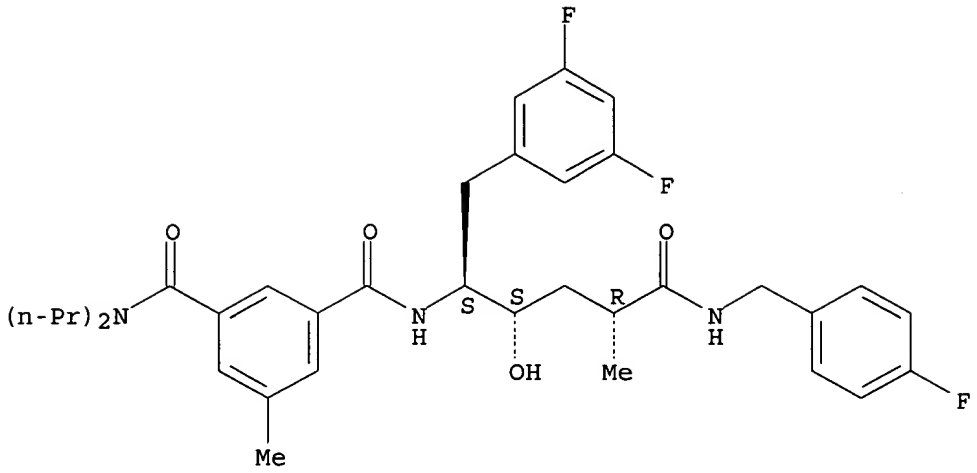
RN 362480-35-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



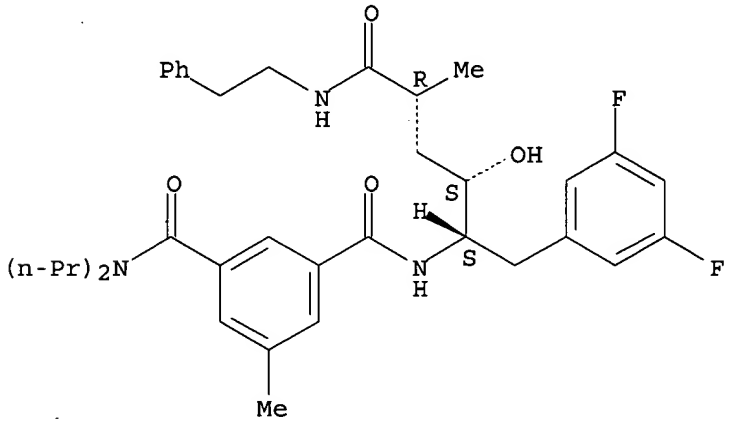
RN 362480-36-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



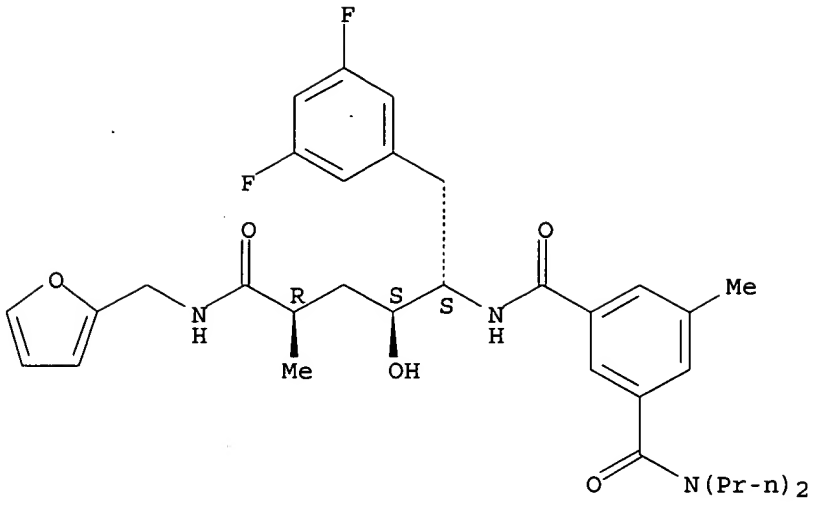
RN 362480-37-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



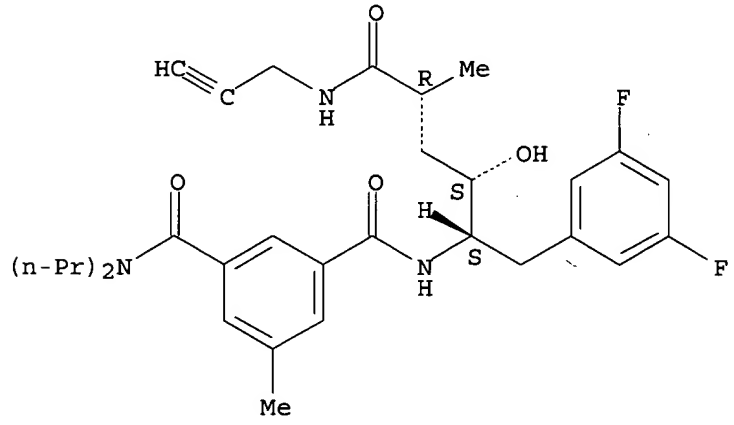
RN 362480-38-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



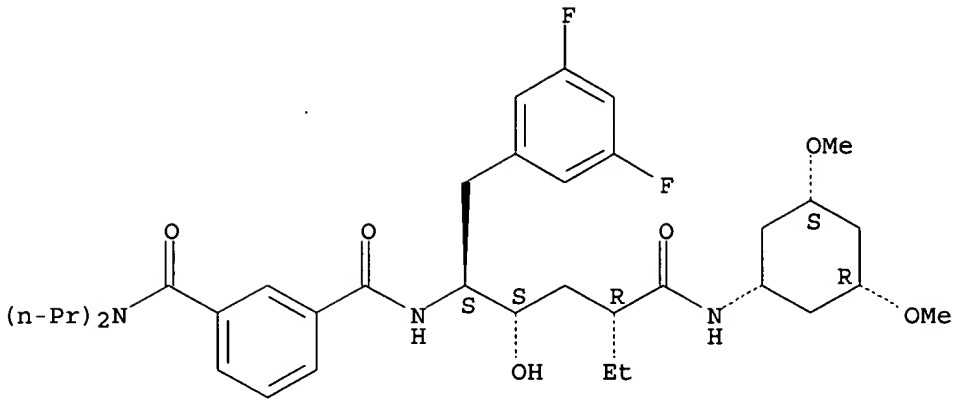
RN 362480-39-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



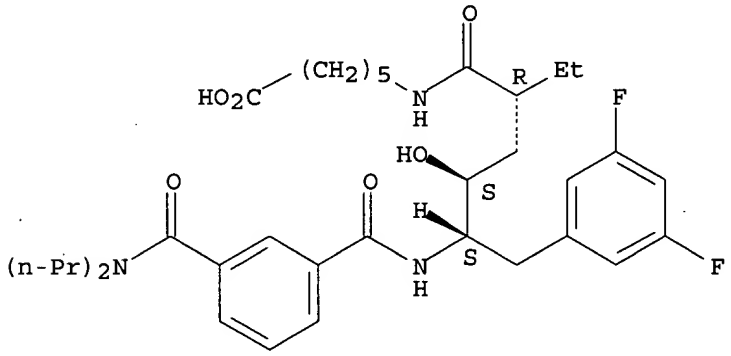
IT **362479-94-5P 362479-95-6P 362479-97-8P**
362479-98-9P 362479-99-0P 362480-00-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)
RN 362479-94-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



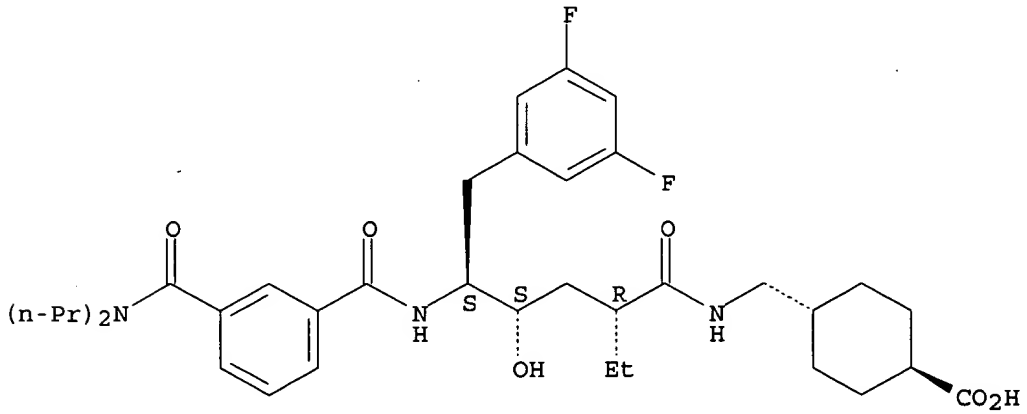
RN 362479-95-6 HCAPLUS
CN Hexanoic acid, 6-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



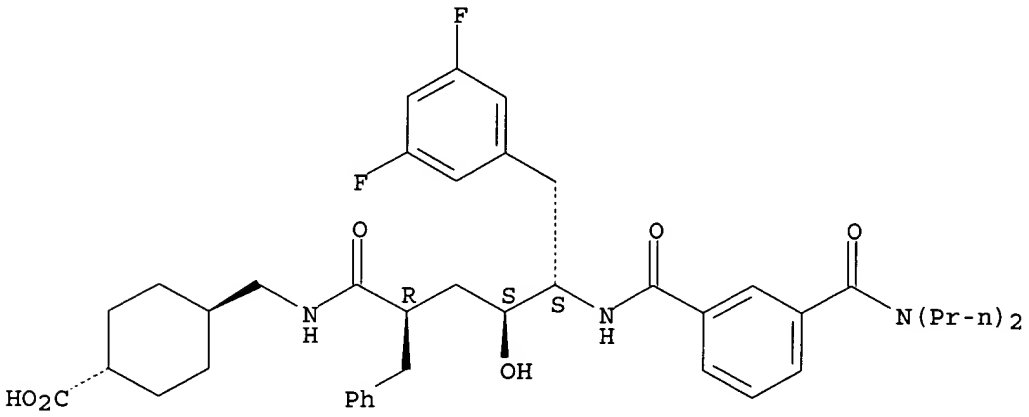
RN 362479-97-8 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



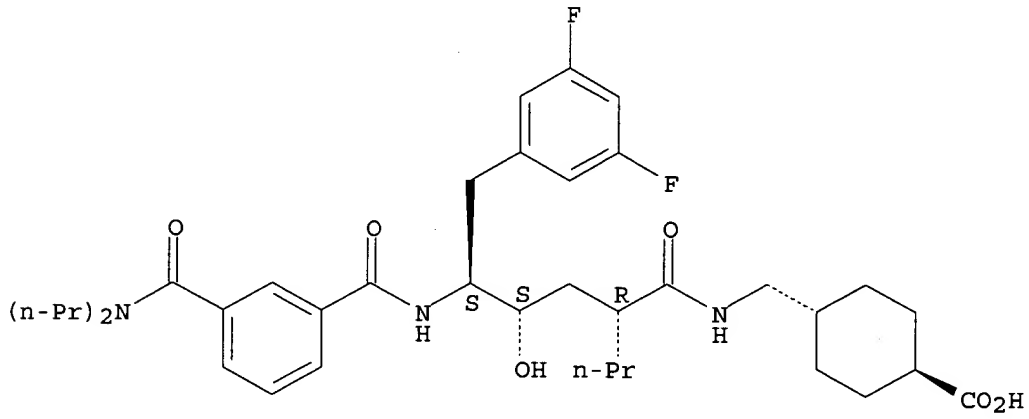
RN 362479-98-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



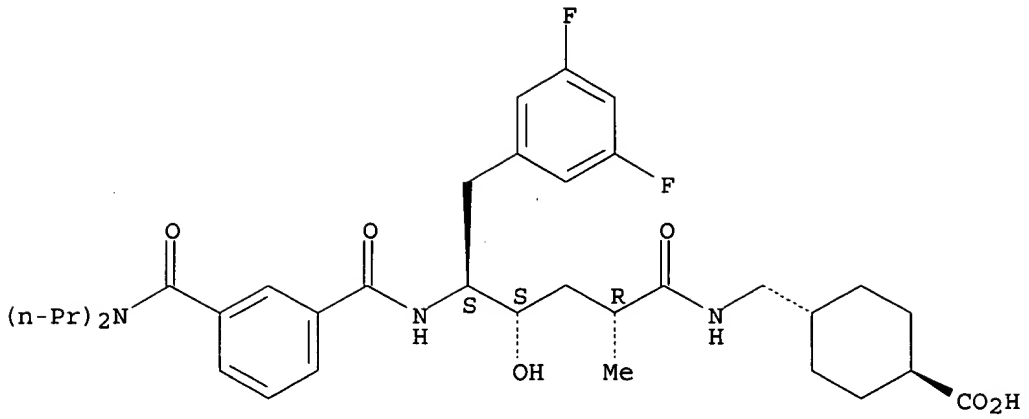
RN 362479-99-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-00-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:713293 HCAPLUS
DN 135:273220
ED Entered STN: 28 Sep 2001
TI Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease
IN **Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Larry**
PA **Elan Pharmaceuticals, Inc., USA**
SO PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C235-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070672	A2	20010927	WO 2001-US9501	20010323 <--
	WO 2001070672	A3	20020321		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	CA 2401749	AA	20010927	CA 2001-2401749	20010323 <--
	EP 1265849	A2	20021218	EP 2001-926424	20010323 <--
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	JP 2003528071	T2	20030924	JP 2001-568884	20010323 <--
PRAI	US 2000-191528P	P	20000323 <--		
	WO 2001-US9501	W	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001070672	ICM	C07C235-00

OS MARPAT 135:273220
AB Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl,

cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl, arylalkyl, etc.; R4 = H, alkyl, etc.], were prepared as agents for the treatment of Alzheimer's disease. Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH2CHMe2)CH(OH)CH(CHMe2)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prepared hydroxyethylenes were tested for β-secretase inhibiting activity.

ST peptide hydroxyethylene prepn Alzheimer disease treatment

IT Anti-Alzheimer's agents
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 362480-10-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 362479-88-7P 362479-89-8P 362479-90-1P 362479-91-2P 362479-92-3P 362479-93-4P **362479-94-5P 362479-95-6P 362479-96-7P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P 362480-15-7P 362480-16-8P 362480-17-9P 362480-18-0P 362480-19-1P 362480-20-4P 362480-21-5P 362480-22-6P 362480-23-7P 362480-24-8P 362480-25-9P 362480-26-0P 362480-27-1P 362480-28-2P 362480-29-3P 362480-30-6P 362480-31-7P 362480-32-8P 362480-33-9P 362480-34-0P 362480-35-1P 362480-36-2P 362480-37-3P 362480-38-4P 362480-39-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 158736-49-3, β-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 60-32-2 79-03-8, Propanoyl chloride 96-81-1 141-75-3, Butanoyl chloride 638-29-9, Pentanoyl chloride 645-45-4, Benzenepropanoyl chloride 1002-57-9 1197-18-8 2488-15-5 6341-54-4 13734-34-4 15761-38-3 18469-52-8 74733-38-3 126926-35-0 205445-52-9 337531-15-4 362480-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P 362480-06-6P 362480-07-7P 362480-08-8P 362480-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

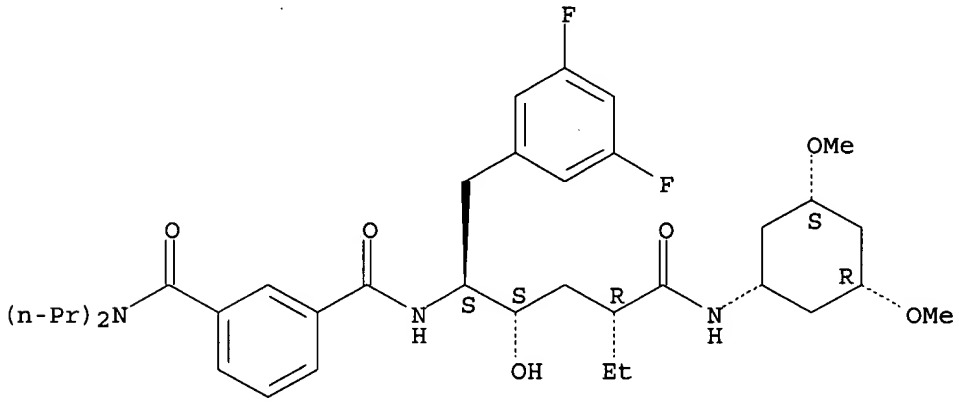
IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7
RL: PRP (Properties)
(unclaimed sequence; preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT **362479-94-5P 362479-95-6P 362479-96-7P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P 362480-11-3P 362480-12-4P**

362480-13-5P 362480-14-6P 362480-15-7P
362480-16-8P 362480-17-9P 362480-18-0P
362480-19-1P 362480-20-4P 362480-21-5P
362480-22-6P 362480-23-7P 362480-24-8P
362480-25-9P 362480-26-0P 362480-27-1P
362480-28-2P 362480-29-3P 362480-30-6P
362480-31-7P 362480-32-8P 362480-33-9P
362480-34-0P 362480-35-1P 362480-36-2P
362480-37-3P 362480-38-4P 362480-39-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

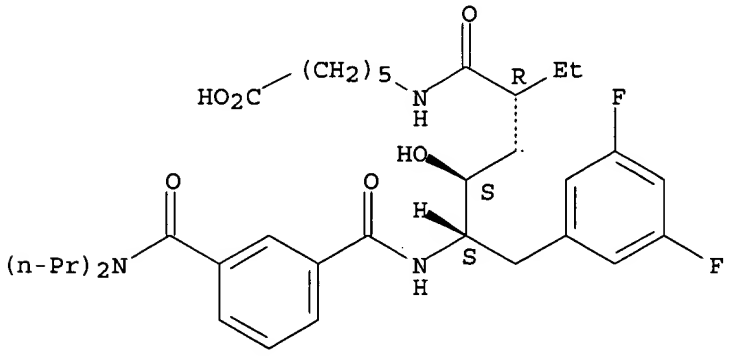
RN 362479-94-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



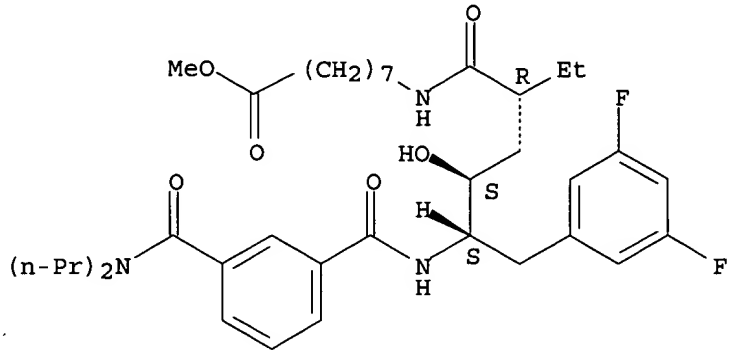
RN 362479-95-6 HCAPLUS
CN Hexanoic acid, 6-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



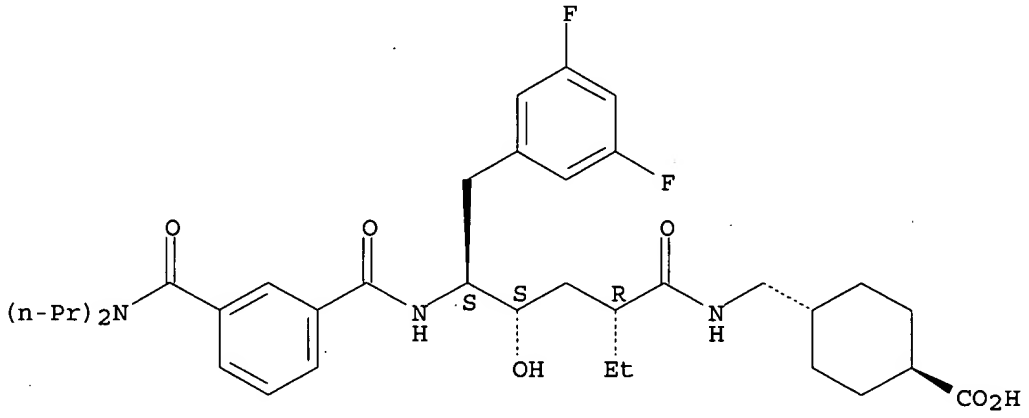
RN 362479-96-7 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



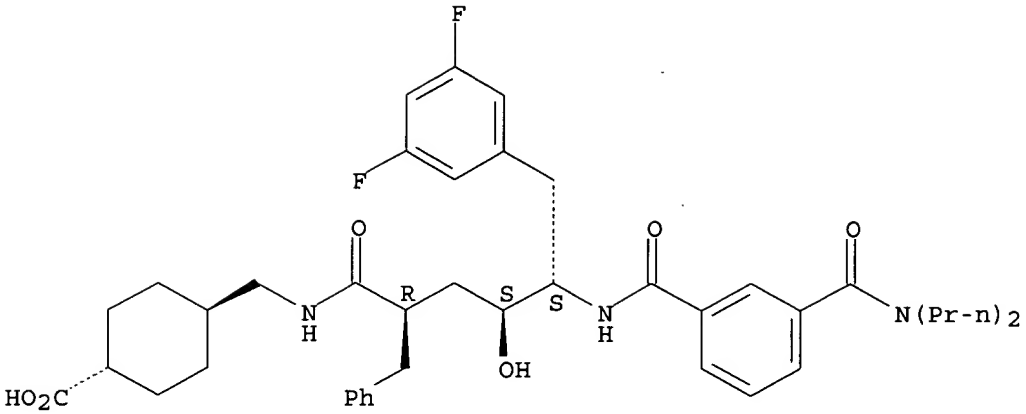
RN 362479-97-8 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



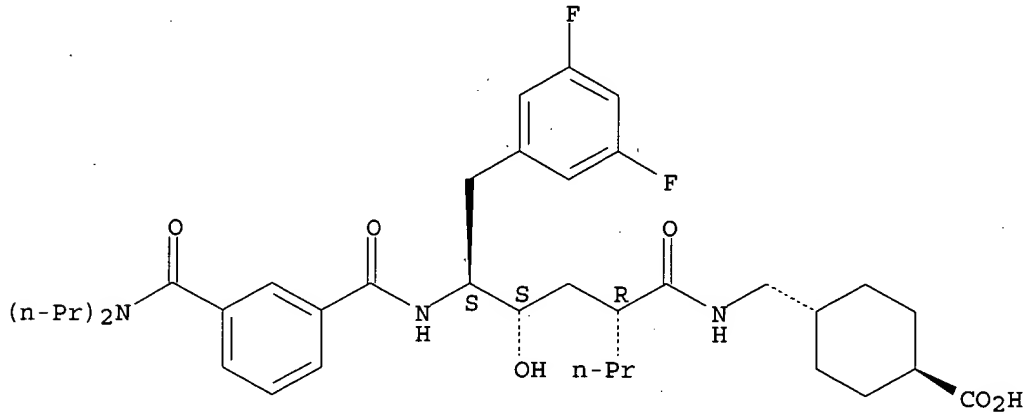
RN 362479-98-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



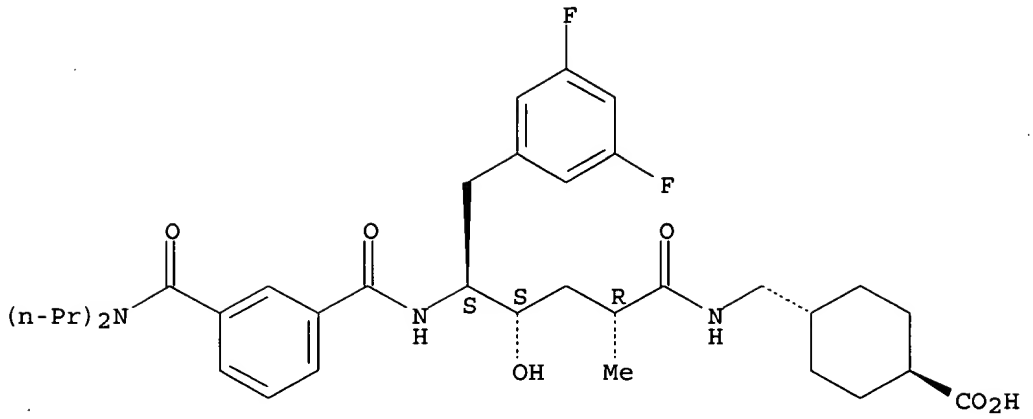
RN 362479-99-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



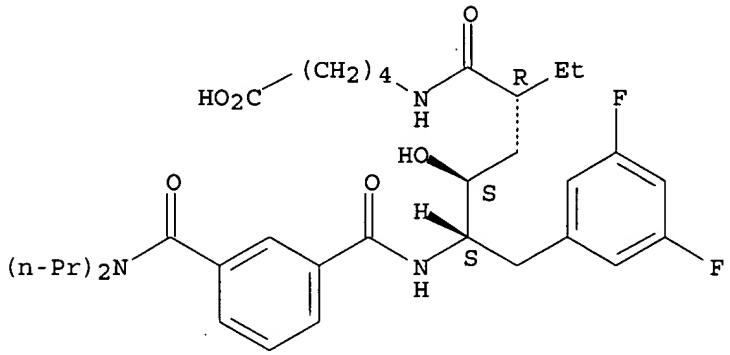
RN 362480-00-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



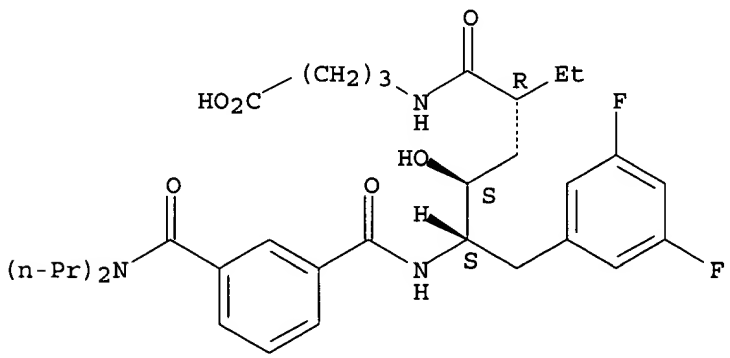
RN 362480-11-3 HCAPLUS
CN Pentanoic acid, 5-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-12-4 HCAPLUS
CN Butanoic acid, 4-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

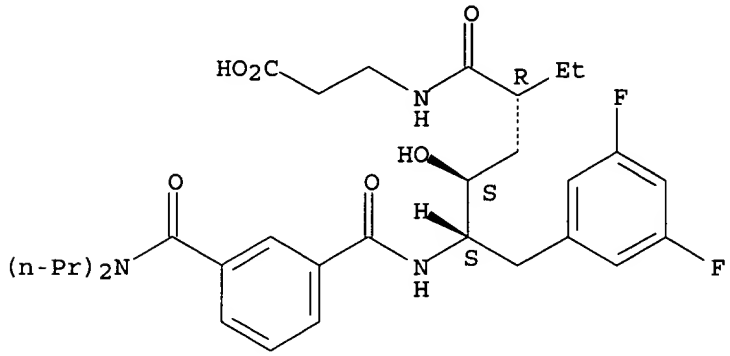
Absolute stereochemistry.



RN 362480-13-5 HCAPLUS

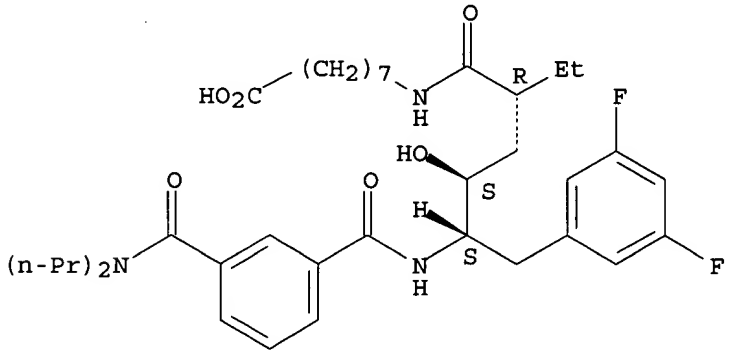
CN β-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



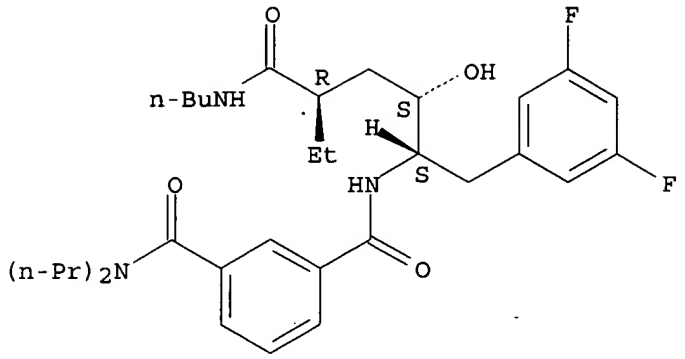
RN 362480-14-6 HCAPLUS
CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



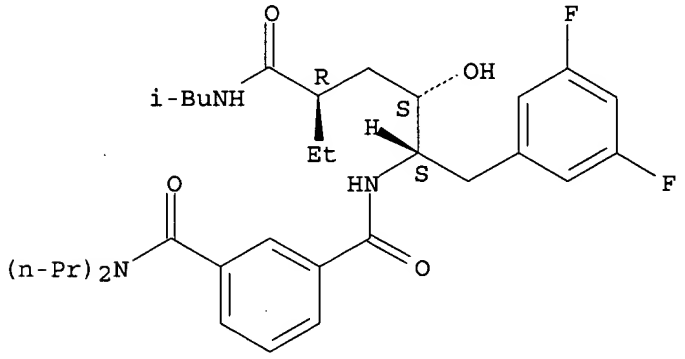
RN 362480-15-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



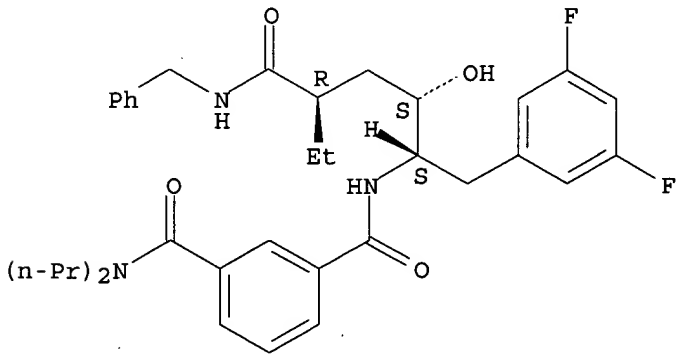
RN 362480-16-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-17-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

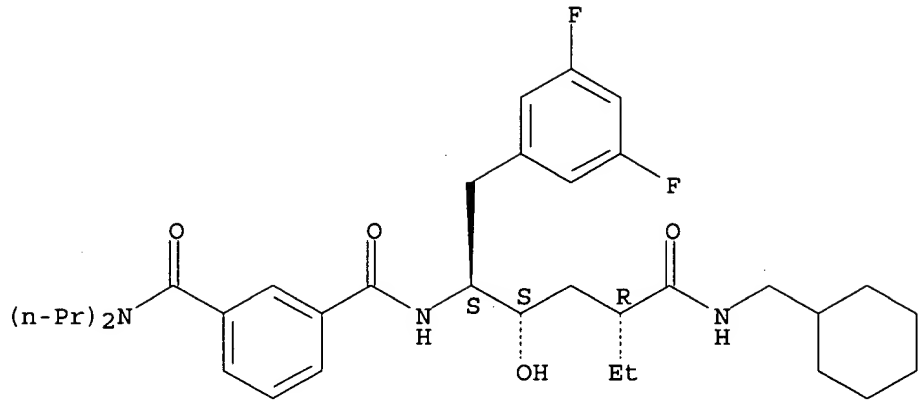
Absolute stereochemistry.



RN 362480-18-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

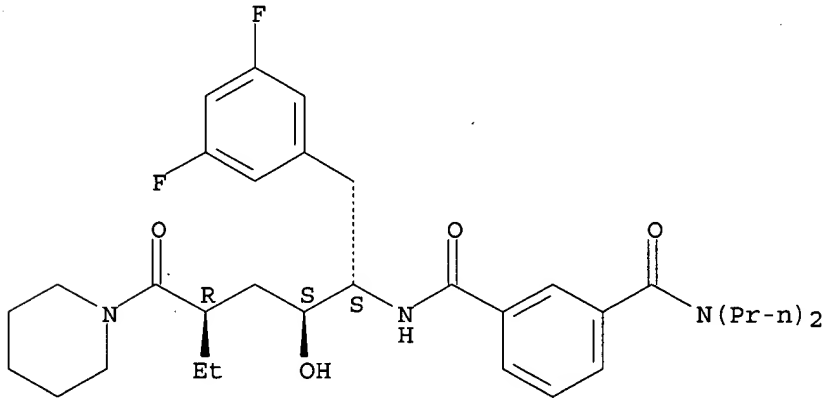
(CA INDEX NAME)

Absolute stereochemistry.



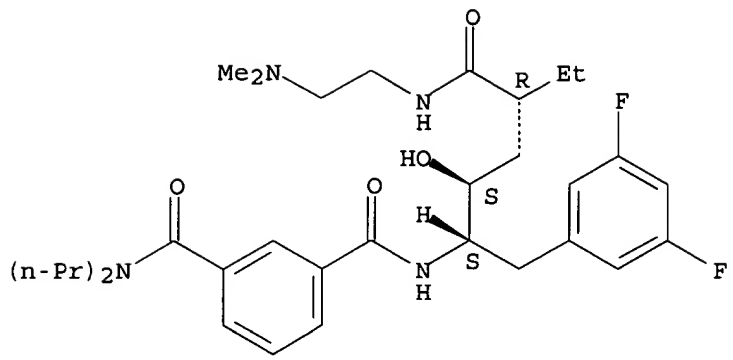
RN 362480-19-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



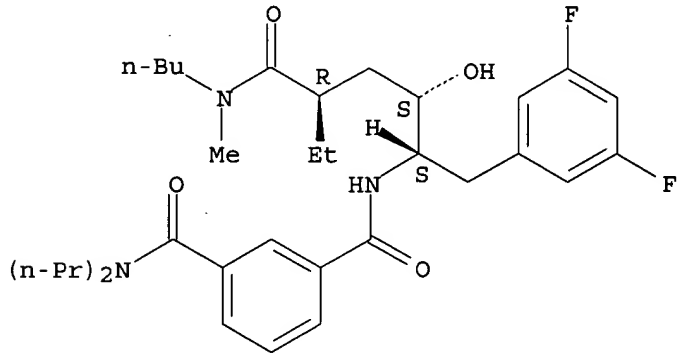
RN 362480-20-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



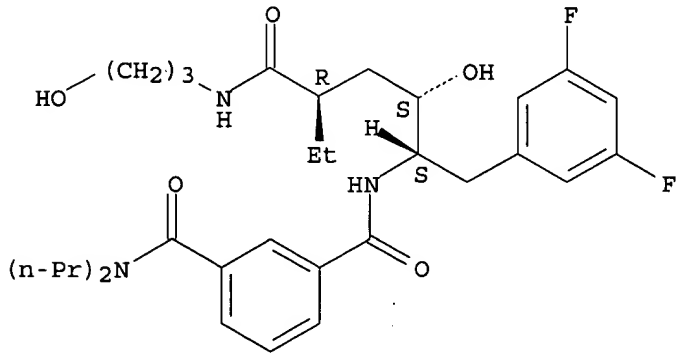
RN 362480-21-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-22-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

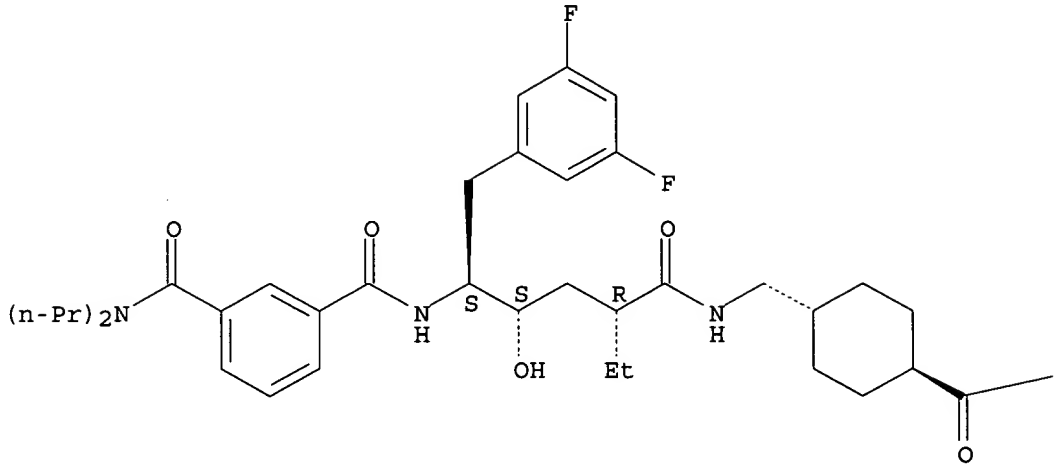


RN 362480-23-7 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-

oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

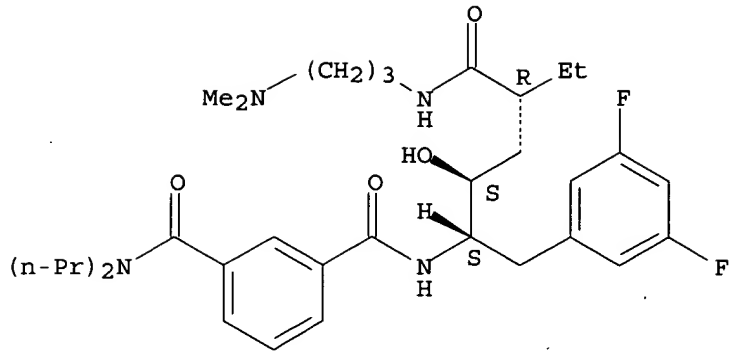


PAGE 1-B

—OMe

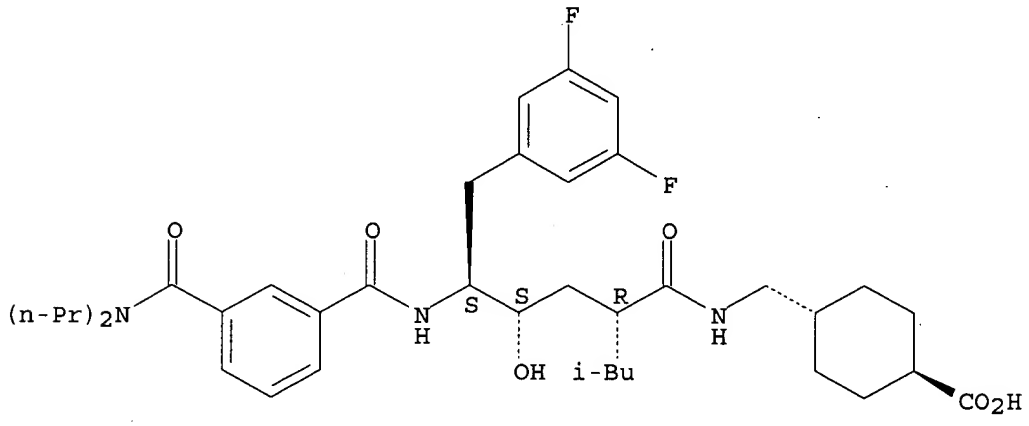
RN 362480-24-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



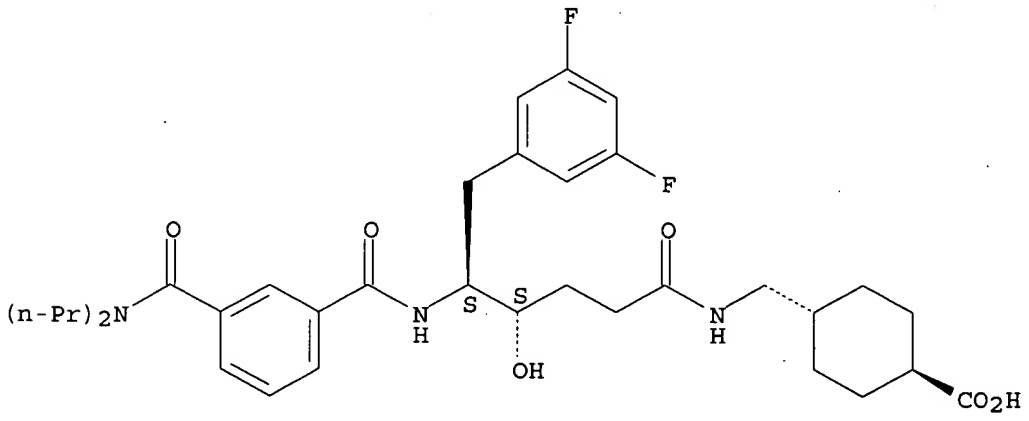
RN 362480-25-9 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



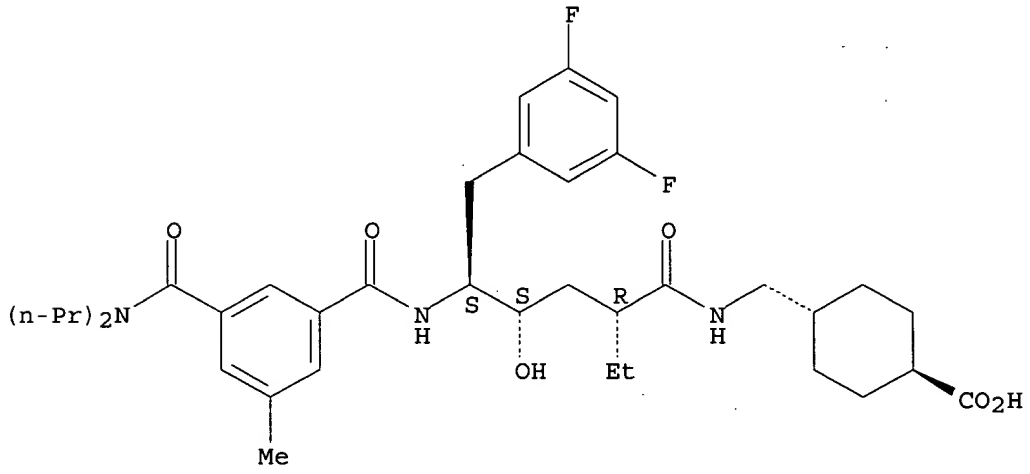
RN 362480-26-0 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-27-1 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

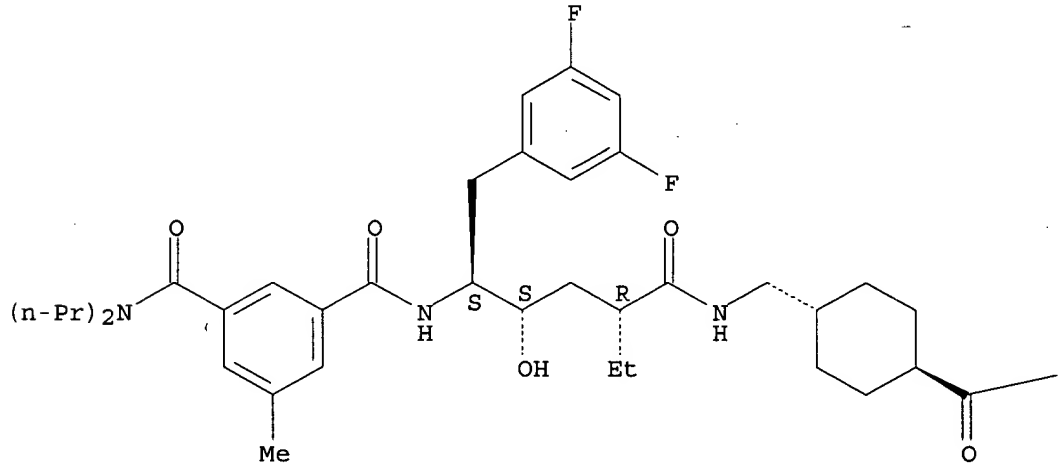
Absolute stereochemistry.



RN 362480-28-2 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

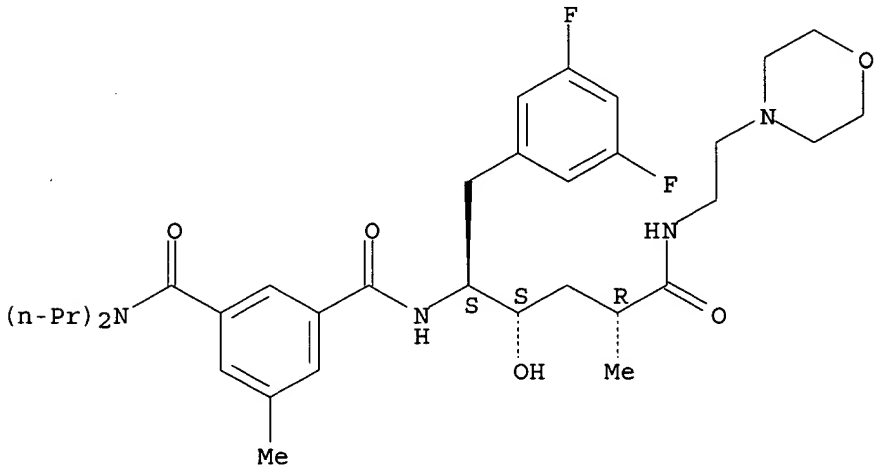


PAGE 1-B

—OMe

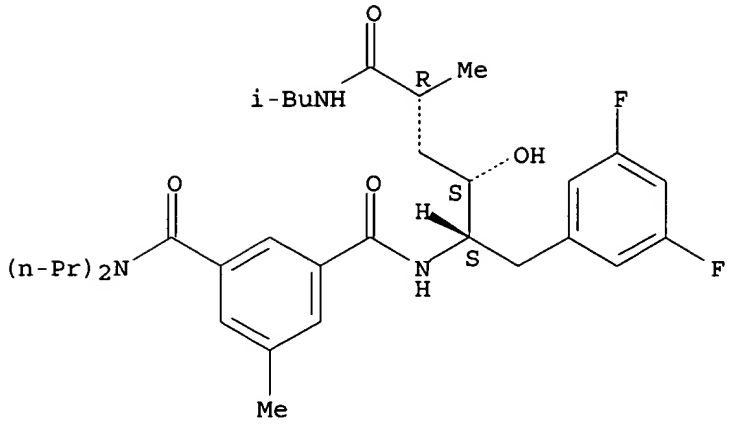
RN 362480-29-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



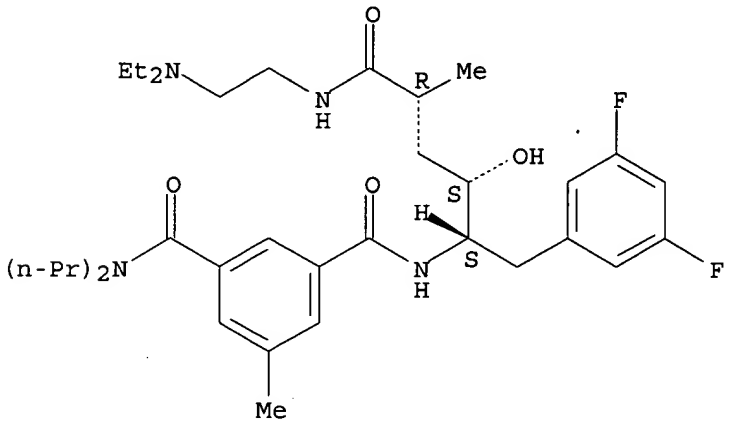
RN 362480-30-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



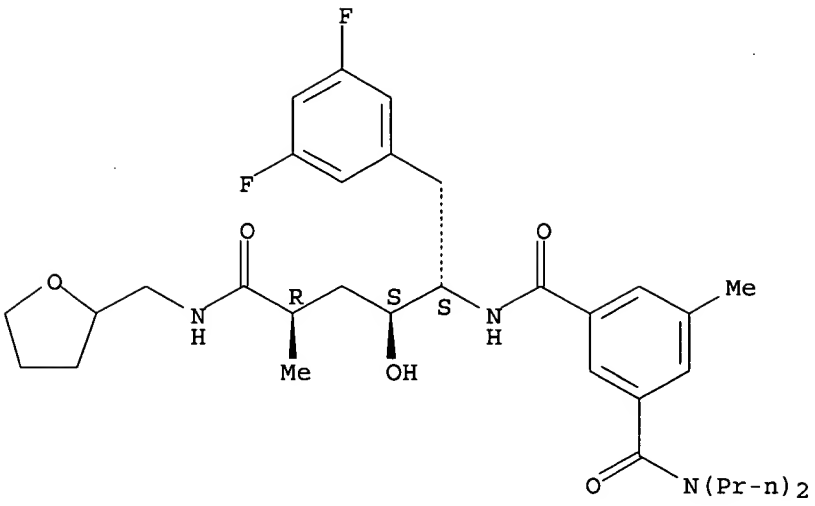
RN 362480-31-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



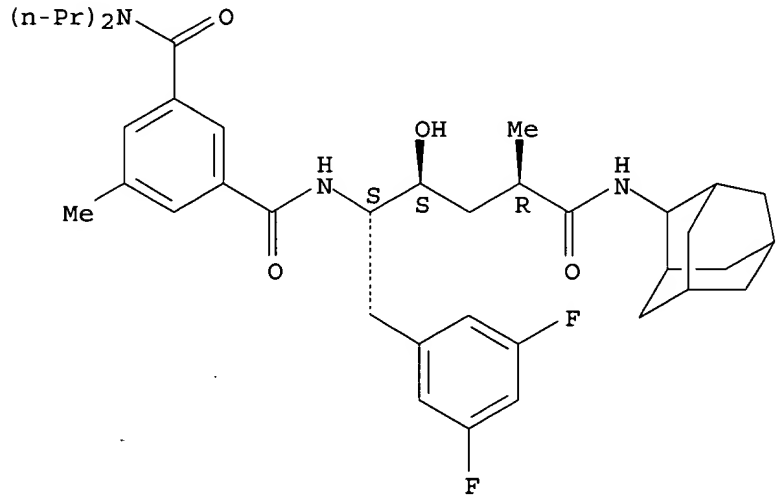
RN 362480-32-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



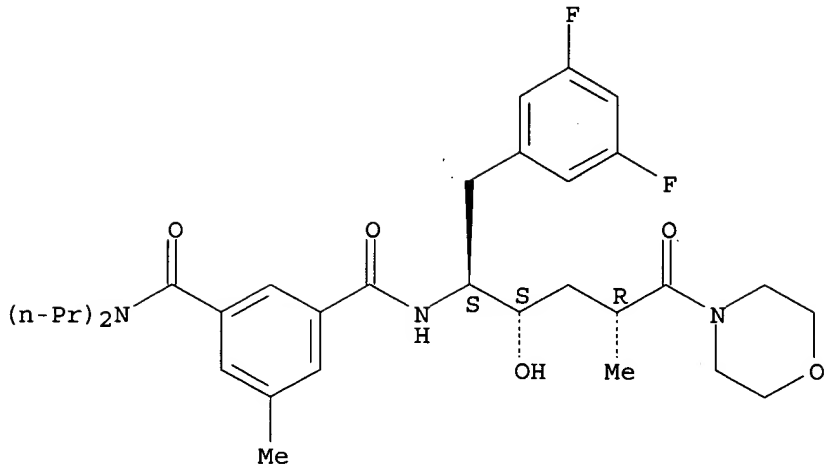
RN 362480-33-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



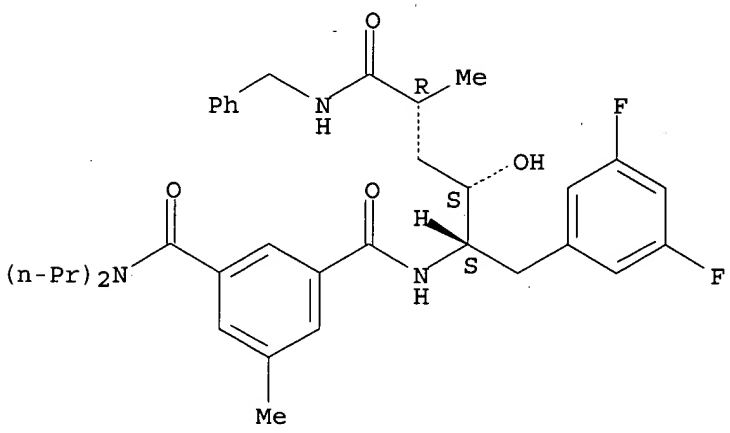
RN 362480-34-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



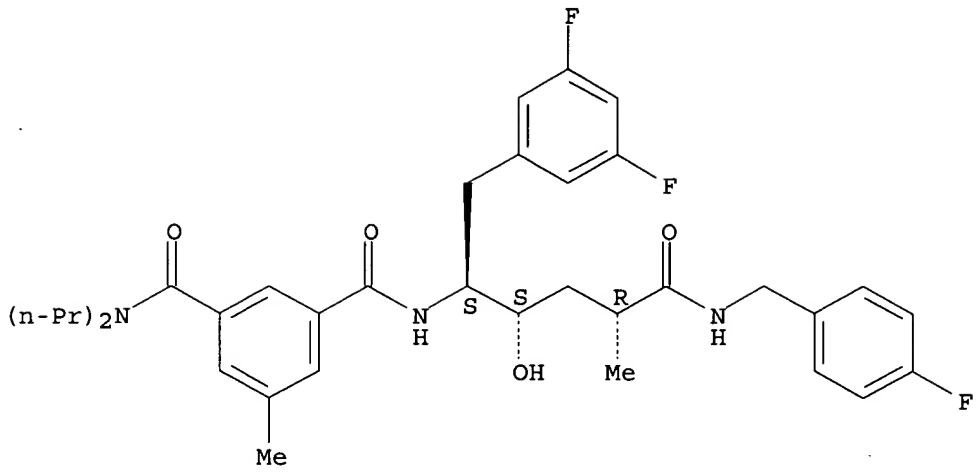
RN 362480-35-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



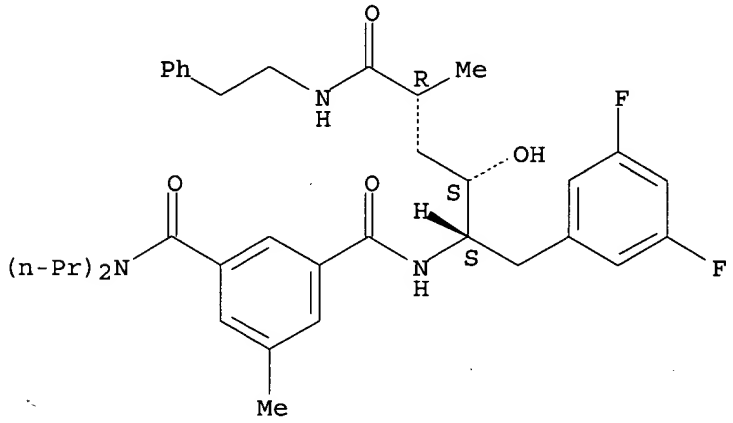
RN 362480-36-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



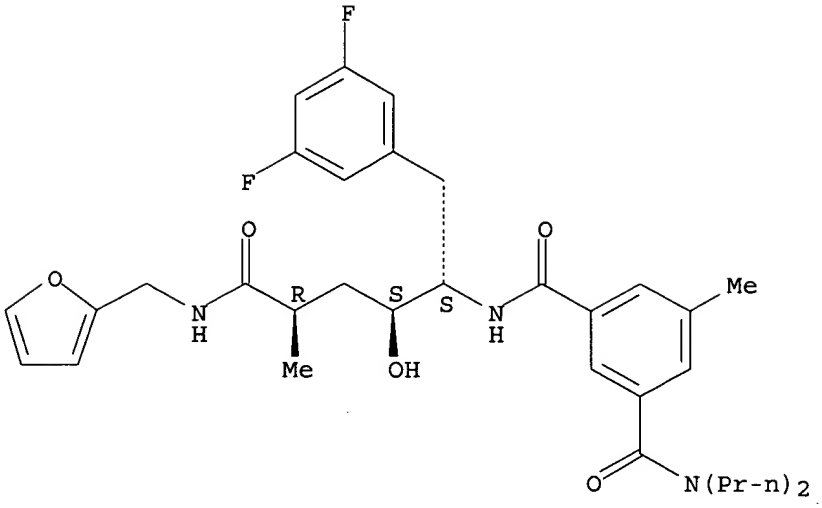
RN 362480-37-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



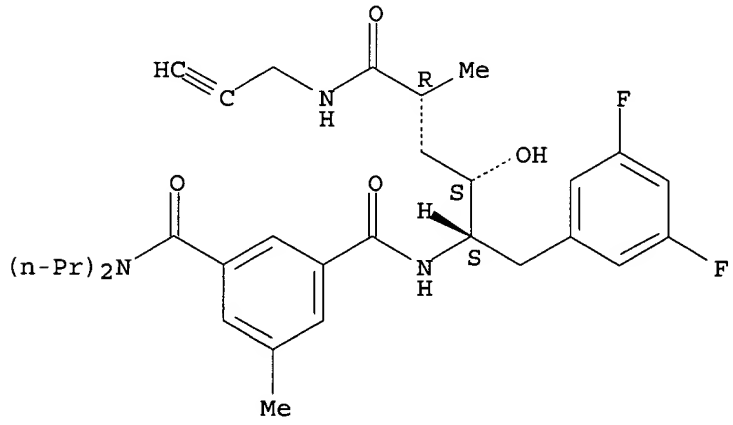
RN 362480-38-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-39-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Feb 2005 (20050215/PD)
FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)
HIGHEST GRANTED PATENT NUMBER: US6857132
HIGHEST APPLICATION PUBLICATION NUMBER: US2005034203
CA INDEXING IS CURRENT THROUGH 15 Feb 2005 (20050215/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Feb 2005 (20050215/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<

>>> publications, starting in 2001, for the inventions covered in <<<
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>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

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>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l28 bib abs hitrn fhitstr tot

L28 ANSWER 1 OF 4 USPATFULL on STN
AN 2004:274344 USPATFULL
TI Compounds to treat Alzheimer's disease
IN **Hom, Roy**, San Francisco, CA, UNITED STATES
Mamo, Shumeye S., Oakland, CA, UNITED STATES
Tung, Jay, Belmont, CA, UNITED STATES
Gailunas, Andrea, San Francisco, CA, UNITED STATES
John, Varghese, San Francisco, CA, UNITED STATES
Fang, Lawrence Y., Foster City, CA, UNITED STATES
PA **Elan Pharmaceuticals, Inc.** (U.S. corporation)
PI US 2004214846 A1 20041028
AI US 2004-847819 A1 20040518 (10)
RLI Continuation of Ser. No. US 2001-815960, filed on 23 Mar 2001, GRANTED, Pat. No. US 6737420
PRAI US 2000-191528P 20000323 (60)
DT Utility
FS APPLICATION
LREP MCDONNELL BOEHNEN HULBERT & BERGHOFF LLP, 300 S. WACKER DRIVE, 32ND FLOOR, CHICAGO, IL, 60606
CLMN Number of Claims: 141
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 7288
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **362479-94-5P 362479-95-6P 362479-96-7P**
362479-97-8P 362479-98-9P 362479-99-0P
362480-00-0P 362480-11-3P 362480-12-4P
362480-13-5P 362480-14-6P 362480-15-7P
362480-16-8P 362480-17-9P 362480-18-0P
362480-19-1P 362480-20-4P 362480-21-5P
362480-22-6P 362480-23-7P 362480-24-8P
362480-25-9P 362480-26-0P 362480-27-1P
362480-28-2P 362480-29-3P 362480-30-6P
362480-31-7P 362480-32-8P 362480-33-9P
362480-34-0P 362480-35-1P 362480-36-2P

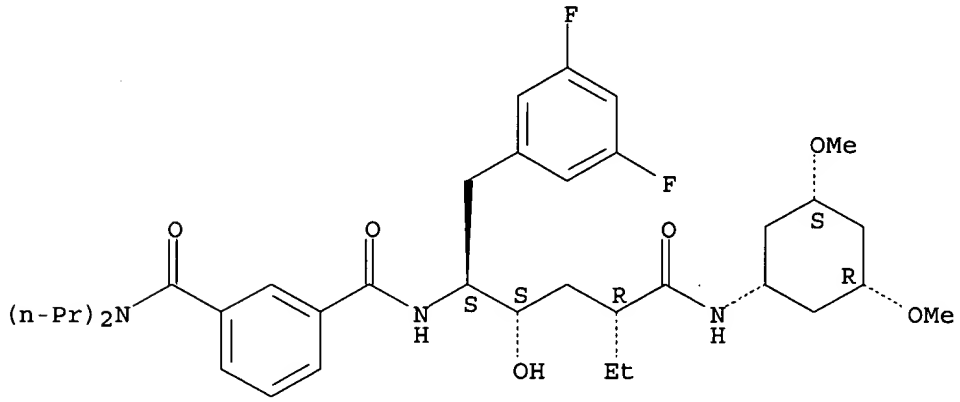
362480-37-3P 362480-38-4P 362480-39-5P
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT **362479-94-5P**
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 2 OF 4 USPATFULL on STN

AN 2003:18124 USPATFULL

TI Compounds to treat Alzheimer's disease

IN **Hom, Roy**, San Francisco, CA, UNITED STATES
Mamo, Shumeye, Oakland, CA, UNITED STATES
Tung, Jay, Belmont, CA, UNITED STATES
Gailunas, Andrea, Burlingame, CA, UNITED STATES
John, Varghese, San Francisco, CA, UNITED STATES
Fang, Lawrence, Foster City, CA, UNITED STATES

PI US 2003013881 A1 20030116

AI US 2001-960634 A1 20010921 (9)

RLI Continuation-in-part of Ser. No. US 2001-815960, filed on 23 Mar 2001, PENDING Continuation-in-part of Ser. No. US 2001-816876, filed on 23 Mar 2001, PENDING

PRAI US 2000-191528P 20000323 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903

CLMN Number of Claims: 187

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6363

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene compounds of formulas (XII) (XIII), and (XIV) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **362479-96-7P**
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT **362480-11-3P 362480-12-4P 362480-13-5P**

362480-14-6P 362480-15-7P 362480-16-8P
362480-17-9P 362480-18-0P 362480-19-1P
362480-20-4P 362480-21-5P 362480-22-6P
362480-23-7P 362480-24-8P 362480-25-9P
362480-26-0P 362480-27-1P 362480-28-2P
362480-29-3P 362480-30-6P 362480-31-7P
362480-32-8P 362480-33-9P 362480-34-0P
362480-35-1P 362480-36-2P 362480-37-3P
362480-38-4P 362480-39-5P
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

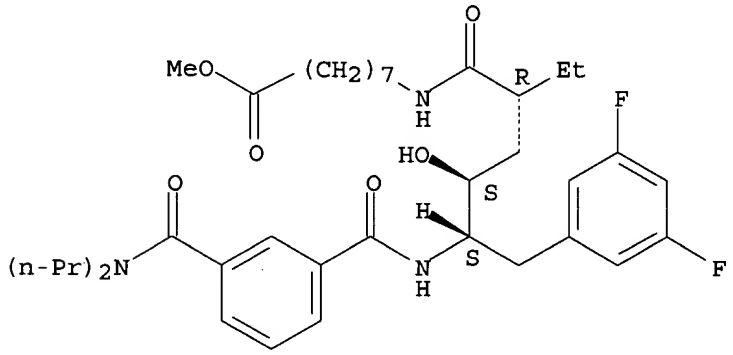
IT **362479-94-5P 362479-95-6P 362479-97-8P**
362479-98-9P 362479-99-0P 362480-00-0P
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT **362479-96-7P**
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 3 OF 4 USPATFULL on STN

AN 2002:37903 USPATFULL

TI Compounds to treat alzheimer's disease

IN **Hom, Roy**, San Francisco, CA, UNITED STATES
Mamo, Shumeye, Oakland, CA, UNITED STATES
Tung, Jay, Belmont, CA, UNITED STATES
Gailunas, Andrea, San Francisco, CA, UNITED STATES
John, Varghese, San Francisco, CA, UNITED STATES
Fang, Lawrence Y., Foster City, CA, UNITED STATES

PI US 2002022623 A1 20020221
US 6737420 B2 20040518

AI US 2001-815960 A1 20010323 (9)

PRAI US 2000-191528P 20000323 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN, 55402-0903

CLMN Number of Claims: 141

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 7182

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

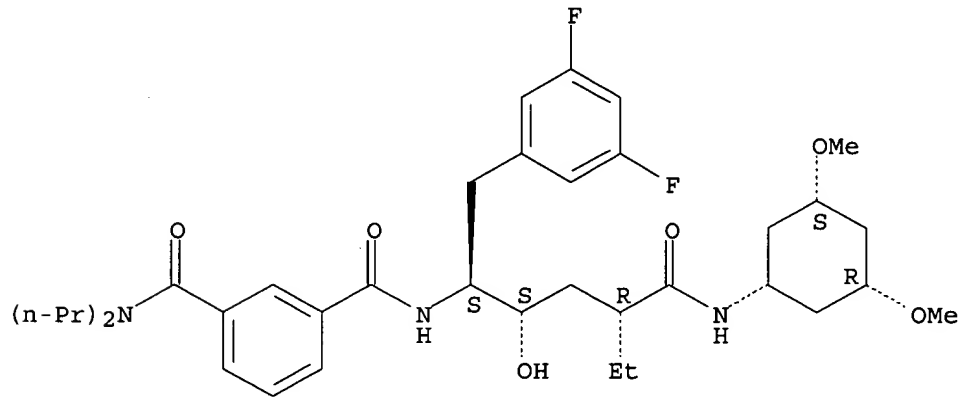
AB The present invention is directed toward substituted hydroxyethylene

compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **362479-94-5P 362479-95-6P 362479-96-7P**
362479-97-8P 362479-98-9P 362479-99-0P
362480-00-0P 362480-11-3P 362480-12-4P
362480-13-5P 362480-14-6P 362480-15-7P
362480-16-8P 362480-17-9P 362480-18-0P
362480-19-1P 362480-20-4P 362480-21-5P
362480-22-6P 362480-23-7P 362480-24-8P
362480-25-9P 362480-26-0P 362480-27-1P
362480-28-2P 362480-29-3P 362480-30-6P
362480-31-7P 362480-32-8P 362480-33-9P
362480-34-0P 362480-35-1P 362480-36-2P
362480-37-3P 362480-38-4P 362480-39-5P
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
IT **362479-94-5P**
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
RN 362479-94-5 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 4 OF 4 USPATFULL on STN
AN 2002:32581 USPATFULL
TI Methods to treat alzheimer's disease
IN **Hom, Roy**, San Francisco, CA, UNITED STATES
Mamo, Shumeye S., Oakland, CA, UNITED STATES
Tung, Jay, Belmont, CA, UNITED STATES
Gailunas, Andrea, San Francisco, CA, UNITED STATES
John, Varghese, San Francisco, CA, UNITED STATES
Fang, Lawrence Y., Foster City, CA, UNITED STATES
PI US 2002019403 A1 20020214
AI US 2001-816876 A1 20010323 (9)
PRAI US 2000-191528P 20000323 (60)
DT Utility
FS APPLICATION
LREP MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903
CLMN Number of Claims: 63
ECL Exemplary Claim: 1

DRWN No Drawings
LN.CNT 8655
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **362479-94-5P 362479-95-6P 362479-96-7P**
362479-97-8P 362479-98-9P 362479-99-0P
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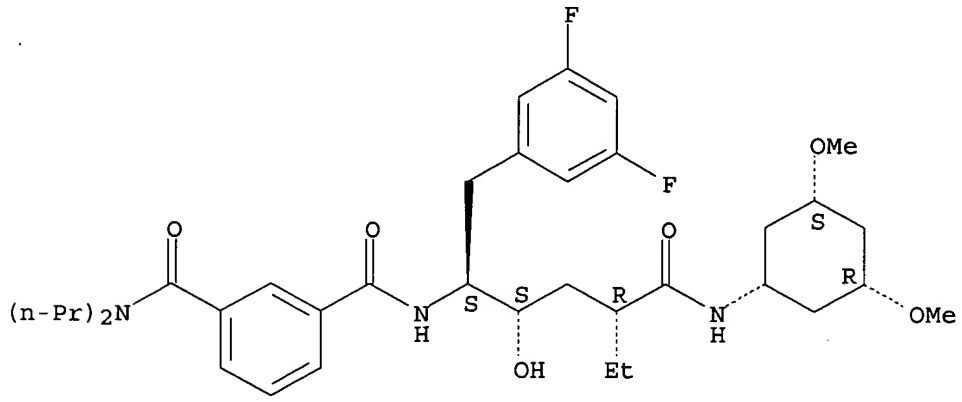
362480-34-0P 362480-35-1P 362480-36-2P
362480-37-3P 362480-38-4P 362480-39-5P
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
IT **362479-94-5P**
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
RN 362479-94-5 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1α,3α,5α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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ACT JKIM816A/A

L29 STR

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L32         679 SEA FILE=REGISTRY SUB=L31 SSS FUL L29
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L33         663 S L32 NOT L18,L24

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L38       17379 S E10,E9+NT
L39       69037 S E27+OLD,NT,PFT,RT OR E28+OLD,NT,PFT,RT OR E29+OLD,NT,PFT,RT O
                E CONGNITION/CT
                E COGNITION/CT
L40        4953 S E3,E4
                E E3+ALL
L41         779 S E4
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L42        2266 S E7
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L43         125 S E17
L44        1891 S E15/BI,CT
L45        1911 S DOWN? SYNDROM?
                E HEREDITARY CEREBRAL HEMORRHAGE/CT
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                E E3+ALL
L46        1195 S E2
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                E E4+ALL
                E E2+ALL
L47         226 S E8,E9 (L) (HEREDITARY OR HEMORRH?)
L48        1674 S E47
L49        1489 S E7 (L) (HEREDITARY OR HEMORRH?)
L50        2216 S BRAIN?/CT (L) (HEREDITARY OR HEMORRH?)
L51        6864 S E59
L52        6307 S E7 (L) (INJUR? OR DAMAG?)
L53         866 S E8,E9 (L) (INJUR? OR DAMAG?)
L54       13885 S BRAIN?/CT,CW (L) (INJUR? OR DAMAG?)
                E B-AMYLOID/CT
                E E3+ALL
L55        5735 S E2
                E AMYLOID/CT
L56        7031 S E3-E5
                E E3+ALL
L57       88481 S E7,E6+NT
L58           4 S L35 AND L37-L57
L59           4 S L36,L58
L60           2 S L34 AND ELAN?/PA,CS
L61           3 S L34 AND (HOM R? OR MAMO S? OR TUNG J? OR GAILUNAS A? OR JOHN
L62           5 S L59-L61
                SEL HIT RN

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L63          13 S E1-E13

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FILE 'HCAPLUS' ENTERED AT 09:00:13 ON 16 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 16 Feb 2005 VOL 142 ISS 8
FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d l62 all hitstr tot

L62 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991334 HCAPLUS
DN 140:41913
ED Entered STN: 21 Dec 2003
TI Methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides
IN **John, Varghese**; Maillard, Michel
PA **Elan Pharmaceuticals, Inc., USA**
SO PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-165
ICS A61P027-28
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 34
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103653	A1	20031218	WO 2003-US18517	20030611
	WO 2003103653	C1	20040429		

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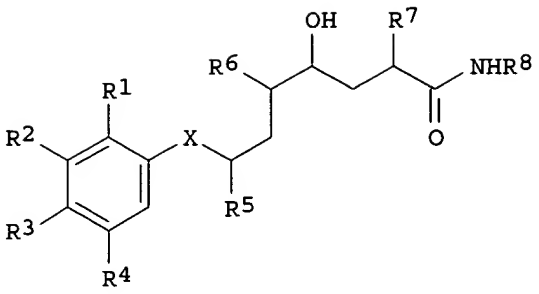
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-387880P P 20020611
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003103653	ICM	A61K031-165
	ICS	A61P027-28

OS MARPAT 140:41913
GI



I

AB Disclosed are methods for treating Alzheimer's disease (no data), and other diseases (no data), and/or inhibiting beta-secretase enzyme (no data), and/or inhibiting deposition of A beta peptide in a mammal (no data), using δ-amino-γ-hydroxy-ω-arylalkanoic acid amides (shown as I; variables defined below; e.g. 2(R,S)-methyl-4(S)-hydroxy-5(S)-amino-7(S)-isopropyl-8-(p-tert-butylphenyl)octanoic acid N-butylamide hydrochloride). For I: R1 = H, OH, alkoxy, cycloalkoxy, alkoxyalkoxy, free or amidated or esterified carboxy-alkoxy; R2 = H, alkyl, cycloalkyl, alkoxyalkyl, cycloalkoxyalkyl, OH, hydroxyalkoxy, heteroarylalkyl, etc.; R3 = halogenated alkyl, alkoxyalkyl, hydroxyalkyl, optionally S-oxidized alkylthioalkyl, etc.; R4 = H, alkyl, OH, alkoxy, cycloalkoxy; X = CH2; R5 = alkyl, cycloalkyl; R6 = unsubstituted or alkylated or alkanoylated amino; R7 = alkyl, alkenyl, cycloalkyl, aralkyl; R8 = alkyl, cycloalkyl, free or esterified or etherified hydroxyalkyl, free or esterified or amidated carboxyalkyl, etc. Although the methods of preparation are claimed and >180 example prepns. are included, these examples comprise an English translation of a German patent (EP 678503; 1995; CA file accession number 1995:995373). Thus, 2(R,S)-methyl-4(S)-hydroxy-5(S)-amino-7(S)-isopropyl-8-(p-tert-butylphenyl)octanoic acid N-butylamide hydrochloride was prepared in several steps starting with 3-isovaleryl-4(R)-benzyloxazolidin-2-one and p-tert-butylbenzyl bromide.

ST aminohydroxyaralkanamide prepn anti Alzheimer's; beta secretase inhibitor aminohydroxyaralkanamide; A beta peptide deposition inhibitor aminohydroxyaralkanamide prepn; amide amino hydroxy aralkyl prepn anti Alzheimer's dementia

IT Brain, disease
(amyloid angiopathy; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cleavage inhibitors; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Mental disorder
(cognitive; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Parkinson's disease
(dementia associated with; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Mental disorder
(dementia; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Cognition

(disorder; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Amides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidates; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Alzheimer's disease
Anti-Alzheimer's agents
Cognition enhancers
Down's syndrome
Human
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Paralysis
(pseudobulbar, dementia associated with; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β-, production inhibitors; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 158736-49-3, β-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 74-89-5, Methanamine, reactions 96-32-2, Methyl bromoacetate 106-93-4, 1,2-Dibromoethane 107-30-2, Chloromethyl methyl ether 108-00-9, 2-Dimethylaminoethylamine 109-02-4 109-73-9, Butylamine, reactions 109-85-3, 2-Methoxyethylamine 120-80-9, Catechol, reactions 123-00-2, 4-(3-Aminopropyl)morpholine 124-40-3, reactions 141-43-5, reactions 144-48-9, Iodoacetamide 144-90-1, 3-Amino-2-methylpropionic acid 156-87-6, 3-Aminopropanol 353-83-3, 2,2,2-Trifluoroethyl iodide 358-23-6, Trifluoromethanesulfonic anhydride 556-56-9, Allyl iodide 574-98-1, N-(2-Bromoethyl)phthalimide 598-41-4 612-23-7, 2-Nitrobenzyl chloride 623-48-3, Ethyl iodoacetate 624-75-9, Iodoacetonitrile 627-32-7, 3-Iodopropanol 628-17-1, 1-Iodopentane 831-61-8, Ethyl gallate 1001-53-2, N-Acetylethylenediamine 1117-71-1, Methyl 4-bromo-2-butenoate 1700-31-8, 3-Benzyloxybenzyl bromide 2038-03-1, 4-(2-Aminoethyl)morpholine 2567-29-5, p-Phenylbenzyl bromide 2706-56-1, 2-(2-Aminoethyl)pyridine 3014-80-0 3132-64-7, Epibromohydrin 4045-24-3, 4-Methoxypiperidine 4049-39-2, 4-Benzyloxy-3-hydroxybenzaldehyde 4244-84-2, β-Alanine ethyl ester hydrochloride 4296-15-5, 2-Methoxyethyl iodide 4383-06-6 4403-36-5 4578-63-6 5332-73-0, 3-Methoxypropylamine 5437-45-6, Benzyl bromoacetate 5469-26-1, 1-Bromopinacolone 6065-32-3 6485-45-6, trans-2,6-Dimethylmorpholine 6485-55-8, cis-2,6-Dimethylmorpholine 6727-73-7, 4-Iodobutyronitrile 6727-75-9 6959-47-3, 2-Picolyl chloride hydrochloride 6959-48-4, 3-Picolyl chloride hydrochloride 6974-12-5, 1,4-Dibromo-2-butene 7768-28-7, 2-(2-Hydroxyphenyl)ethanol 10445-91-7, 4-Picolyl chloride 10466-56-5 13031-62-4 13325-10-5, 4-Amino-1-butanol 14273-88-2 18880-00-7, 4-tert-Butylbenzyl bromide 21752-29-4 22059-22-9, Acetamide oxime 25016-01-7, 5-Bromo-o-anisaldehyde 27578-60-5, 1-Piperidineethanamine 28398-27-8 30044-65-6 30715-50-5 31602-64-9, 1H-Tetrazole-5-ethanamine 31640-94-5, 2-Picolyl chloride N-oxide 32754-99-7, 4-Aminobutyronitrile 33208-99-0 34270-90-1 35666-81-0 36865-41-5, 3-Bromopropyl methyl ether 37942-01-1, 5-Bromo-2-methoxyphenol 39739-03-2 40546-33-6, 1H-Imidazole-4-propanamine 51739-61-8 53056-86-3 53515-36-9, 4-(2-Aminoethyl)thiomorpholine 55667-12-4, 3-Benzyloxy-4-methoxybenzyl bromide 56217-93-7, 1H-Tetrazole-5-propanamine 57471-69-9 59193-77-0, Ethyl 3-amino-2,2-dimethylpropionate 61275-22-7 61542-10-7 64017-81-8, 3-Aminopropionamide hydrochloride 65414-74-6, L-Serinamide hydrochloride 67706-63-2 72287-77-5 74410-26-7 75178-96-0 85532-40-7 85532-42-9 89937-52-0 91893-70-8 93530-08-6 94987-87-8 97965-80-5 99065-34-6 101925-47-7 104539-21-1 123691-74-7 125218-79-3 129999-60-6 132393-07-8 139243-55-3 145414-31-9 145589-03-3 155851-20-0 165528-81-4 168570-20-5 172901-00-7 173336-34-0 173336-43-1 173336-57-7 173336-77-1 173336-78-2 173336-79-3 173336-80-6 173336-82-8 173336-83-9 173336-85-1 173336-86-2 173336-87-3 173336-88-4 173336-89-5 173336-90-8 173336-91-9 173336-92-0 173336-93-1 173336-94-2 173336-95-3 173336-96-4 173336-98-6 173336-99-7 173337-00-3 173337-01-4 173337-02-5 173337-03-6 173337-04-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 7417-18-7P 67843-72-5P 70436-03-2P 139517-71-8P 142035-70-9P 172900-73-1P 172900-74-2P 172900-79-7P 172900-80-0P 172900-81-1P 172900-83-3P 172900-84-4P 172900-86-6P 172900-87-7P 172900-88-8P 172900-89-9P 172900-90-2P 172900-91-3P 172900-94-6P 172900-95-7P 172900-97-9P 172900-98-0P 173007-32-4P 173007-33-5P 173153-98-5P 173154-00-2P 173154-01-3P 173154-02-4P 173154-05-7P 173154-09-1P 173154-10-4P 173154-12-6P 173154-13-7P 173154-14-8P 173154-16-0P 173154-17-1P 173241-90-2P 173334-90-2P 173335-93-8P 173335-94-9P 173335-95-0P 173335-96-1P 173335-97-2P 173335-98-3P 173335-99-4P 173336-00-0P 173336-01-1P 173336-02-2P 173336-03-3P 173336-04-4P

173336-05-5P 173336-06-6P 173336-07-7P 173336-08-8P 173336-09-9P
173336-10-2P 173336-11-3P 173336-12-4P 173336-13-5P 173336-14-6P
173336-15-7P 173336-16-8P 173336-17-9P 173336-18-0P 173336-19-1P
173336-20-4P 173336-21-5P 173336-22-6P 173336-23-7P 173336-24-8P
173336-25-9P 173336-26-0P 173336-27-1P 173336-28-2P 173336-29-3P
173336-30-6P 173336-31-7P 173336-32-8P 173336-33-9P 173336-35-1P
173336-36-2P 173336-37-3P 173336-38-4P 173336-39-5P 173336-40-8P
173336-41-9P 173336-42-0P 173336-44-2P 173336-45-3P 173336-46-4P
173336-47-5P 173336-48-6P 173336-49-7P 173336-50-0P 173336-51-1P
173336-52-2P 173336-53-3P 173336-54-4P 173336-55-5P 173336-56-6P
173336-58-8P 173336-59-9P 173336-60-2P 173336-61-3P 173336-62-4P
173336-63-5P 173336-64-6P 173336-65-7P 173336-66-8P 173336-67-9P
173336-68-0P 173336-69-1P 173336-70-4P 173336-71-5P 173336-72-6P
173336-73-7P 173336-74-8P 173336-75-9P 173336-76-0P 173336-81-7P
173336-84-0P 173337-05-8P 173337-06-9P 173337-07-0P 173337-08-1P
173337-09-2P 173337-10-5P 173337-11-6P 173337-12-7P 173337-13-8P
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173337-29-6P 173337-30-9P 173337-31-0P 173337-32-1P 173337-33-2P
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173337-79-6P 173337-80-9P 173337-81-0P 173337-82-1P 173337-83-2P
173337-84-3P 173337-85-4P 173337-86-5P 173337-87-6P 173337-88-7P
173337-89-8P 173337-90-1P 173337-91-2P 173337-92-3P 173337-93-4P
173337-94-5P 173337-95-6P 173337-96-7P 173337-97-8P 173337-98-9P
173337-99-0P 173338-00-6P 173338-01-7P 173338-02-8P 173338-03-9P
173338-04-0P 173338-05-1P 173338-06-2P 173338-07-3P 173338-08-4P
173338-09-5P 173338-10-8P 173338-11-9P 173338-12-0P 173338-13-1P
173338-14-2P 173338-15-3P 173338-16-4P 173338-17-5P 173338-18-6P
173338-19-7P 173338-20-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 173338-21-1P 173338-22-2P 173338-23-3P 173338-24-4P
173338-25-5P 173338-26-6P 173338-27-7P 173338-28-8P
173338-29-9P 173338-30-2P 173338-31-3P 173338-32-4P 173338-33-5P
173338-34-6P 173338-35-7P 173338-36-8P 173338-37-9P 173338-38-0P
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173400-41-4P 173400-42-5P 173400-43-6P 173400-44-7P 173400-45-8P
173400-46-9P 173400-47-0P 173400-48-1P 173400-49-2P 173400-50-5P
173400-51-6P 173400-52-7P 173400-53-8P 173400-54-9P 173400-55-0P
173400-56-1P 173400-57-2P 173400-58-3P 173400-59-4P 173400-60-7P
173400-61-8P 173433-56-2P 173433-57-3P 173652-71-6P 176983-39-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 172966-66-4P 172966-67-5P 172966-68-6P 172966-69-7P 172966-70-0P
172966-71-1P 172966-72-2P 172966-73-3P 172966-74-4P 172966-75-5P
172966-76-6P 172966-77-7P 172966-78-8P 172966-79-9P 172966-80-2P
172966-81-3P 172966-82-4P 172966-83-5P 172966-84-6P 172966-85-7P
172966-86-8P 172966-87-9P 172966-88-0P 172966-89-1P 172966-90-4P
172966-91-5P 172966-92-6P 172966-93-7P 172966-94-8P 172966-95-9P
172966-96-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8
478799-42-7 478799-43-8 635708-54-2 635777-06-9
RL: PRP (Properties)
(unclaimed sequence; methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

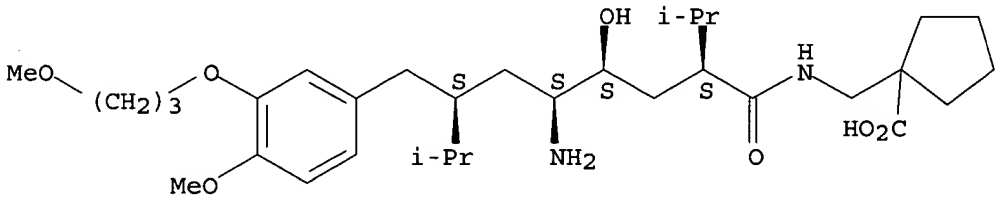
(1) Ala, T; WO 02100820 A 2002 HCAPLUS
(2) Ciba Geigy Ag; EP 0678514 A 1995 HCAPLUS
(3) Indolese, A; WO 0109083 A 2001 HCAPLUS
(4) Leung, D; JOURNAL OF MEDICINAL CHEMISTRY 2000, V43(3), P305 HCAPLUS
(5) Mealy, N; DRUGS OF THE FUTURE 2001, V26(12), P1139 HCAPLUS
(6) Rahuel, J; CHEMISTRY & BIOLOGY 2000, V7(7), P493 HCAPLUS
(7) Roggo, S; CURRENT TOPICS IN MEDICINAL CHEMISTRY 2002, V2(4), P359 HCAPLUS
(8) Speedel Pharma Ag; WO 0208172 A 2002 HCAPLUS
(9) Speedel Pharma Ag; EP 1215201 A 2002 HCAPLUS
(10) Stutz, S; WO 0202508 A 2002 HCAPLUS
(11) Yamaguchi, Y; US 5559111 A 1996 HCAPLUS

IT **173335-29-0P 173335-68-7P 173400-15-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)

RN 173335-29-0 HCAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-amino-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

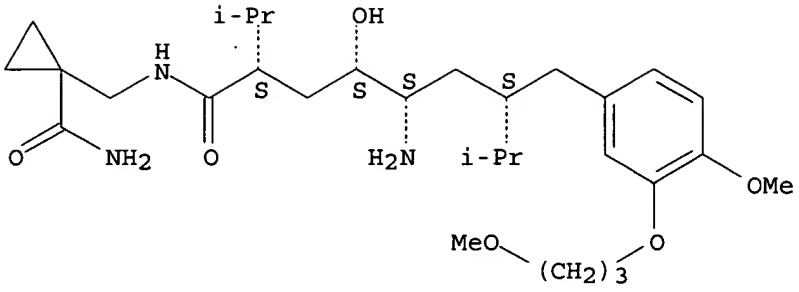


● HCl

RN 173335-68-7 HCAPLUS

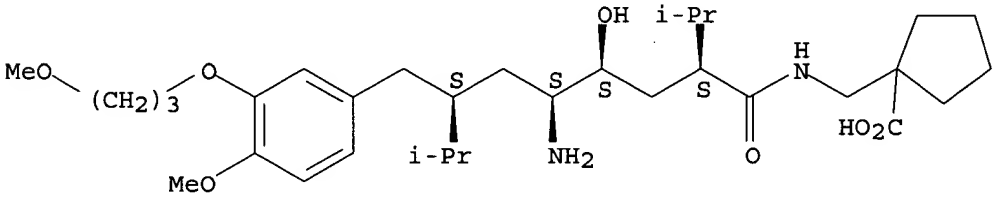
CN Benzeneoctanamide, δ-amino-N-[[1-(aminocarbonyl)cyclopropyl]methyl]-γ-hydroxy-4-methoxy-3-(3-methoxypropoxy)-α,ζ-bis(1-methylethyl)-, (αS,γS,δS,ζS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



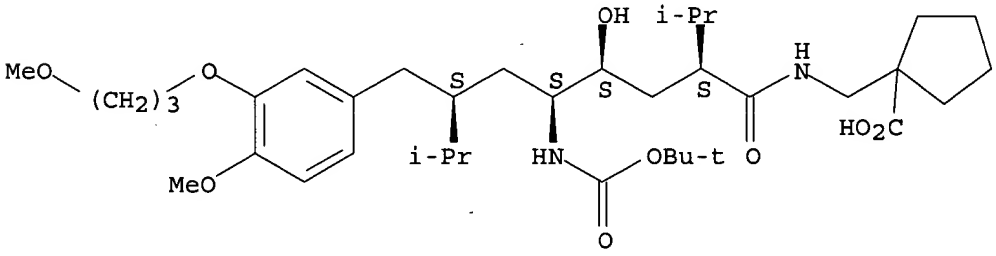
RN 173400-15-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-amino-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **173338-25-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating Alzheimer's disease using and method of preparing δ-amino-γ-hydroxy-ω-arylalkanoic acid amides)
RN 173338-25-5 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-[[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:43054 HCAPLUS
DN 138:107007
ED Entered STN: 17 Jan 2003
TI Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating **Alzheimer**'s disease
IN **Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Lawrence**
PA USA

SO U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D333-52
ICS C07C229-00; C07D215-12; C07D213-53; C07D209-14
NCL 544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003013881	A1	20030116	US 2001-960634	20010921 <--
	US 2002019403	A1	20020214	US 2001-816876	20010323 <--
	US 2002022623	A1	20020221	US 2001-815960	20010323 <--
	US 6737420	B2	20040518		
	US 2004214846	A1	20041028	US 2004-847819	20040518 <--
PRAI	US 2000-191528P	P	20000323		
	US 2001-815960	A2	20010323		
	US 2001-816876	A2	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003013881	ICM	C07D333-52
	ICS	C07C229-00; C07D215-12; C07D213-53; C07D209-14
	NCL	544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000
US 2003013881	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02 <--
US 2002019403	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02 <--
US 2002022623	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02 <--
US 2004214846	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02 <--

OS MARPAT 138:107007
AB The invention is directed toward substituted hydroxyethylene compds. having the fragment -NHCHR1CH(OH)CH2CHR2CO- [R1 = alkyl, alkylthioalkyl, alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclylalkyl, or heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in treating **Alzheimer**'s disease and similar diseases. In an example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophathalamide was prepared by solution-based methodol.
ST peptide aminohydroxypentanoic acid prepn treatment **Alzheimers**; hydroxypentanoic acid amino prepn treatment **Alzheimers**
IT **Amyloidosis**
(Dutch-Type; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Brain, disease
(amyloid angiopathy; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Hemorrhage
(cerebral, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Mental disorder
(cognitive; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)

IT Brain, disease
(cortical basal degeneration; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Mental disorder
(dementia; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT **Cognition**
(**disorder**; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT **Brain, disease**
(**hemorrhage**, **hereditary**; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT **Alzheimer's disease**
Down's syndrome
Human
Parkinson's disease
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT Paralysis
(pseudobulbar; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT **Amyloid**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT 158736-49-3, β Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT 362479-96-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P 362480-15-7P
362480-16-8P 362480-17-9P 362480-18-0P 362480-19-1P 362480-20-4P
362480-21-5P 362480-22-6P 362480-23-7P 362480-24-8P 362480-25-9P
362480-26-0P 362480-27-1P 362480-28-2P 362480-29-3P 362480-30-6P
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362480-36-2P 362480-37-3P 362480-38-4P 362480-39-5P 485807-13-4P
485807-14-5P 485807-15-6P 485807-16-7P 485807-17-8P 485807-18-9P
485807-19-0P 485807-20-3P 485807-21-4P 485807-22-5P 485807-23-6P
485807-24-7P 485807-25-8P 485807-26-9P 485807-27-0P 485807-28-1P
485807-29-2P 485807-30-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)
IT 60-32-2, 6 Aminohexanoic acid 78-84-2, Isobutyraldehyde 79-03-8, Propionyl chloride 79-30-1, Isobutyryl chloride 90-82-4, + Pseudoephedrine 116-11-0, 2 Methoxy 1 propene 638-29-9, Pentanoyl chloride 645-45-4, 3 Phenylpropionyl chloride 701-54-2 1002-57-9, 8 Aminooctanoic acid 1197-18-8 1826-67-1, Vinylmagnesium bromide 6341-54-4 18469-52-8 68683-72-7 74733-38-3 83646-27-9

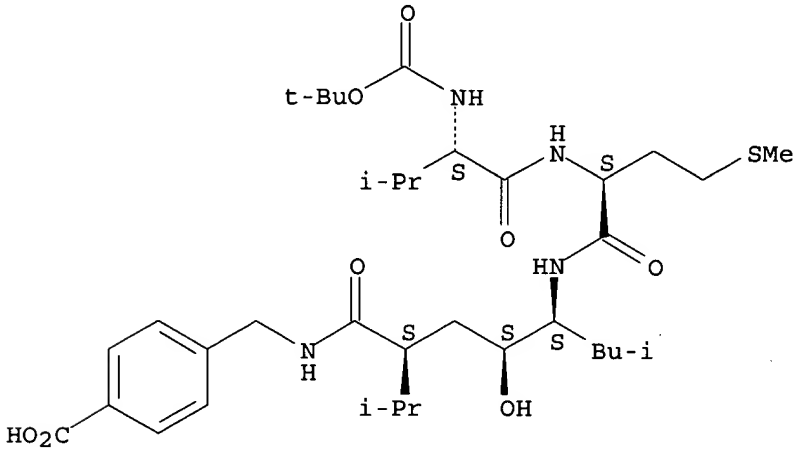
126456-43-7 126926-35-0, n n Dipropylisophthalamic acid 205445-52-9
337531-15-4 362480-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)

IT 362479-88-7P **362479-89-8P 362479-90-1P**
362479-91-2P 362479-92-3P 362479-93-4P 362479-94-5P
362479-95-6P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P
362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P
362480-09-9P 362480-10-2P 485389-88-6P 485389-89-7P 485389-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)

IT **362479-89-8P 362479-90-1P 362479-91-2P**
362479-92-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer**'s disease)

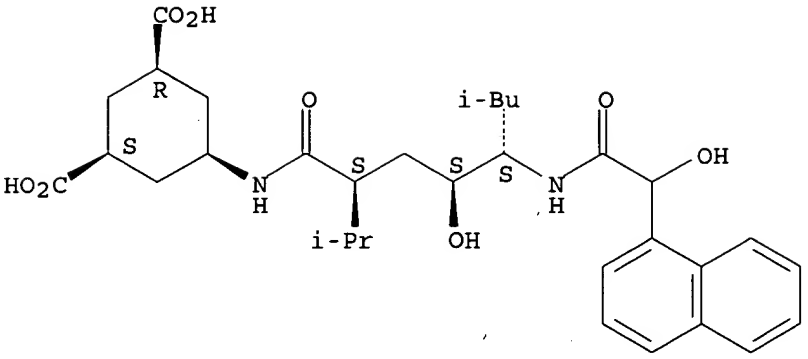
RN 362479-89-8 HCAPLUS
CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1S,2S,4S)-4-[[[(4-carboxyphenyl)methyl]amino]carbonyl]-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



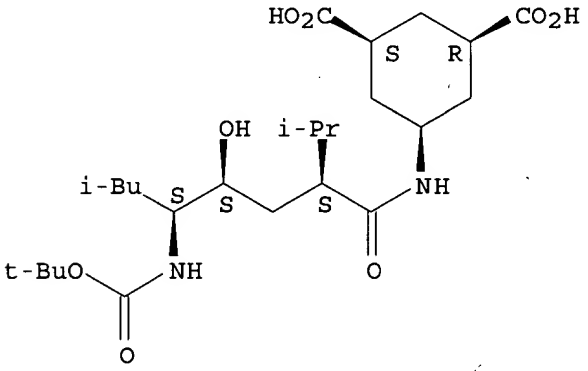
RN 362479-90-1 HCAPLUS
CN 1,3-Cyclohexanedicarboxylic acid, 5-[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1α,3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



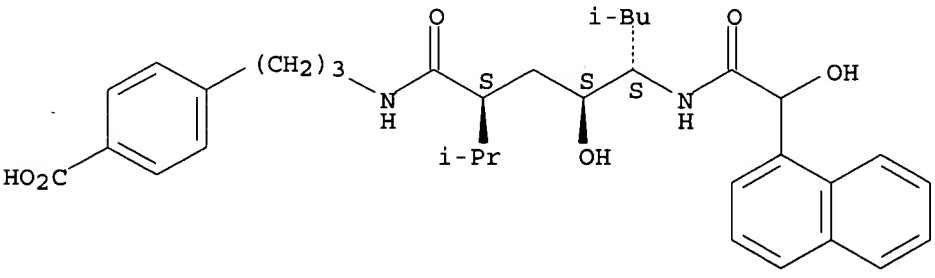
RN 362479-91-2 HCAPLUS
CN 1,3-Cyclohexanedicarboxylic acid, 5-[[(2S,4S,5S)-5-[[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1α,3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362479-92-3 HCAPLUS
CN Benzoic acid, 4-[3-[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:713293 HCAPLUS
DN 135:273220
ED Entered STN: 28 Sep 2001
TI Preparation of hydroxyethylenes with peptide subunits for pharmaceutical

use in the treatment of **Alzheimer**'s disease
IN **Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Larry**
PA **Elan Pharmaceuticals, Inc., USA**
SO PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C235-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 63
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001070672	A2	20010927	WO 2001-US9501	20010323 <--
WO 2001070672	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401749	AA	20010927	CA 2001-2401749	20010323 <--
EP 1265849	A2	20021218	EP 2001-926424	20010323 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528071	T2	20030924	JP 2001-568884	20010323 <--
PRAI US 2000-191528P	P	20000323 <--		
WO 2001-US9501	W	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001070672	ICM	C07C235-00

OS MARPAT 135:273220
AB Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl, arylalkyl, etc.; R4 = H, alkyl, etc.], were prepared as agents for the treatment of **Alzheimer**'s disease. Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH2CHMe2)CH(OH)CH(CHMe2)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prepared hydroxyethylenes were tested for β-secretase inhibiting activity.
ST peptide hydroxyethylene prepn **Alzheimer** disease treatment
IT **Anti-Alzheimer's agents**
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)
IT 362480-10-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)
IT 362479-88-7P **362479-89-8P 362479-90-1P**
362479-91-2P 362479-92-3P 362479-93-4P 362479-94-5P
362479-95-6P 362479-96-7P 362479-97-8P 362479-98-9P 362479-99-0P
362480-00-0P 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P
362480-15-7P 362480-16-8P 362480-17-9P 362480-18-0P 362480-19-1P
362480-20-4P 362480-21-5P 362480-22-6P 362480-23-7P 362480-24-8P

362480-25-9P 362480-26-0P 362480-27-1P 362480-28-2P 362480-29-3P
362480-30-6P 362480-31-7P 362480-32-8P 362480-33-9P 362480-34-0P
362480-35-1P 362480-36-2P 362480-37-3P 362480-38-4P 362480-39-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

IT 158736-49-3, β-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

IT 60-32-2 79-03-8, Propanoyl chloride 96-81-1 141-75-3, Butanoyl chloride 638-29-9, Pentanoyl chloride 645-45-4, Benzenepropanoyl chloride 1002-57-9 1197-18-8 2488-15-5 6341-54-4 13734-34-4 15761-38-3 18469-52-8 74733-38-3 126926-35-0 205445-52-9 337531-15-4 362480-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

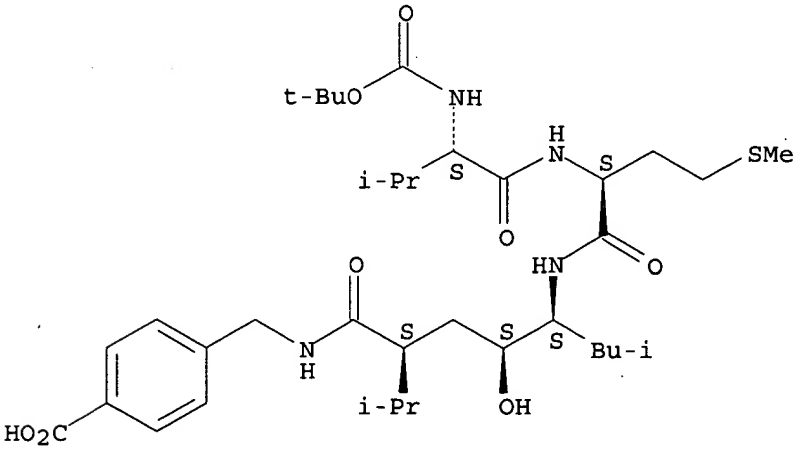
IT 362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P
362480-06-6P 362480-07-7P 362480-08-8P 362480-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7
RL: PRP (Properties)
(unclaimed sequence; preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

IT **362479-89-8P 362479-90-1P 362479-91-2P**
362479-92-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer**'s disease)

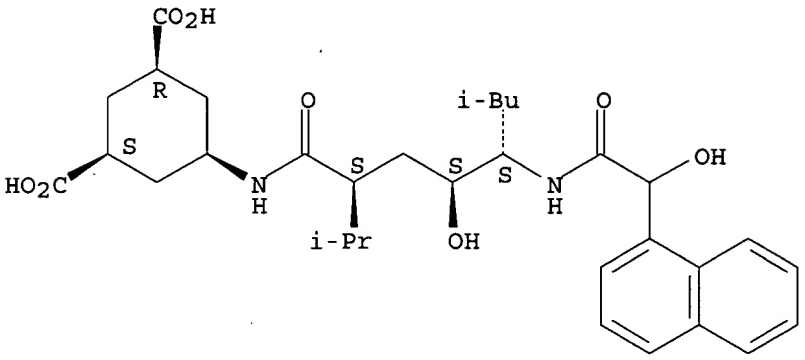
RN 362479-89-8 HCAPLUS
CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1S,2S,4S)-4-[[[(4-carboxyphenyl)methyl]amino]carbonyl]-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



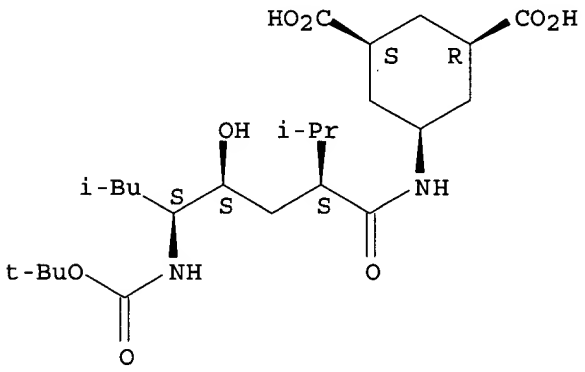
RN 362479-90-1 HCAPLUS
CN 1,3-Cyclohexanedicarboxylic acid, 5-[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1α,3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



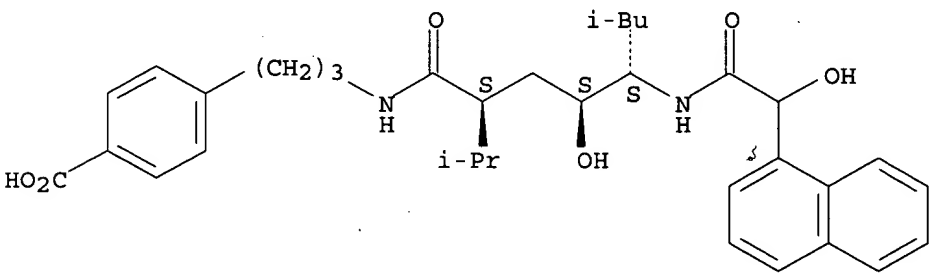
RN 362479-91-2 HCAPLUS
CN 1,3-Cyclohexanedicarboxylic acid, 5-[[(2S,4S,5S)-5-[[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1α,3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362479-92-3 HCAPLUS
CN Benzoic acid, 4-[3-[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



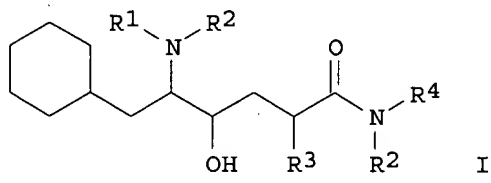
L62 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:15715 HCAPLUS
DN 128:102390
ED Entered STN: 12 Jan 1998
TI 5-amino-6-cyclohexyl-4-hydroxy-hexanamide derivatives as inhibitors of β-amyloid protein production
IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula, Prasad; Sloan, Charles P.
PA Bristol-Myers Squibb Co., USA
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-17
NCL 514613000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5703129	A	19971230	US 1996-723488	19960930 <--
PRAI US 1996-723488		19960930 <--		

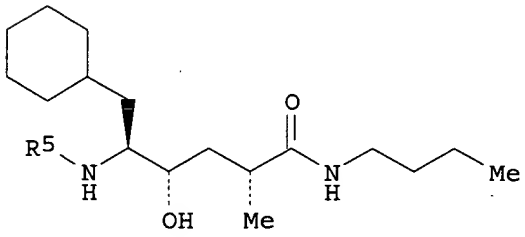
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5703129	ICM	A61K031-17
	NCL	514613000
US 5703129	ECLA	C07C237/14; C07C323/41; C07D307/32C <--

OS MARPAT 128:102390
GI



I



II

AB A series of peptidic cyclohexylhexanamide derivs. I [R1 = C4-8 alkyl or alkenyl, C1-4 alkoxy or alkanediyl, (un)substituted C3-6 cycloalkyl or cycloalkyl-lower-alkanediyl, (un)substituted arylalkyl; R2 = H, Me; R3 = alkyl, C3-6 cycloalkyl, cycloalkyl-lower-alkanediyl, alkenyl, (un)substituted arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 = lower alkyl] or their pharmaceutically acceptable salts, were prepared as inhibitors of γ-secretase, thereby acting to prevent the accumulation of β-amyloid protein deposits in the brain. For example, cyclohexylhexanamide II (R5 = H) was reacted with

4-methylvaleraldehyde in the presence of NaBH(OAc)3 and the free base salified with HCl, to give the HCl salt of II [R5 = Me2C(CH2)3], which inhibited γ-secretase at < = 10 μM. Compds. I are expected to be effective in treating patients suffering from or susceptible to conditions or disorders linked to brain accumulation of β-amyloid protein; e.g., **Alzheimer**'s Disease and Down's Syndrome.

ST aminocyclohexylhydroxyhexanamide prepn amyloid protein prodn inhibitor; cyclohexylhexanamide peptide analog prepn secretase inhibitor; **Alzheimer** disease treatment aminocyclohexylhydroxyhexanamide deriv prepn; **Down syndrome** treatment aminocyclohexylhydroxyhexanamide deriv prepn

IT **Amyloid**
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(β -; preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 192386-59-7P 192386-60-0P 192386-61-1P 192386-62-2P 192386-63-3P
192386-64-4P 192386-65-5P 192386-66-6P **192386-67-7P**
192386-68-8P **192386-69-9P** 192386-70-2P 192386-71-3P
192386-72-4P 192386-73-5P 192386-74-6P 192386-75-7P 192386-76-8P
192386-77-9P 192386-78-0P 192386-79-1P 192386-80-4P 192386-81-5P
192386-82-6P 192386-83-7P 192386-84-8P 192386-85-9P 192386-86-0P
192386-87-1P 192386-89-3P 192386-90-6P 192386-92-8P
192386-93-9P 192386-94-0P 192386-95-1P 192386-96-2P
192386-97-3P 192386-98-4P 192386-99-5P 192456-37-4P 192456-39-6P
201280-77-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β-amyloid protein production)

IT 158736-49-3, γ-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β-amyloid protein production)

IT 64-04-0, Benzeneethanamine 66-99-9, 2-Naphthalenecarboxaldehyde 78-81-9, 2-Methylpropanamine 108-18-9, Diisopropylamine 110-12-3 110-62-3, Pentanal 589-92-4, 4-Methylcyclohexanone 590-86-3, 3-Methylbutanal 591-24-2, 3-Methylcyclohexanone 591-31-1, 3-Methoxybenzaldehyde 620-23-5 1119-16-0, 4-Methylvaleraldehyde 1757-42-2, 3-Methylcyclopentanone 1860-39-5, 5-Methylhexanal 2270-59-9, 5-Bromo-2-methyl-2-pentene 3395-91-3, Methyl 3-bromopropionate 3886-69-9 4104-45-4 5432-85-9 5618-02-0, Cyclopropanepropanal 5664-21-1, Cyclohexaneacetaldehyde 5781-53-3, Methyl oxalyl chloride 5813-64-9, 2,2-Dimethylpropanamine 6053-89-0, Cyclopentanepropanal 15877-57-3, 3-Methylpentanal 77342-92-8 98105-42-1 192387-01-2 201280-72-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β-amyloid protein production)

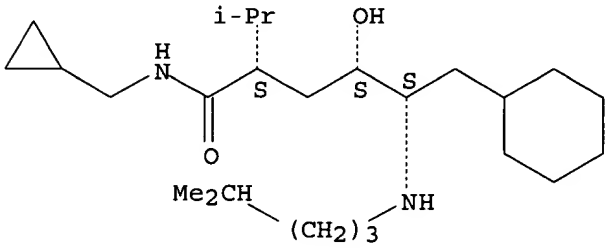
IT 5029-66-3P 105852-64-0P 112227-09-5P 119773-58-9P 124032-36-6P
125015-95-4P 127772-94-5P 129921-94-4P 132094-22-5P 132094-23-6P
132154-76-8P 141258-90-4P 192386-88-2P 192387-00-1P 201280-53-7P
201280-54-8P 201280-55-9P 201280-56-0P 201280-57-1P 201280-58-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β-amyloid protein production)

IT **192386-67-7P 192386-69-9P 192386-93-9P**
201280-77-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β-amyloid protein production)

RN 192386-67-7 HCAPLUS
CN Cyclohexanehexanamide, N-(cyclopropylmethyl)-γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-, monohydrochloride, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

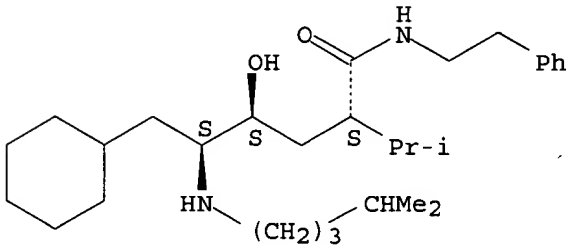
Absolute stereochemistry.



● HCl

RN 192386-69-9 HCAPLUS
CN Cyclohexanehexanamide, γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-N-(2-phenylethyl)-, monohydrochloride, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

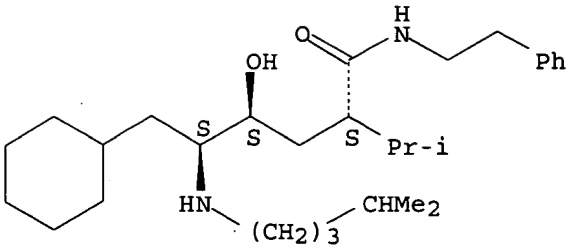
Absolute stereochemistry.



● HCl

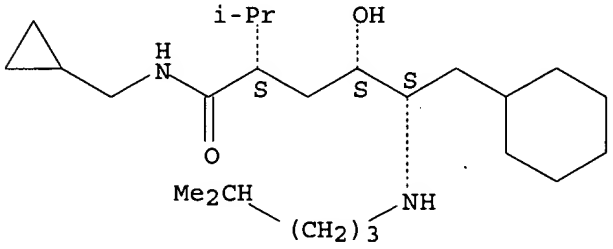
RN 192386-93-9 HCAPLUS
CN Cyclohexanehexanamide, γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-N-(2-phenylethyl)-, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201280-77-5 HCAPLUS
CN Cyclohexanehexanamide, N-(cyclopropylmethyl)-γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:470004 HCAPLUS
DN 127:109192
ED Entered STN: 26 Jul 1997
TI Preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivatives as inhibitors of beta-amyloid protein production for the treatment of **Alzheimer**'s disease
IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula, Prasad; Sloan, Charles P.
PA Bristol-Myers Squibb Company, USA
SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07C237-14
ICS A61K031-195
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

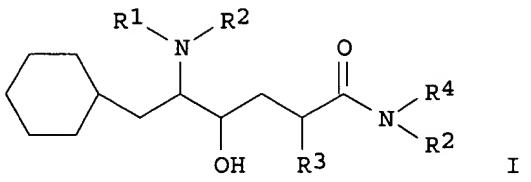
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 778266	A1	19970611	EP 1996-308768	19961204 <--
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2191924	AA	19970606	CA 1996-2191924	19961203 <--
	AU 9674121	A1	19970612	AU 1996-74121	19961204 <--
	AU 704145	B2	19990415		
	JP 09169713	A2	19970630	JP 1996-324904	19961205 <--
PRAI	US 1995-7972P	P	19951205 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

EP 778266 ICM C07C237-14
ICS A61K031-195
OS MARPAT 127:109192
GI



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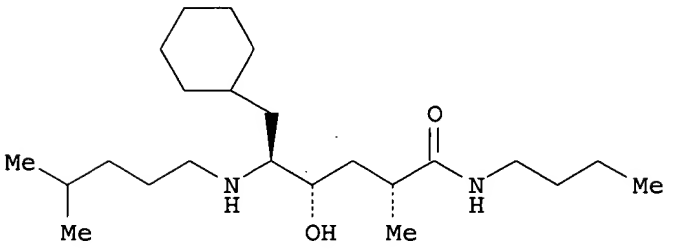


@ HCl

II

AB The peptidic title cyclohexanehexanamides I [R1 = C4-8 alkyl, alkenyl, (un)substituted arylalkyl, alkoxyalkyl, (un)substituted cycloalkyl; R2 = H, Me; R3 = alkyl, cycloalkyl, (cycloalkyl)alkyl, alkenyl, arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 = lower alkyl], useful for inhibiting γ-secretase, which, in turn, inhibits the brain's formation of β-amyloid protein, the reputed cause of **Alzheimer**'s cerebral pathol., were prepared Thus, [αS-(αR*,γR*, δR*)]-δ-amino-N-butyl-γ-hydroxy-α-methylcyclohexanehexanamide was reacted with 4-methylvaleraldehyde in the presence of NaBH(OAc)3 and the free base salified with HCl, producing the cyclohexanehexanamide II, which inhibited γ-secretase at 10 μM.

ST aminocyclohexanehexanamide prepn amyloid protein prodn inhibition; **Alzheimer** disease treatment prepn aminocyclohexanehexanamide; secretase inhibition aminocyclohexanehexanamide; cyclohexanehexanamide peptide analog prepn **Alzheimer** treatment

IT **Alzheimer's disease**
(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

IT **Amyloid**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process); USES (Uses)
(β -; preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β -amyloid protein production for the treatment of **Alzheimer**'s disease)

IT 5029-66-3P, Methyl 3-iodopropionate 105852-64-0P 112227-09-5P 119773-58-9P 124032-36-6P 125015-95-4P 127772-94-5P 129921-94-4P 132094-22-5P 132094-23-6P 132154-76-8P 141258-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

IT 192387-00-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivs. as inhibitors of beta-amyloid protein production for the treatment of **Alzheimer**'s disease)

IT 192386-59-7P 192386-60-0P 192386-61-1P 192386-62-2P 192386-63-3P
192386-64-4P 192386-65-5P 192386-66-6P **192386-67-7P**
192386-68-8P **192386-69-9P** 192386-70-2P 192386-71-3P
192386-72-4P 192386-73-5P 192386-74-6P 192386-75-7P 192386-76-8P
192386-77-9P 192386-78-0P 192386-79-1P 192386-80-4P 192386-81-5P
192386-82-6P 192386-83-7P 192386-84-8P 192386-85-9P 192386-86-0P
192386-87-1P 192386-88-2P 192386-89-3P 192386-90-6P
192386-91-7P 192386-92-8P **192386-93-9P** 192386-94-0P
192386-95-1P 192386-96-2P 192386-97-3P 192386-98-4P 192386-99-5P
192456-37-4P 192456-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

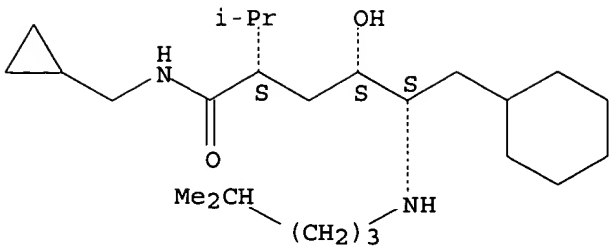
IT 158736-49-3, γ-Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

IT 64-04-0, Benzeneethanamine 66-99-9, 2-Formylnaphthalene 67-64-1, 2-Propanone, reactions 78-81-9, 2-Methylpropanamine 109-73-9, Butylamine, reactions 110-12-3, 5-Methyl-2-hexanone 110-62-3, Pentanal 589-92-4, 4-Methylcyclohexanone 590-86-3, 3-Methylbutanal 591-24-2, 3-Methylcyclohexanone 591-31-1, 3-Methoxybenzaldehyde 620-23-5, 3-Methylbenzaldehyde 1119-16-0, 4-Methylvaleraldehyde 1757-42-2, 3-Methylcyclopentanone 1860-39-5, 5-Methylhexanal 2270-59-9, 5-Bromo-2-methyl-2-pentene 2516-47-4, (Cyclopropylmethyl)amine 3395-91-3, Methyl 3-bromopropionate 3886-69-9 4104-45-4, 3-(Methylthio)-1-propanamine 5432-85-9, 4-Isopropylcyclohexanone 5618-02-0, Cyclopropanepropanal 5664-21-1, Cyclohexaneacetaldehyde 5781-53-3, Methyl oxalyl chloride 5813-64-9, 2,2-Dimethylpropyl amine 6053-89-0, Cyclopentanepropanal 15877-57-3, 3-Methylpentanal 77342-92-8 98105-42-1 192387-01-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

IT **192386-67-7P 192386-69-9P 192386-91-7P**
192386-93-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β-amyloid protein production for the treatment of **Alzheimer**'s disease)

RN 192386-67-7 HCAPLUS
CN Cyclohexanehexanamide, N-(cyclopropylmethyl)-γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-, monohydrochloride, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

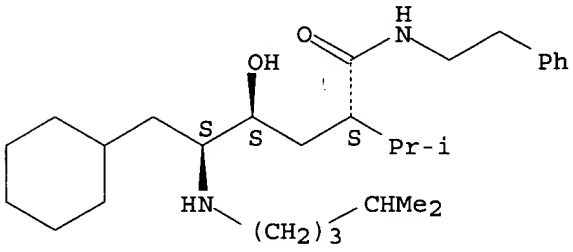
Absolute stereochemistry.



● HCl

RN 192386-69-9 HCAPLUS
CN Cyclohexanehexanamide, γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-N-(2-phenylethyl)-, monohydrochloride, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

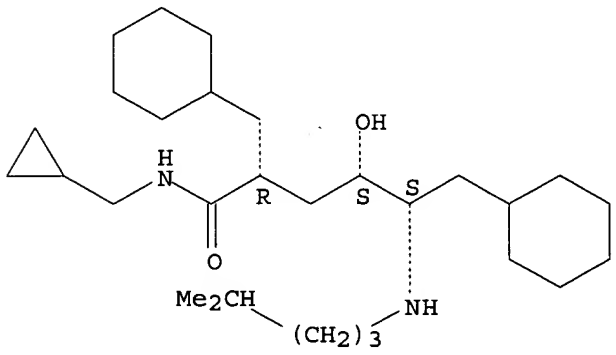
Absolute stereochemistry.



● HCl

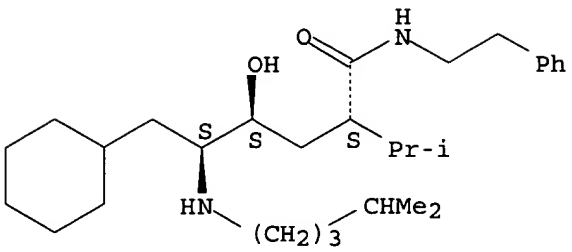
RN 192386-91-7 HCAPLUS
CN Cyclohexanehexanamide, α-(cyclohexylmethyl)-N-(cyclopropylmethyl)-γ-hydroxy-δ-[(4-methylpentyl)amino]-, [αR-(αR*,γS*,δS*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 192386-93-9 HCAPLUS
CN Cyclohexanehexanamide, γ-hydroxy-α-(1-methylethyl)-δ-[(4-methylpentyl)amino]-N-(2-phenylethyl)-, [αS-(αR*,γR*,δR*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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